```
=> fil reg; d ide
FILE 'REGISTRY' ENTERED AT 12:41:35 ON 07 MAY 2002
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STRUCTURE FILE UPDATES:    5 MAY 2002  HIGHEST RN 411206-65-0
DICTIONARY FILE UPDATES:   5 MAY 2002  HIGHEST RN 411206-65-0

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Calculated physical property data is now available.  See HELP PROPERTIES
for more information.  See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf



L8   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2002 ACS
RN   9025-62-1  REGISTRY
CN   Sulfatase, sterol (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   3.beta.-Hydroxysteroid sulfate sulfatase
CN   Arylsulfatase C
CN   Cholesterol sulfate sulfatase
CN   Cholesterol sulfate sulfohydrolase
CN   Dehydroepiandrosterone sulfatase
CN   Dehydroepiandrosterone sulfate sulfatase
CN   E.C. 3.1.6.2
CN   Estrone sulfate sulfohydrolase
CN   Neurosteroid sulfatase
CN   Oestrone sulphatase
CN   Phenolic steroid sulfatase
CN   Pregnenolone sulfatase
CN   Steroid 3-sulfatase
CN   Steroid sulfatase
CN   Steroid sulfatase (EC 3.1.6.2)
CN   Steroid sulfate sulfohydrolase
CN   Sterol sulfatase
CN   Sterylsulfatase
MF   Unspecified
CI   MAN
LC   STN Files:   ADISNEWS, AGRICOLA, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO,
       CA, CAPLUS, EMBASE, PROMT, TOXCENTER, USPATFULL

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
       421 REFERENCES IN FILE CA (1967 TO DATE)
       422 REFERENCES IN FILE CAPLUS (1967 TO DATE)
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=> fil reg; d stat que l3; fil capl; d que nos l24; d que nos l36; d que nos l37; s l24 or l37
FILE 'REGISTRY' ENTERED AT 13:27:58 ON 07 MAY 2002
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STRUCTURE FILE UPDATES: 5 MAY 2002 HIGHEST RN 411206-65-0
DICTIONARY FILE UPDATES: 5 MAY 2002 HIGHEST RN 411206-65-0

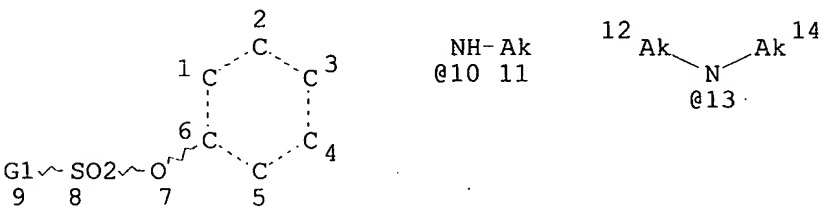
TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

L1 STR



VAR G1=NH2/10/13
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 11
CONNECT IS E1 RC AT 12
CONNECT IS E1 RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
L3 1336 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 3667 ITERATIONS 1336 ANSWERS
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 13:27:59 ON 07 MAY 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 7 May 2002 VOL 136 ISS 19
FILE LAST UPDATED: 6 May 2002 (20020506/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

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L1              STR
L3          1336 SEA FILE=REGISTRY SSS FUL L1
L4           345 SEA FILE=CAPLUS ABB=ON  L3
L8             1 SEA FILE=REGISTRY ABB=ON  "STEROID SULFATASE"/CN
L9           422 SEA FILE=CAPLUS ABB=ON  L8
L10          540 SEA FILE=CAPLUS ABB=ON  STEROID(1W)(SULFATASE OR SULPHATASE)
                 OR (SULFATE OR SULPHATE)(W)SULFOHYDROLASE
L11           85 SEA FILE=CAPLUS ABB=ON  ( OESTERONE OR PREGNENOLONE OR STEROL
                 OR STERYL OR DEHYDROEPIANDROSTERONE)(1W)(SULFATASE OR SULPHATAS
                 E)
L12           99 SEA FILE=CAPLUS ABB=ON  ((L9 OR L10 OR L11))(L)INHIBIT?/OBI
L14        53141 SEA FILE=CAPLUS ABB=ON  ANTITUMOR AGENTS/CT
L15        96316 SEA FILE=CAPLUS ABB=ON  NEOPLASM#(L)INHIBIT?/OBI
L16        21996 SEA FILE=CAPLUS ABB=ON  ?ALZHEIMER?
L17        28992 SEA FILE=CAPLUS ABB=ON  ?FERTILITY?
L18        13765 SEA FILE=CAPLUS ABB=ON  ENDOMETRI?
L19            4 SEA FILE=CAPLUS ABB=ON  ADENOMYOSIS UTER?
L20         2264 SEA FILE=CAPLUS ABB=ON  UTERUS(L)(DISEASE OR DISORDER)/OBI
L21        18619 SEA FILE=CAPLUS ABB=ON  AUTOIMMUNE DISEASE+OLD,NT/CT
L22         4051 SEA FILE=CAPLUS ABB=ON  DEMENTIA#/OBI
L23           72 SEA FILE=CAPLUS ABB=ON  L4 AND (L14 OR L15 OR L16 OR L17 OR
                 L18 OR L19 OR L20 OR L21 OR L22)
L24           27 SEA FILE=CAPLUS ABB=ON  L23 AND L12
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L1              STR
L3          1336 SEA FILE=REGISTRY SSS FUL L1
L4           345 SEA FILE=CAPLUS ABB=ON  L3
L19            4 SEA FILE=CAPLUS ABB=ON  ADENOMYOSIS UTER?
L36            0 SEA FILE=CAPLUS ABB=ON  L4 AND L19
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L1              STR
L3          1336 SEA FILE=REGISTRY SSS FUL L1
L4           345 SEA FILE=CAPLUS ABB=ON  L3
L16        21996 SEA FILE=CAPLUS ABB=ON  ?ALZHEIMER?
L17        28992 SEA FILE=CAPLUS ABB=ON  ?FERTILITY?
L18        13765 SEA FILE=CAPLUS ABB=ON  ENDOMETRI?
L19            4 SEA FILE=CAPLUS ABB=ON  ADENOMYOSIS UTER?
L20         2264 SEA FILE=CAPLUS ABB=ON  UTERUS(L)(DISEASE OR DISORDER)/OBI
L21        18619 SEA FILE=CAPLUS ABB=ON  AUTOIMMUNE DISEASE+OLD,NT/CT
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L30           3 SEA FILE=CAPLUS ABB=ON  L25 AND L17
L31          14 SEA FILE=CAPLUS ABB=ON  L25 AND L18
L32           0 SEA FILE=CAPLUS ABB=ON  L25 AND L19
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L37          25 SEA FILE=CAPLUS ABB=ON  (L29 OR L30 OR L31 OR L32 OR L33 OR
                L34 OR L35)
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Role BAC - biological activity
PAC - pharmacology
THU - therapeutic use
DMA - drug mechanism of action
PKT - pharmacokinetics

```
L54         44 L24 OR L37

=> d ibib abs hitstr l54 1-44

L54  ANSWER 1 OF 44  CAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         2002:240732  CAPLUS
DOCUMENT NUMBER:          136:279351
TITLE:                    Preparation of tetrahydroisoquinolines,
                          tetrahydrobenzazepines, and isoindolines as selective
                          modulators of ER-.beta. estrogen receptors for the
                          treatment of estrogen-related conditions
INVENTOR(S):              Bhagwat, Shripad S.; Gayo-Fung, Leah M.; Stein, Bernd
                          M.; Chao, Qi; Gangloff, Anthony R.; McKie, Jeffrey A.;
                          Rice, Kenneth D.
PATENT ASSIGNEE(S):       Signal Pharmaceuticals, Inc., USA; Axys
                          Pharmaceuticals, Inc.
SOURCE:                   PCT Int. Appl., 195 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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     WO 2002024653      A1   20020328      WO 2001-US29259  20010918
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                    US 2000-668893   A  20000921
OTHER SOURCE(S):          MARPAT 136:279351
GI
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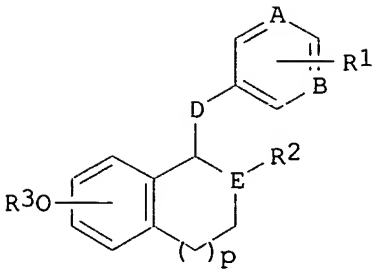

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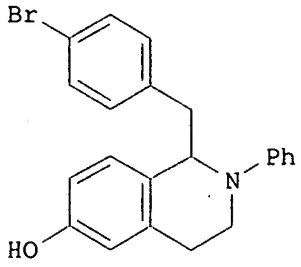


II

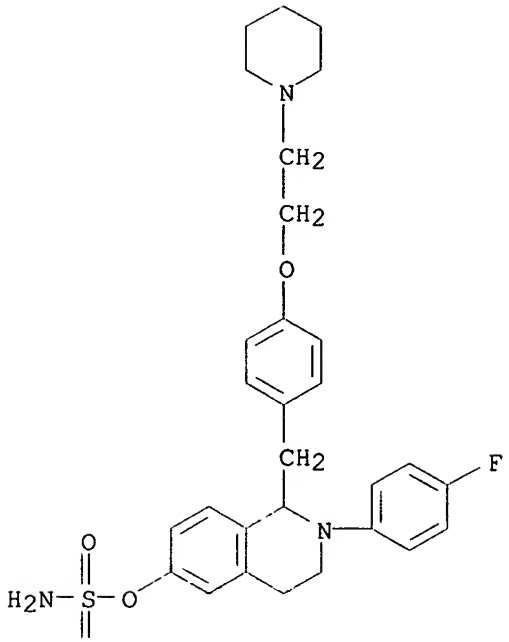
AB Fused heterocycles I [A, B, E = CH, CR, N; R = alkyl; D = (CH2)mCO(CH2)n; RR1 = atoms to form a fused heterocycle, cycloalkyl; R1 = 1-2 of XY; X = bond, alkylene, oxyalkylene, thioalkylene, aminoalkylene, etc.; Y = H, alkyl, halo, amino, etc.; XY = N-heterocyclyl(alkyl)amino, etc.; R2 = alkyl, aryl, aralkyl, alkylcarbonyl, 5- or 6-membered heterocycle, benzo-fused heterocycle; R2 = (substituted) alkyl, aryl, aralkyl, (benzo-fused) heterocyclyl; R3 = H, alkyl, alkoxycarbonylalkyl, alkylcarbonylamino, alkylaminocarbonylalkyl, etc.; m, n = 0-3; p = 0-2] were prepd. for the treatment of estrogen-related conditions such as breast cancer, prostatic hypertrophy, and menopausal syndromes. Title compd. II was prepd. by acylation of PhNH2 with 3-MeOC6H4CH2COCl, redn. of the amide with LiAlH4, acylation of the amine with 4-BrC6H4CH2COCl, ring closure with POCl3/KI, redn. of the intermediate isoquinolinium salt with NaBH4, and demethylation with BBr3. Example compd. II inhibits ER-.alpha. with an IC50 of >1000 .mu.M, while inhibiting ER-.beta. with an IC50 of 107 .mu.M. Biol. data of three other example compds. is given. A combinatorial library of 74 1-[3-[2-(aminoethoxy)]benzyl]-2-(4-fluorophenyl)-6-hydroxy-1,2,3,4-isoquinolines was prepd.

IT **295317-83-8P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydroisoquinolines, tetrahydrobenzazepines, and isoindolines as selective modulators of ER-.beta. in the treatment of estrogen-related conditions such as breast cancer and prostatic hypertrophy)

RN 295317-83-8 CAPLUS

CN Sulfamic acid, 2-(4-fluorophenyl)-1,2,3,4-tetrahydro-1-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]-6-isoquinolinyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:157801 CAPLUS
DOCUMENT NUMBER: 136:216935
TITLE: Preparation of thioether-sulfamate steroids as **steroid sulfatase inhibitors** and anti-cancer compounds
INVENTOR(S): Potter, Barry Victor Lloyd; Reed, Michael John
PATENT ASSIGNEE(S): Sterix Limited, UK
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016394	A1	20020228	WO 2001-GB3705	20010817

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-20498 A 20000818

OTHER SOURCE(S): MARPAT 136:216935

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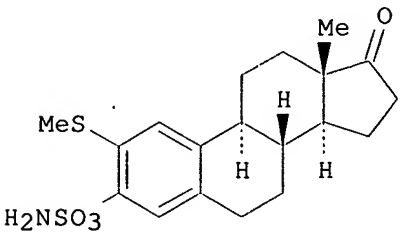


I

AB The title compds. R1X(R2)(R3)K (X is a ring having at least 4 atoms; K is a hydrocarbyl group; R1 is an optional group of the formula -L1-S-R1', L1 is an optional linker group and R1' is a hydrocarbyl group; R2 is an optional group of the formula -L2-S-R2', L2 is an optional linker group and R2' is a hydrocarbyl group; R3 is any one of a sulfamate group, a phosphonate group, a thiophosphonate group, a sulfonate group or a sulfonamide group; at least one of R1 and R2 is present), were prepd. for inhibition of steroid sulfatase (STS) and/or is capable of acting as a modulator of cell cycling and/or as a modulator of apoptosis and/or as a modulator of cell growth. Thus, estrone was converted to thesulfamoylestratriene I in five steps via the protected methoxymethyl ethylenedioxy deriv. The STS inhibition was detd in accordance with the placental microsomes assay and a plate assay, e.g. at 1 .mu.M I inhibited placental microsomes by 86.9 %.

IT **9025-62-1, Steroid sulfatase**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of thioether-sulfamate steroids as **steroid sulfatase inhibitors** and anti-cancer compds.)

RN 9025-62-1 CAPLUS

CN Sulfatase, sterol (9CI) (CA INDEX NAME)

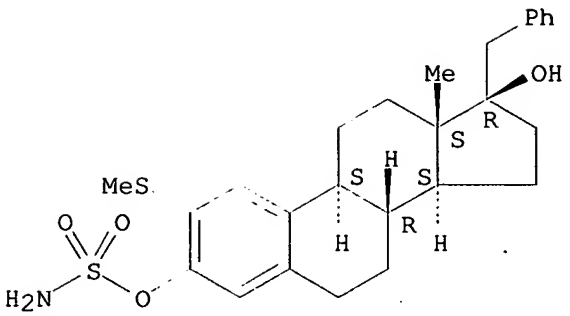
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **401600-80-4P 401600-82-6P 401600-83-7P**
401600-84-8P 401600-85-9P 401893-30-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thioether-sulfamate steroids as **steroid sulfatase inhibitors** and anti-cancer compds.)

RN 401600-80-4 CAPLUS

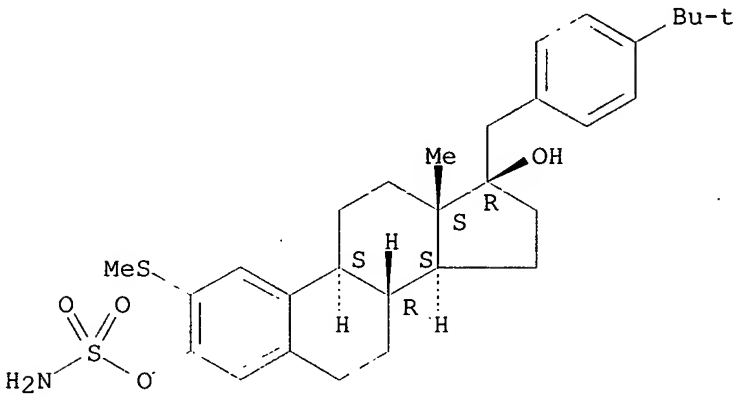
CN Estra-1,3,5(10)-triene-3,17-diol, 2-(methylthio)-17-(phenylmethyl)-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



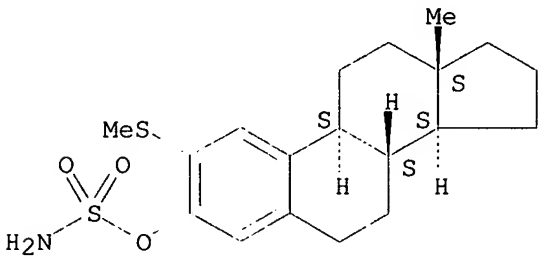
RN 401600-82-6 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[[4-(1,1-dimethylethyl)phenyl]methyl]-2-(methylthio)-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



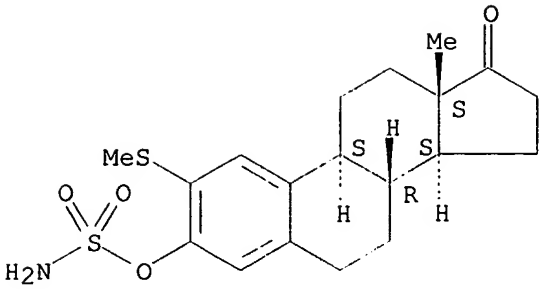
RN 401600-83-7 CAPLUS
CN Estra-1,3,5(10)-trien-3-ol, 2-(methylthio)-, sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



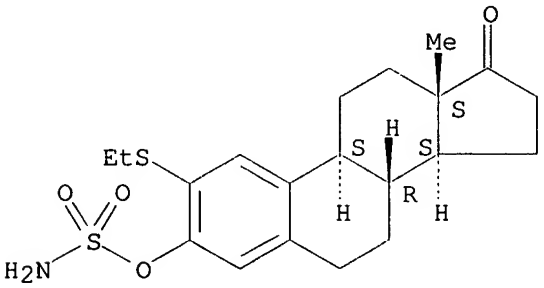
RN 401600-84-8 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-(methylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



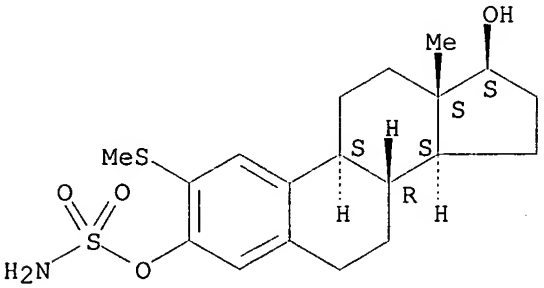
RN 401600-85-9 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-(ethylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 401893-30-9 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 2-(methylthio)-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:157800 CAPLUS
DOCUMENT NUMBER: 136:200349
TITLE: Preparation of estrogen 3-sulfamate derivatives for pharmaceutical use as **steroid sulfatase inhibitors**
INVENTOR(S): Potter, Barry Victor Lloyd; Reed, Michael John
PATENT ASSIGNEE(S): Sterix Limited, UK
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

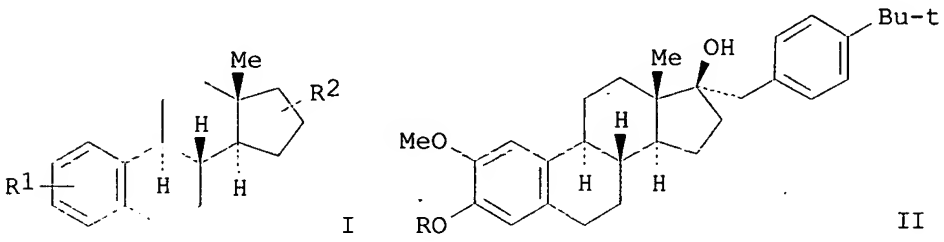
Searched by Barb O'Bryen, STIC 308-4291

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016393	A1	20020228	WO 2001-GB3692	20010817

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-20498 A 20000818
OTHER SOURCE(S): MARPAT 136:200349
GI

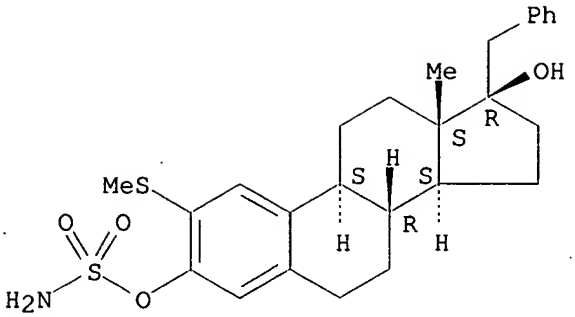


AB Estrogen 3-sulfamate derivs., such as I [R1 = sulfamate, a phosphonate, thiophosphonate, sulfonate, sulfonamide; R2 = L-R3; L = an optional linker group; R3 = arom. hydrocarbyl group], were prepd. for use as steroid sulfatase inhibitors for the treatment of diseases, such as breast cancer. Thus, 2-methoxyestrone was reacted with 4-tert-butybenzylmagnesium bromide to give II (R = H) which on reaction with sulfamoyl chloride afford sulfamate II (R = SO2NH2). The prepd. sulfamates were tested for inhibiting activity against steroid sulfatase enzyme in MCF-7 cells and placental microsomes.

IT **9025-62-1, Steroid sulfatase**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of estrogen 3-sulfamate derivs. for pharmaceutical use as **steroid sulfatase inhibitors**)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **401600-80-4P**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of estrogen 3-sulfamate derivs. for pharmaceutical use as **steroid sulfatase inhibitors**)
RN 401600-80-4 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 2-(methylthio)-17-(phenylmethyl)-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

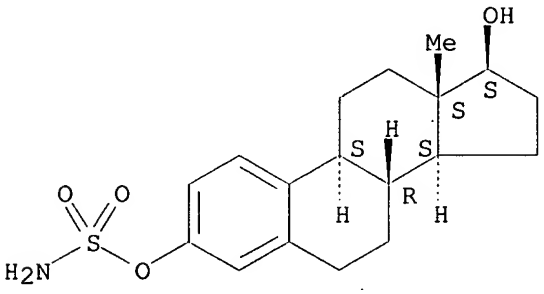


IT **172377-52-5P**, BLE 00084 **213472-36-7P**, BLE 99031B
401600-82-6P 401600-83-7P 401600-84-8P
401600-85-9P 401600-86-0P 401600-87-1P
401818-59-5P, BLE 99065 **401818-60-8P**, BLE 00069
401818-61-9P, BLE 99074 **401818-62-0P**, BLE 00083B
401818-63-1P, BLE 99063 **401818-64-2P**, BLE 99068
401818-65-3P, BLE 01018 **401818-66-4P**, BLE 01016
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of estrogen 3-sulfamate derivs. for pharmaceutical use as **steroid sulfatase inhibitors**)

RN 172377-52-5 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 3-sulfamate (9CI) (CA INDEX NAME)

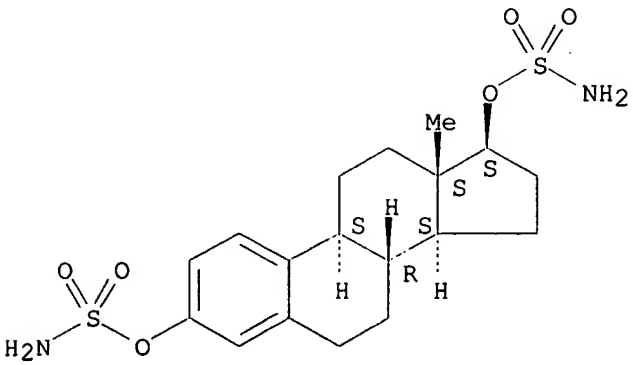
Absolute stereochemistry. Rotation (+).



RN 213472-36-7 CAPLUS

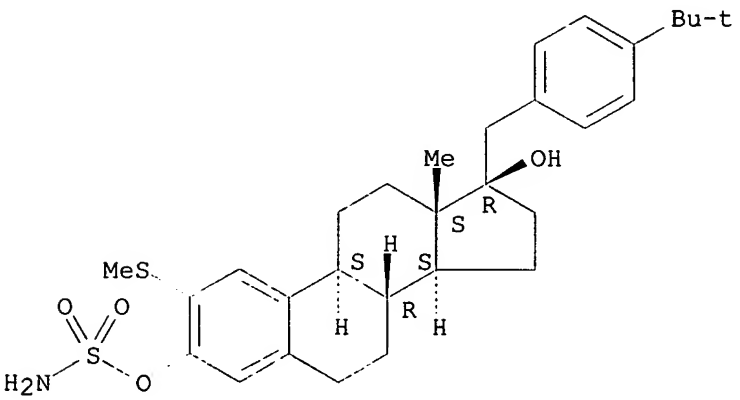
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, disulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



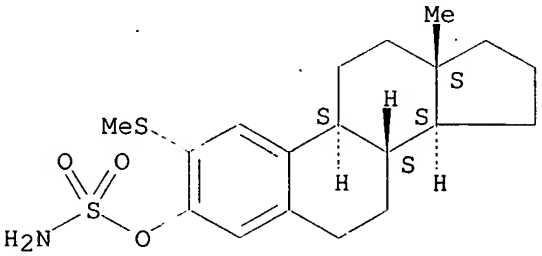
RN 401600-82-6 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[[4-(1,1-dimethylethyl)phenyl]methyl]-2-(methylthio)-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



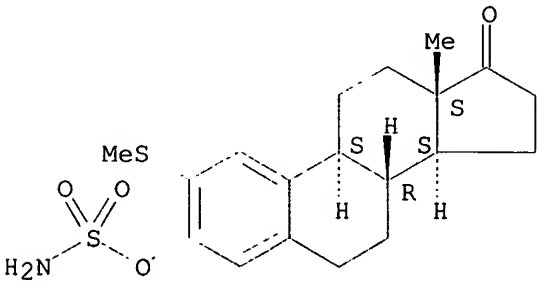
RN 401600-83-7 CAPLUS
CN Estra-1,3,5(10)-trien-3-ol, 2-(methylthio)-, sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



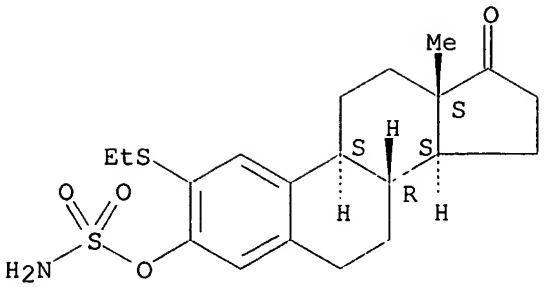
RN 401600-84-8 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-(methylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



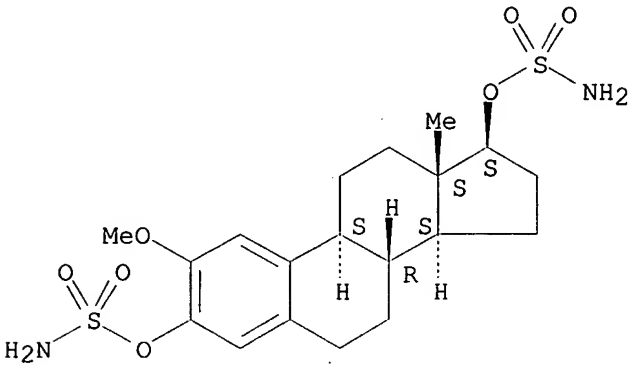
RN 401600-85-9 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-(ethylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



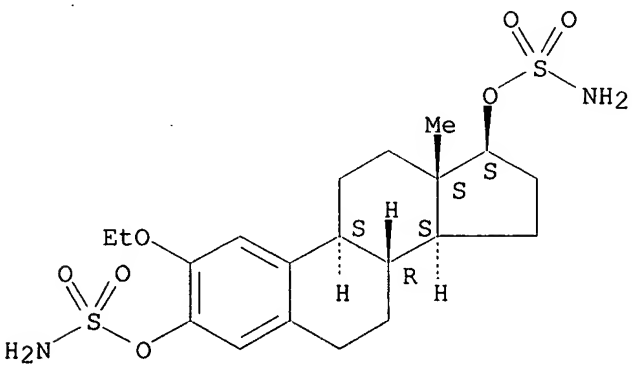
RN 401600-86-0 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-, disulfamate, (17.beta.)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



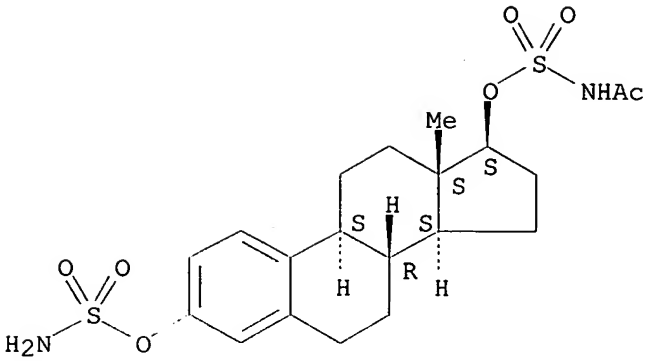
RN 401600-87-1 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 2-ethoxy-, disulfamate, (17.beta.)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



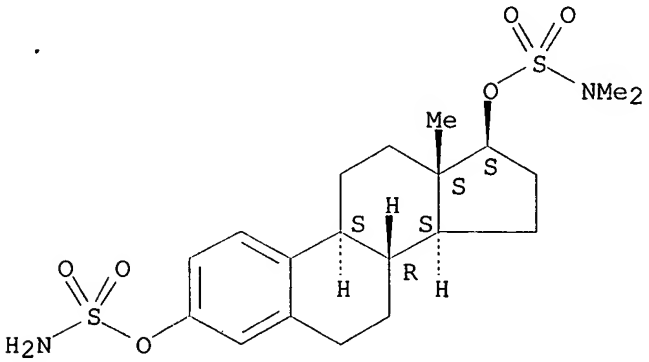
RN 401818-59-5 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 17-(acetylsulfamate)
3-sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



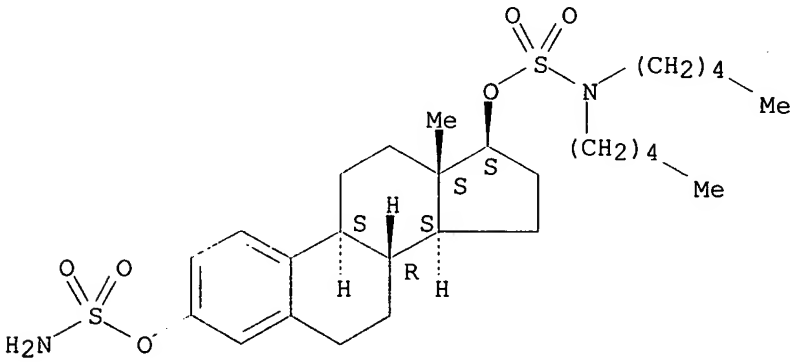
RN 401818-60-8 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 17-(dimethylsulfamate) 3-sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



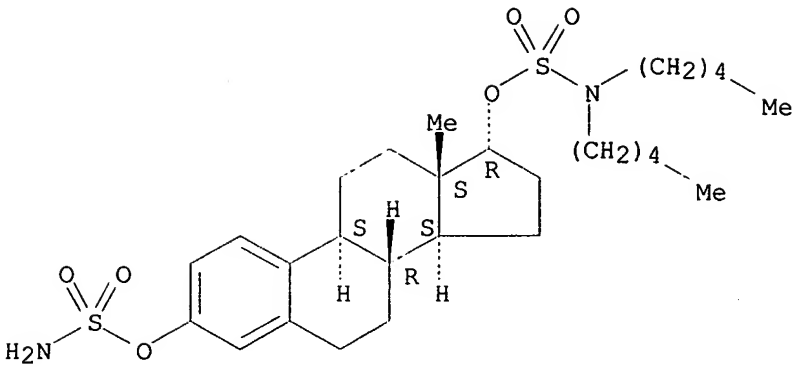
RN 401818-61-9 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 17-(dipentylsulfamate) 3-sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



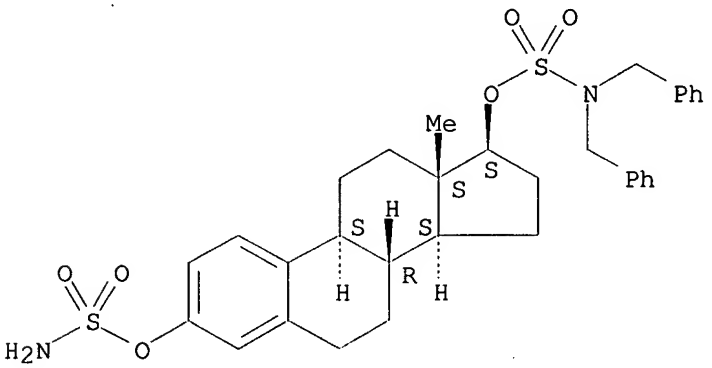
RN 401818-62-0 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-(dipentylsulfamate) 3-sulfamate, (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



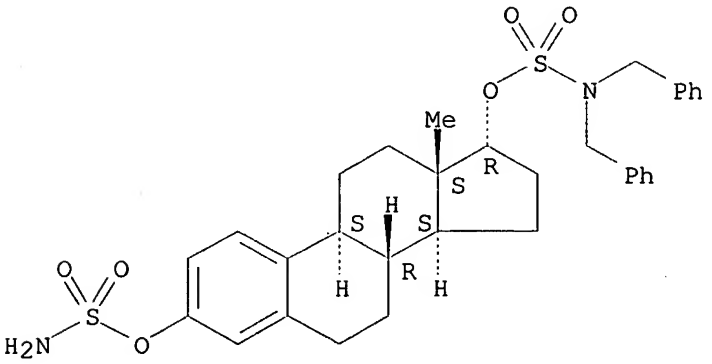
RN 401818-63-1 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 17-[bis(phenylmethyl)sulfamate] 3-sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



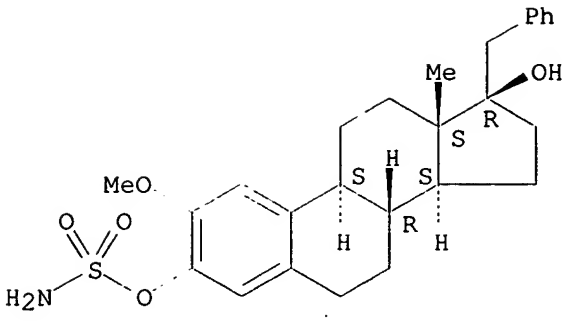
RN 401818-64-2 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[bis(phenylmethyl)sulfamate] 3-sulfamate, (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



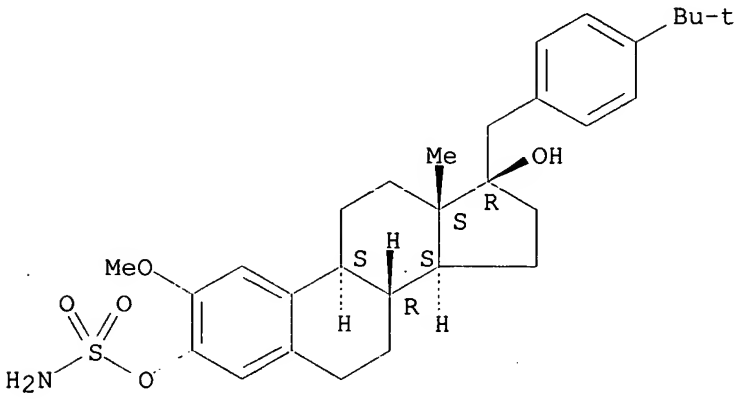
RN 401818-65-3 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-17-(phenylmethyl)-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



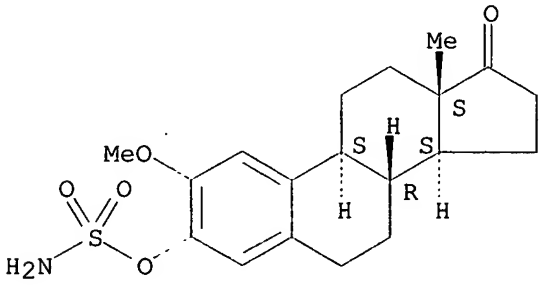
RN 401818-66-4 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[[4-(1,1-dimethylethyl)phenyl]methyl]-2-methoxy-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



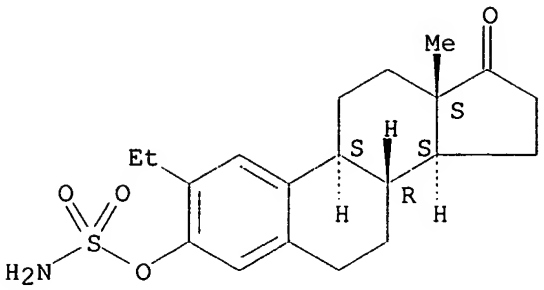
IT **185910-34-3 304681-51-4**, 2-Ethyl estrone-3-O-sulfamate
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of estrogen 3-sulfamate derivs. for pharmaceutical use as **steroid sulfatase inhibitors**)
RN 185910-34-3 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 304681-51-4 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



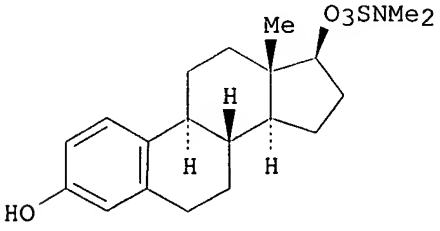
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:157799 CAPLUS
DOCUMENT NUMBER: 136:216934
TITLE: Preparation of oestrogen-17-sulfamates as **inhibitors of steroid sulfatase**
INVENTOR(S): Potter, Barry Victor Lloyd; Reed, Michael John
PATENT ASSIGNEE(S): Sterix Limited, UK
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016392	A1	20020228	WO 2001-GB3688	20010817

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-20498 A 20000818
OTHER SOURCE(S): MARPAT 136:216934
GI



I

AB The estrogen-17-sulfamates R1-X-R2 (I, X = ring system, R1 = sulfamate, phosphonate, thiophosphonate, sulfonate, or sulfonamide group; R2 = sulfamate, phosphonate, thiophosphonate, sulfonate or sulfonamide group);

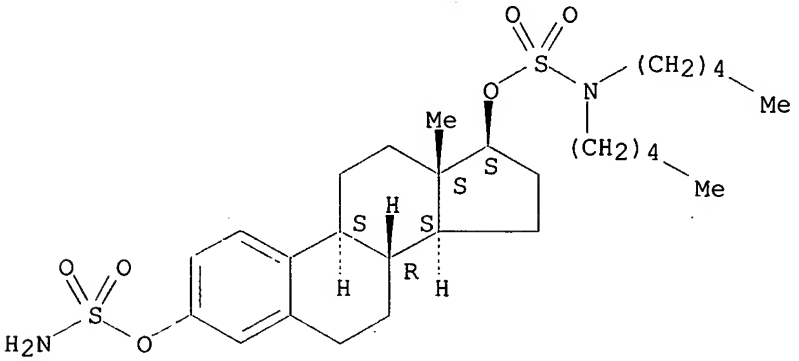
when X is a steroidal structure and both of R1 and R2 are sulfamate groups, the steroidal ring system X represents an estrogen the compd. is capable of inhibiting steroid sulfatase (STS) activity and/or is capable of acting as a modulator of cell cycling and/or as a modulator of apoptosis and/or as a modulator of cell growth. When I (R2 = sulfamate, phosphonate, thiophosphonate, a sulfonate, or sulfonamide group) the compd. is capable of inhibiting steroid sulfatase (STS) activity and/or is capable of acting as a modulator of cell cycling and/or as a modulator of apoptosis and/or as a modulator of cell growth. Thus estrone was benzylated followed by redn. reaction with sulfamoyl chloride, methylation and debenzylation to give 3-hydrowyestra-1,2,5(10)-trien-17.beta.-O-(N,N-dimethyl)sulfamate (II). At 1.mu.M II showed 8.7% placental microcsome inhibition.

IT **9025-62-1, Steroid sulfatase**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of estrogen-17-sulfamates as **inhibitors** of **steroid sulfatase**)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

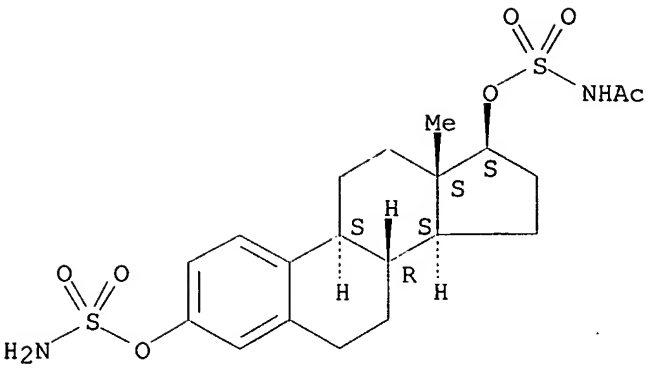
IT **401818-61-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of estrogen-17-sulfamates as **inhibitors** of **steroid sulfatase**)
RN 401818-61-9 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 17-(dipentylsulfamate) 3-sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



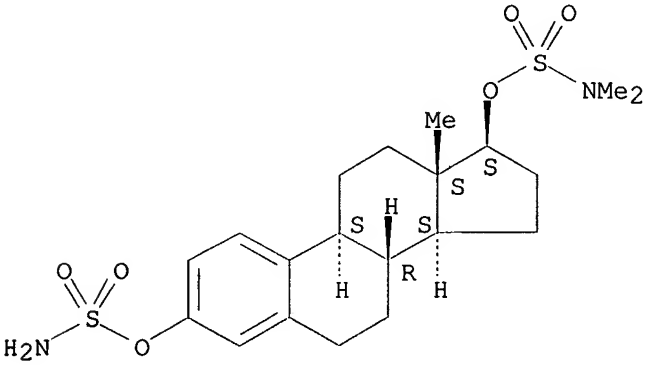
IT **401818-59-5P 401818-60-8P 401818-62-0P,**
17.alpha.-Estradiol 3-sulfamate 17-dipentylsulfamate **401818-63-1P 401818-64-2P**, BLE 99068
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of estrogen-17-sulfamates as **inhibitors** of **steroid sulfatase**)
RN 401818-59-5 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 17-(acetylsulfamate) 3-sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



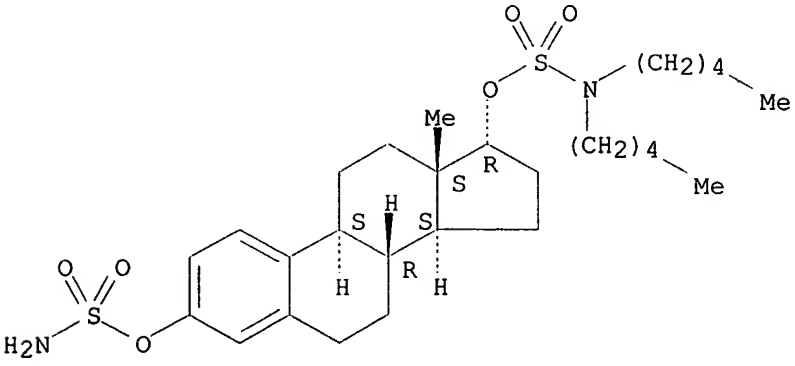
RN 401818-60-8 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 17-(dimethylsulfamate) 3-sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



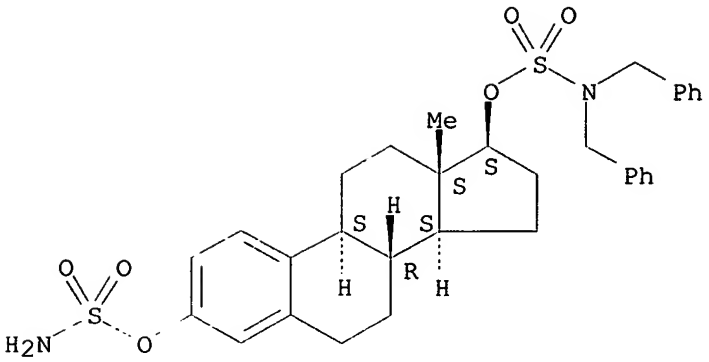
RN 401818-62-0 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-(dipentylsulfamate) 3-sulfamate, (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



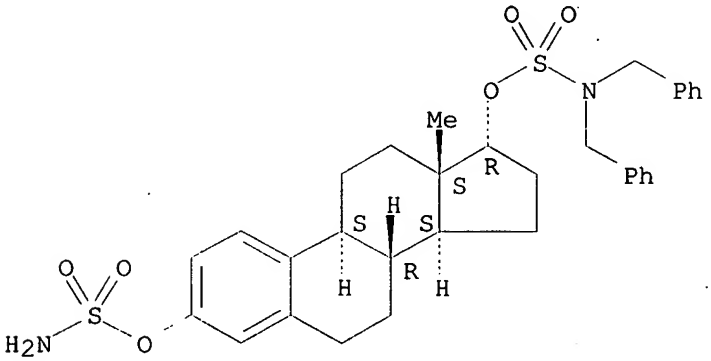
RN 401818-63-1 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 17-[bis(phenylmethyl)sulfamate] 3-sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



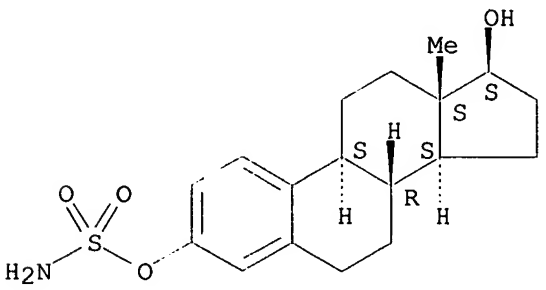
RN 401818-64-2 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[bis(phenylmethyl)sulfamate] 3-sulfamate, (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **172377-52-5 213472-36-7 401600-86-0**
401600-87-1 401818-65-3 401818-66-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of estrogen-17-sulfamates as **inhibitors** of **steroid sulfatase**)
RN 172377-52-5 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 3-sulfamate (9CI) (CA INDEX NAME)

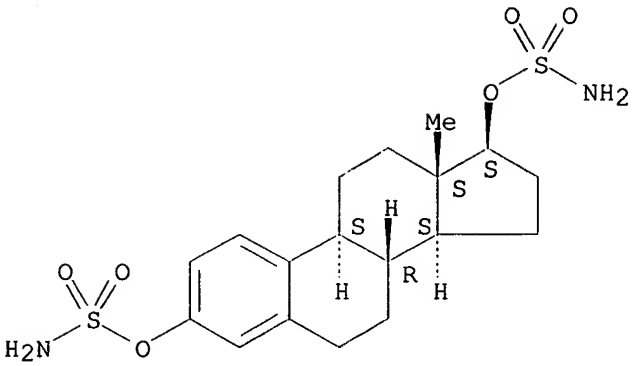
Absolute stereochemistry. Rotation (+).



RN 213472-36-7 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, disulfamate (9CI) (CA INDEX

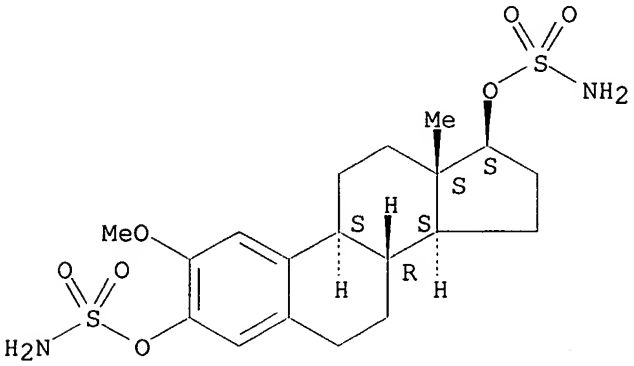
NAME)

Absolute stereochemistry.



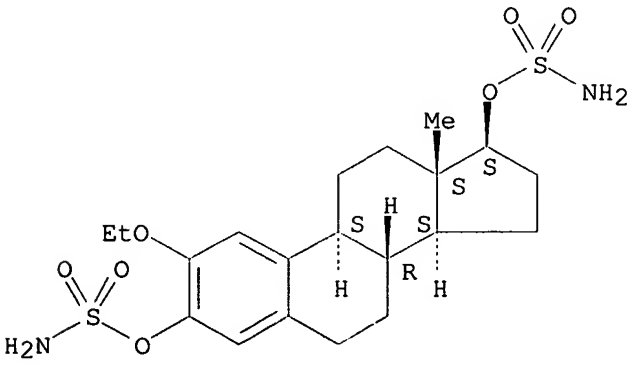
RN 401600-86-0 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-, disulfamate, (17.beta.)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 401600-87-1 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 2-ethoxy-, disulfamate, (17.beta.)-
(9CI) (CA INDEX NAME)

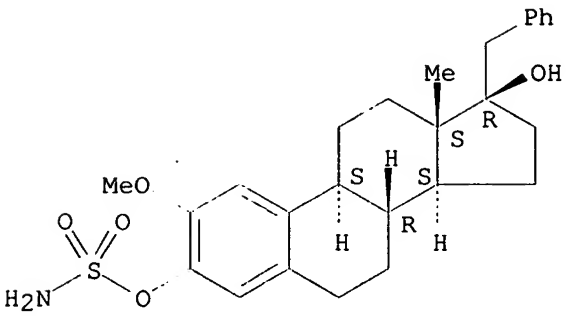
Absolute stereochemistry.



RN 401818-65-3 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-17-(phenylmethyl)-,

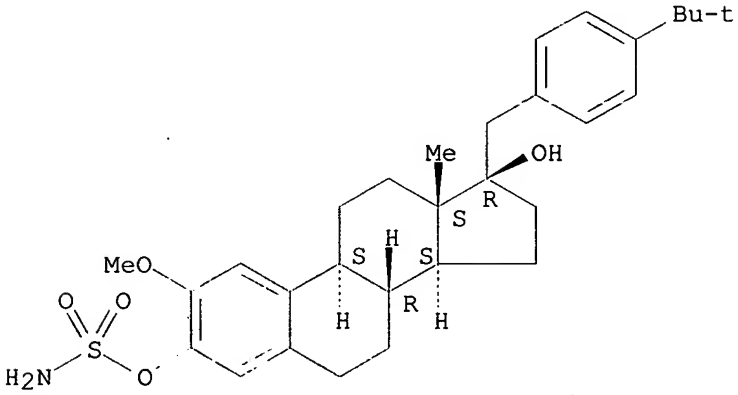
3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



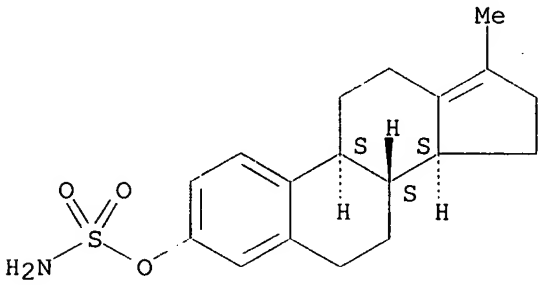
RN 401818-66-4 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[[4-(1,1-dimethylethyl)phenyl]methyl]-2-methoxy-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **402496-31-5P**, BLE 00083A
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of estrogen-17-sulfamates as **inhibitors** of **steroid sulfatase**)
RN 402496-31-5 CAPLUS
CN Gona-1,3,5(10),13(17)-tetraen-3-ol, 17-methyl-, sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



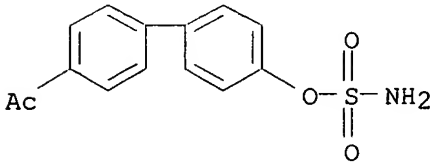
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:63493 CAPLUS
DOCUMENT NUMBER: 136:112635
TITLE: Biphenylyl sulfamates as **steroid sulfatase inhibitors** for estrogen-dependent diseases
INVENTOR(S): Jinbo, Yoshikazu; Miyasaka, Tomohiro; Inoue, Yoshimasa
PATENT ASSIGNEE(S): Japan Organo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

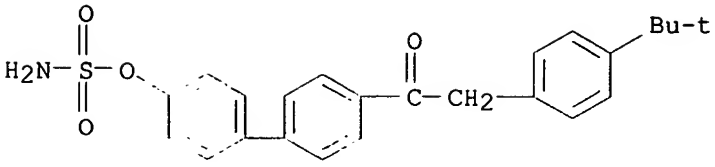
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002020362	A2	20020123	JP 2000-245314	20000706

OTHER SOURCE(S): MARPAT 136:112635
AB 4-RC6H4C6H4OSO2NH2-4 [I; R = CO2H, CONR1R2, CONR1OCH2Ph, COR2, C(OH)R1R2; R1 = H, (un)substituted alkyl; 2 = (un)substituted alkyl] are prepd. I are useful for treatment of mammary cancer, **endometrial** cancer, **endometriosis**, uterine myoma, etc. I (R = COCH2C6H4CMe3-4) (prepn. given) inhibited human placenta-derived steroid sulfatase at IC50 3.6 .mu.M.
IT **9025-62-1, Steroid sulfatase**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of biphenylyl sulfamates as **steroid sulfatase inhibitors** for treatment of estrogen-dependent diseases)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **390358-08-4P 390358-09-5P**
RL: **PAC (Pharmacological activity)**; RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of biphenylyl sulfamates as **steroid sulfatase inhibitors** for treatment of estrogen-dependent diseases)
RN 390358-08-4 CAPLUS
CN Sulfamic acid, 4'-acetyl[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)

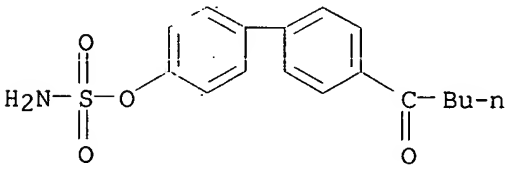


RN 390358-09-5 CAPLUS
CN Sulfamic acid, 4'-[[4-(1,1-dimethylethyl)phenyl]acetyl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)

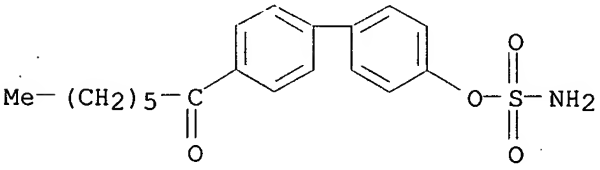


IT **390358-11-9P 390358-12-0P 390358-14-2P**
390358-16-4P 390358-17-5P 390358-19-7P
390358-21-1P 390358-23-3P 390358-25-5P
390358-27-7P 390358-29-9P 390358-31-3P
390358-33-5P 390358-34-6P 390358-35-7P
390358-36-8P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of biphenylyl sulfamates as **steroid sulfatase inhibitors** for treatment of estrogen-dependent diseases)

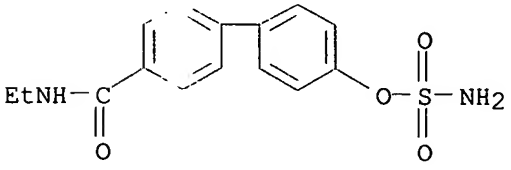
RN 390358-11-9 CAPLUS
CN Sulfamic acid, 4'-(1-oxopentyl)[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



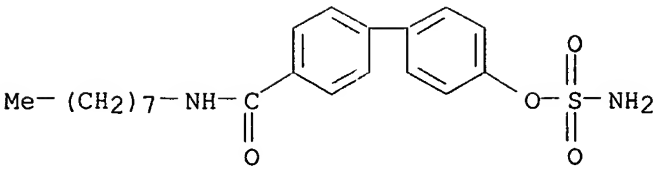
RN 390358-12-0 CAPLUS
CN Sulfamic acid, 4'-(1-oxoheptyl)[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



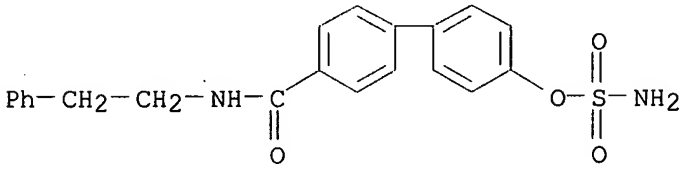
RN 390358-14-2 CAPLUS
CN Sulfamic acid, 4'-[(ethylamino)carbonyl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



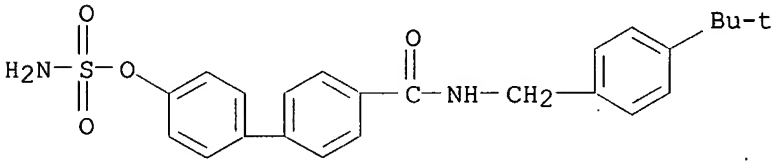
RN 390358-16-4 CAPLUS
CN Sulfamic acid, 4'-[(octylamino)carbonyl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



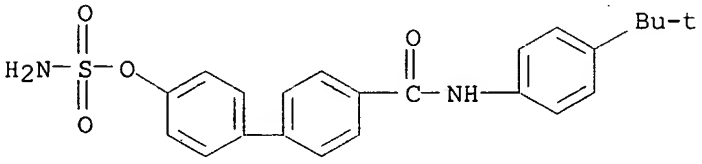
RN 390358-17-5 CAPLUS
CN Sulfamic acid, 4'-[[(2-phenylethyl)amino]carbonyl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



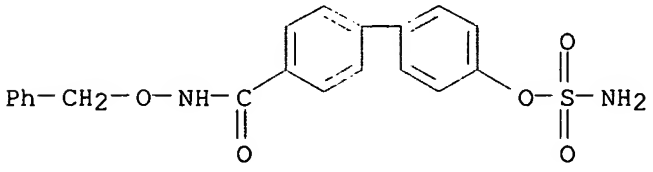
RN 390358-19-7 CAPLUS
CN Sulfamic acid, 4'-[[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]carbonyl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



RN 390358-21-1 CAPLUS
CN Sulfamic acid, 4'-[[[4-(1,1-dimethylethyl)phenyl]amino]carbonyl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)

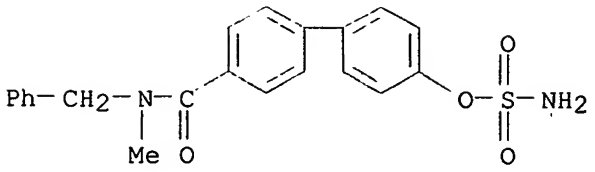


RN 390358-23-3 CAPLUS
CN Sulfamic acid, 4'-[[(phenylmethoxy)amino]carbonyl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)

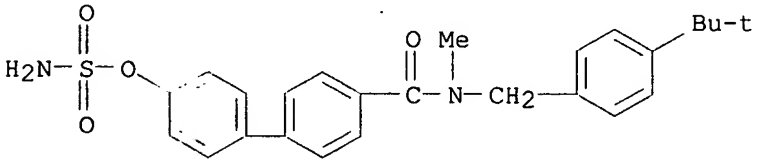


RN 390358-25-5 CAPLUS
CN Sulfamic acid, 4'-[[methyl(phenylmethyl)amino]carbonyl][1,1'-biphenyl]-4-

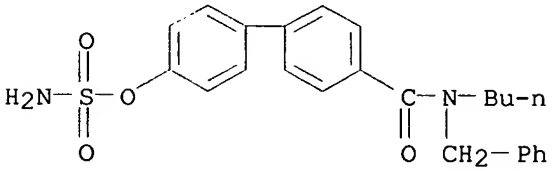
yl ester (9CI) (CA INDEX NAME)



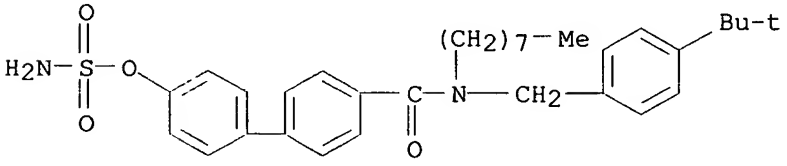
RN 390358-27-7 CAPLUS
CN Sulfamic acid, 4'-[[[[4-(1,1-dimethylethyl)phenyl]methyl]methylamino]carbonyl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



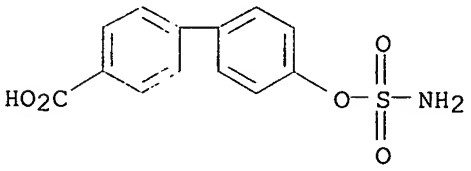
RN 390358-29-9 CAPLUS
CN Sulfamic acid, 4'-[[butyl(phenylmethyl)amino]carbonyl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



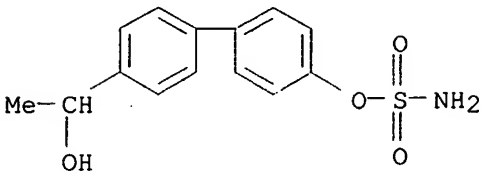
RN 390358-31-3 CAPLUS
CN Sulfamic acid, 4'-[[[[4-(1,1-dimethylethyl)phenyl]methyl]octylamino]carbonyl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



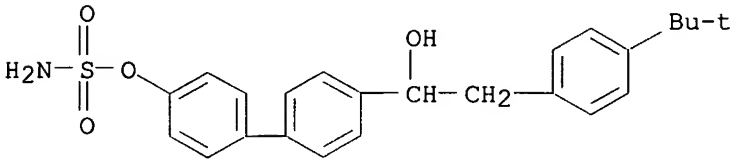
RN 390358-33-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)



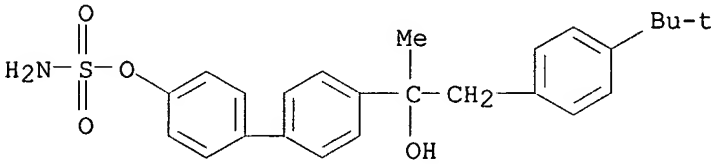
RN 390358-34-6 CAPLUS
CN Sulfamic acid, 4'-(1-hydroxyethyl)[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



RN 390358-35-7 CAPLUS
CN Sulfamic acid, 4'-[2-[4-(1,1-dimethylethyl)phenyl]-1-hydroxyethyl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



RN 390358-36-8 CAPLUS
CN Sulfamic acid, 4'-[2-[4-(1,1-dimethylethyl)phenyl]-1-hydroxy-1-methylethyl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



L54 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:580 CAPLUS
DOCUMENT NUMBER: 136:145404
TITLE: Estrogen sulfamates: A new approach to oral estrogen therapy
AUTHOR(S): Elger, W.; Barth, A.; Hedden, A.; Reddersen, G.; Ritter, P.; Schneider, B.; Zuchner, J.; Krahl, E.; Muller, K.; Oettel, M.; Schwarz, Sigfrid
CORPORATE SOURCE: EnTec GmbH Jena, Jena, 07745, Germany
SOURCE: Reproduction, Fertility and Development (2001), 13(4), 297-305
CODEN: RFDEEH; ISSN: 1031-3613
PUBLISHER: CSIRO Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Sulfamate substitution (-O-SO2-NH2) at carbon atom 3 of the steroid skeleton leads to orally active prodrugs of estrogens with much higher systemic, but lower hepatic, estrogenic activity than their parent steroids. This dissocn. is achieved by first passage through the liver in erythrocytes, followed by systemic hydrolysis which releases the "parent" estrogen. In the rat, orally administered tritiated estradiol sulfamate, unlike estradiol, appears in the circulation at high concns. At Cmax, approx. one-third of the administered dose forms a depot in the

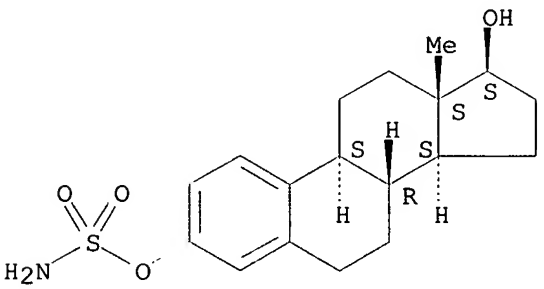
circulation (98% in erythrocytes, 2% in plasma). Significant estradiol, estrone and estrone sulfate concns. were recorded in plasma during depletion of the red blood cell pool. Estradiol sulfamate (J995) has no estrogen receptor affinity per se or estrogenic activity in vitro (i.e., without hydrolysis). Its oral uterotropic activity in rats is approx. 100 times greater than that of estradiol, however, its hepatotropic activity is only marginally elevated. These functions include bile secretion, the secretion of angiotensinogen, lipoproteins (total and high-d. lipoprotein cholesterol) and IGF-I. Orally administered estradiol sulfamate led to systemic estrogenic effects without significant hepatic responses, whereas estradiol and other conventional estrogens exerted parallel systemic and hepatic estrogenic effects. Sulfamate technol. represents an approach to the use of natural estrogens for **fertility** control and hormone replacement therapy in both genders. In this context, reduced effects on hemostatic factors, angiotensinogen, bile and IGF-I secretion seem the most important aspects. In addn., blood concns. of estrogens are less variable than with conventional estrogens.

IT **172377-52-5**, Estradiol 3-sulfamate
RL: BSU (Biological study, unclassified); **PAC (Pharmacological activity)**; **PKT (Pharmacokinetics)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(estrogen sulfamates as new approach to oral estrogen therapy)

RN 172377-52-5 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 3-sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:916410 CAPLUS
DOCUMENT NUMBER: 136:31708
TITLE: Coumarin derivatives for modulation of estrogen receptors
INVENTOR(S): Bhagwat, Shripad S.; McKie, Jeffrey A.; Khammungkhune, Sak
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: U.S., 35 pp., Cont.-in-part of U. S. Ser. No. 492,939. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6331562	B1	20011218	US 2000-611156	20000706
WO 2000039120	A2	20000706	WO 1999-US31290	19991230
WO 2000039120	A3	20001026		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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US 6291456 B1 20010918 US 2000-492939 20000127
WO 2001049673 A2 20010712 WO 2000-US35671 20001229
WO 2001049673 A3 20011206

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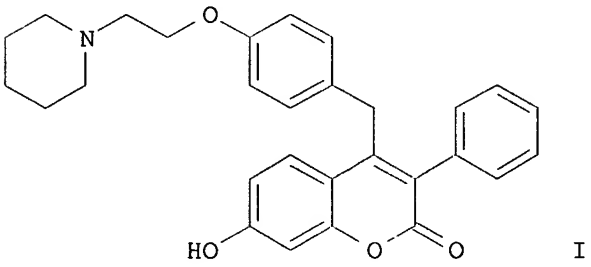
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1998-114472P P 19981230
US 1999-475776 B2 19991230
WO 1999-US31290 A2 19991230
US 2000-492939 A2 20000127
US 2000-611156 A 20000706

OTHER SOURCE(S): MARPAT 136:31708

GI



I

AB Compds. that modulate gene expression through the estrogen receptor (ER) are disclosed. In a specific embodiment, the compds. are selective modulators for ER-.beta. over ER-.alpha.. Methods are also disclosed for modulating ER-.beta. in cells and/or tissues expressing the same, including cells and/or tissues that preferentially express ER-.beta.. More generally, methods for treating estrogen-related conditions are also disclosed, including conditions such as is breast cancer, testicular cancer, osteoporosis, **endometriosis**, cardiovascular disease, hypercholesterolemia, prostatic hypertrophy, prostatic carcinomas, obesity, hot flashes, skin effects, mood swings, memory loss, urinary incontinence, hair loss, cataracts, natural hormonal imbalances, and adverse reproductive effects assocd. with exposure to environmental chems. E.g., I was prepd. and examples are given activity of representative compds. on IL-6 and GM-CSF prodn. in cells.

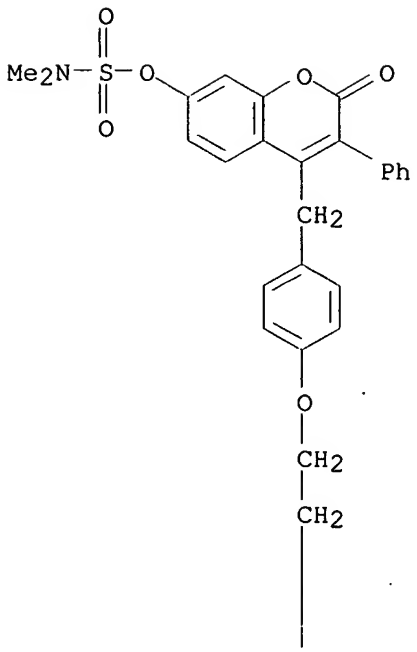
IT **280137-99-7P 280138-12-7P**
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(coumarin derivs. for modulation of estrogen receptors)

RN 280137-99-7 CAPLUS

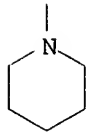
CN Sulfamic acid, dimethyl-, 2-oxo-3-phenyl-4-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]-2H-1-benzopyran-7-yl ester (9CI) (CA

INDEX NAME)

PAGE 1-A

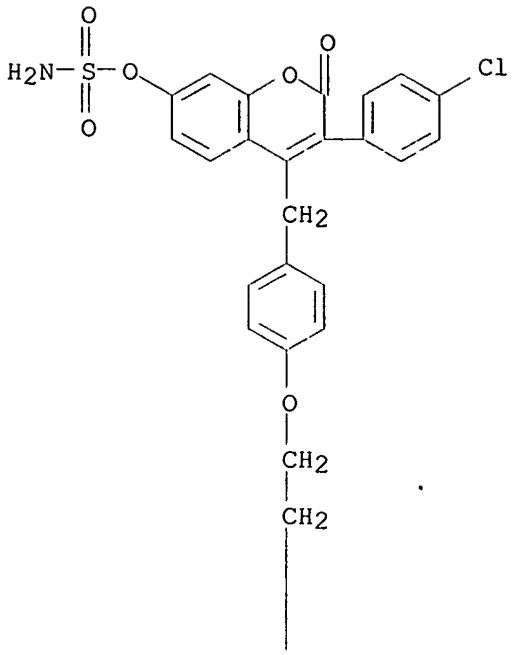


PAGE 2-A

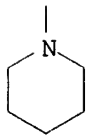


RN 280138-12-7 CAPLUS
CN Sulfamic acid, 3-(4-chlorophenyl)-2-oxo-4-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

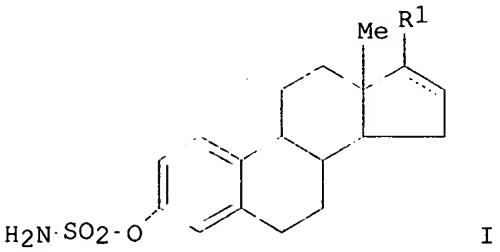
L54 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:798240 CAPLUS
DOCUMENT NUMBER: 135:331582
TITLE: Preparation of estra-1,3,5(10)-triene derivatives as antitumor agents
INVENTOR(S): Ino, Yoji; Amishiro, Nobuyoshi; Miyata, Mayumi; Agatsuma, Tsutomu; Hayashi, Kozue; Takahashi, Takeshi; Akinaga, Shiro; Murakata, Chikara
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081364	A1	20011101	WO 2001-JP3505	20010424

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,

HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-121960 A 20000424
OTHER SOURCE(S): CASREACT 135:331582; MARPAT 135:331582
GI



I

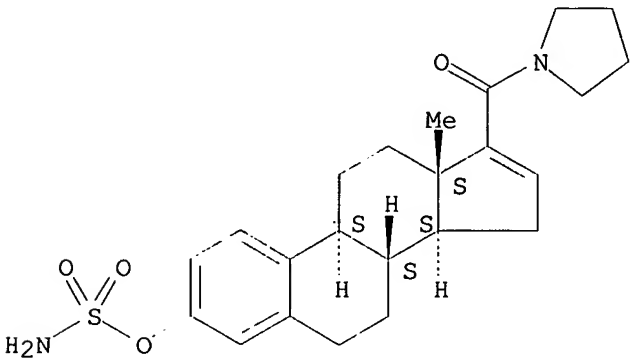
AB Title compds. [I; R1 = heterocyclylcarbonyl, cycloalkylaminocarbonyl, cycloalkylmethylaminocarbonyl, CH2:CHCH2NHCO, CHCCH2NHCO, NCCH2NHCO, (CH3)2N(CH2)2NHCO, CH3OCO(CH2)5NHCO, (CH3)2NCO(CH2)5NHCO, CH3NHCO(CH2)5NHCO, CH3CONHCH2CH2NHCO, CH3O(CH2)2NHCO, HOCH2C(CH3)2NHCO, HOOCCH(CH(CH3)2)NHCO, 3-HOOCC6H4NHCO, C6H5CH2NHCO, heterocyclylaminocarbonyl, heterocyclylalkylaminocarbonyl, heterocyclyoxycarbonyl, etc.; dotted bond = double bond, single bond] and pharmacol. acceptable salts are prepd. as steroid sulfatase inhibitors. Thus, the title compd. I (R1 = CH3OCH2CH2NHCO; dotted bond = single bond) was prepd. and tested for steroid sulfatase inhibition activity with IC50(nmol/L) = 2.8.

IT **370106-54-0P 370106-71-1P 370106-75-5P**
370106-82-4P 370106-86-8P
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of estra-1,3,5-(10)-triene derivs. as antitumor agents)

RN 370106-54-0 CAPLUS

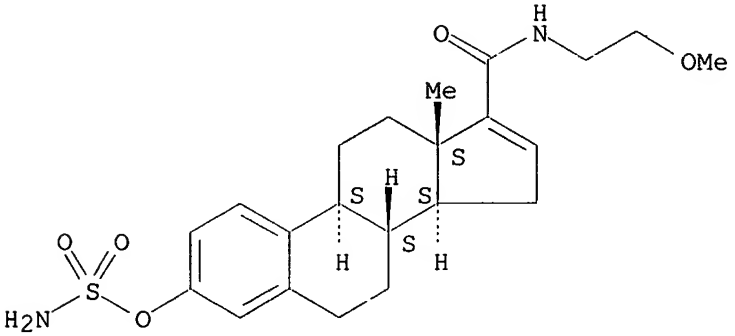
CN Sulfamic acid, 17-(1-pyrrolidinylcarbonyl)estra-1,3,5(10),16-tetraen-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



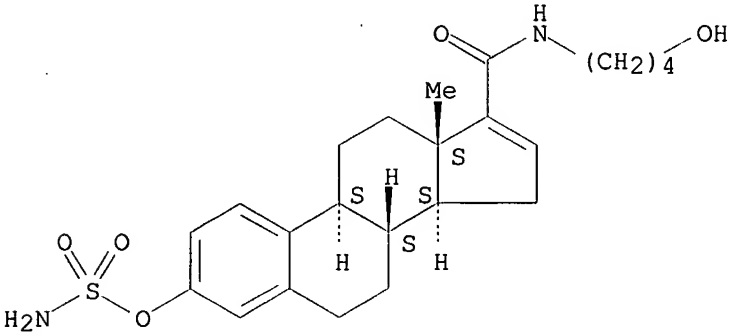
RN 370106-71-1 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



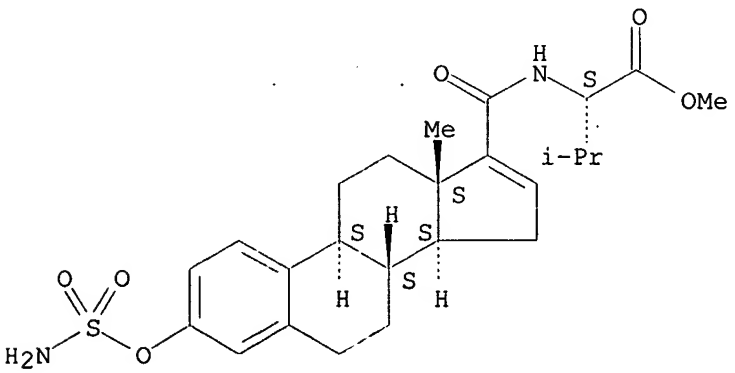
RN 370106-75-5 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(4-hydroxybutyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 370106-82-4 CAPLUS
CN L-Valine, N-[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

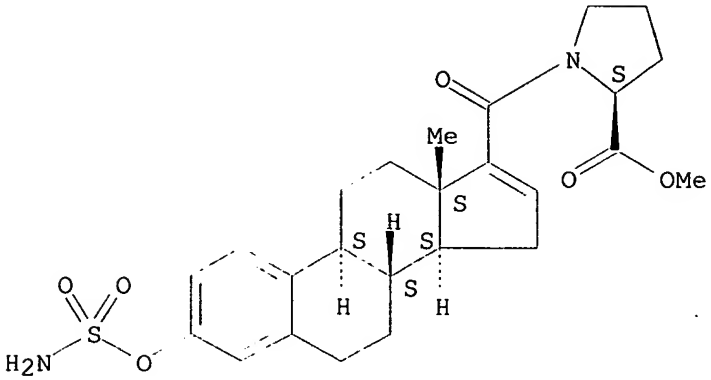
Absolute stereochemistry.



RN 370106-86-8 CAPLUS
CN L-Proline, 1-[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-

yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

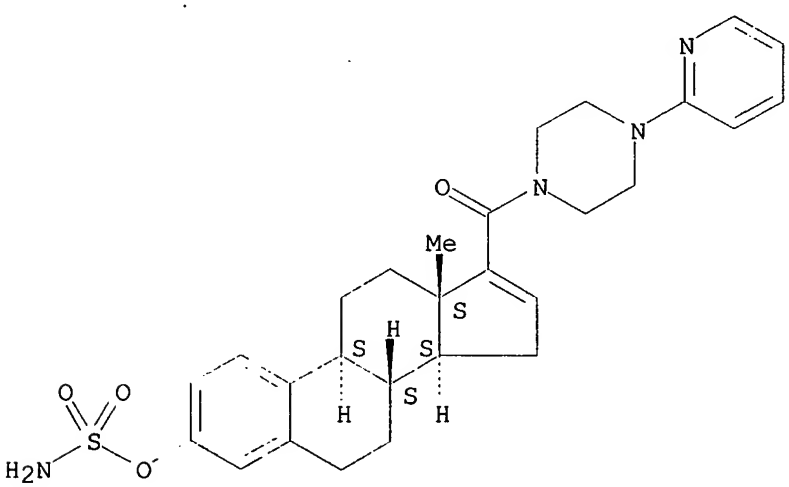
Absolute stereochemistry.



IT **370106-58-4P 370106-60-8P 370106-62-0P**
370106-63-1P 370106-67-5P 370106-76-6P
370106-87-9P 370106-90-4P 370106-92-6P
370106-93-7P 370107-00-9P 370107-02-1P
370107-08-7P 370107-11-2P 370107-15-6P
370107-22-5P 370107-24-7P 370107-26-9P
370107-29-2P 370107-34-9P 370107-36-1P
370107-38-3P 370107-41-8P 370107-43-0P
370107-44-1P 370107-45-2P
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of estra-1,3,5-(10)-triene derivs. as antitumor agents)

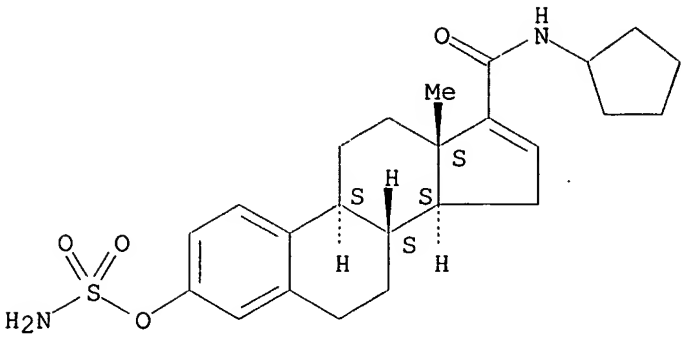
RN 370106-58-4 CAPLUS
CN Sulfamic acid, 17-[[4-(2-pyridinyl)-1-piperazinyl]carbonyl]estra-1,3,5(10),16-tetraen-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



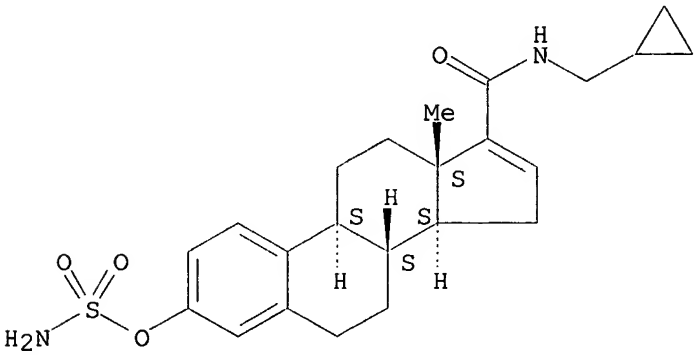
RN 370106-60-8 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-cyclopentyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



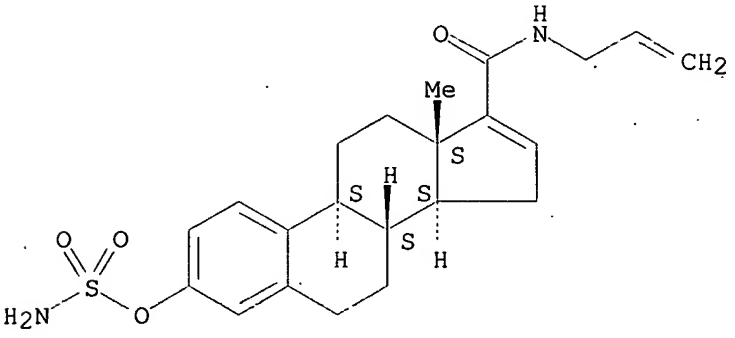
RN 370106-62-0 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(cyclopropylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



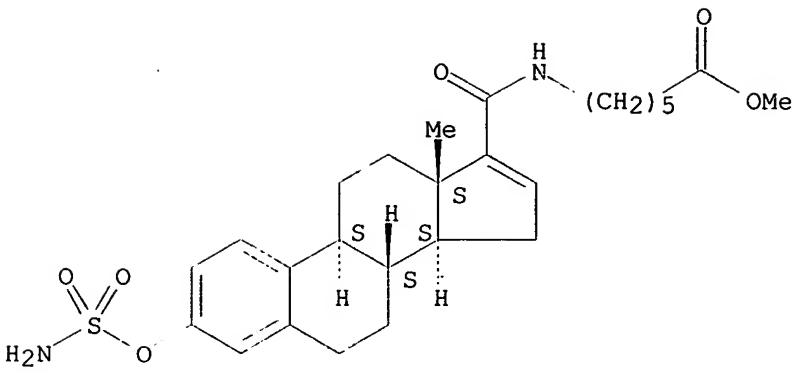
RN 370106-63-1 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



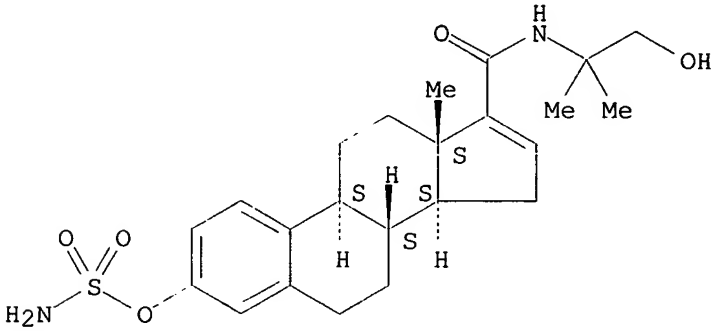
RN 370106-67-5 CAPLUS
CN Hexanoic acid, 6-[[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



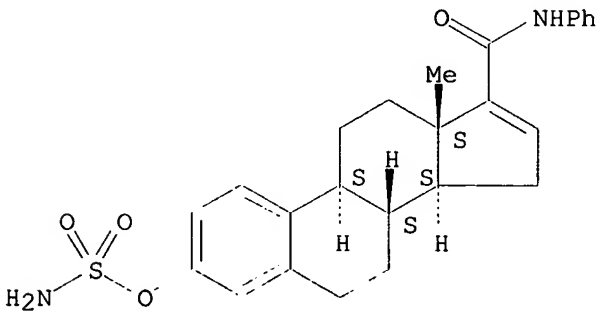
RN 370106-76-6 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(2-hydroxy-1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



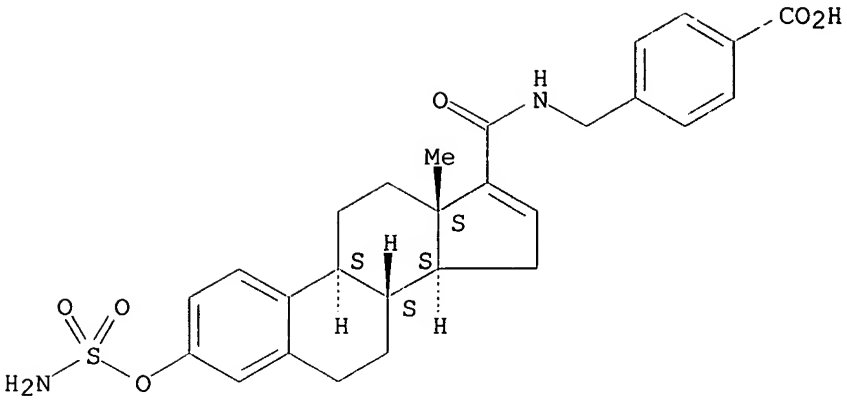
RN 370106-87-9 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



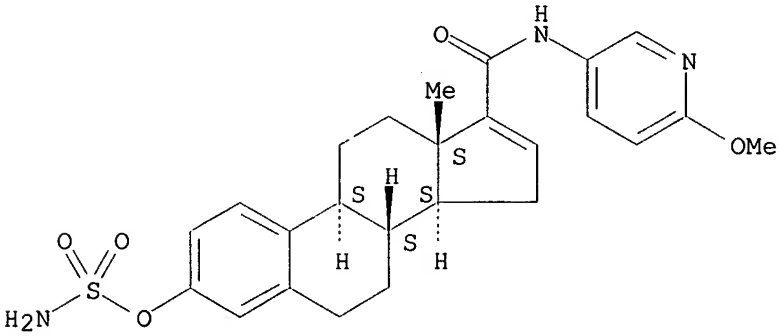
RN 370106-90-4 CAPLUS
CN Benzoic acid, 4-[[[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-yl]carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



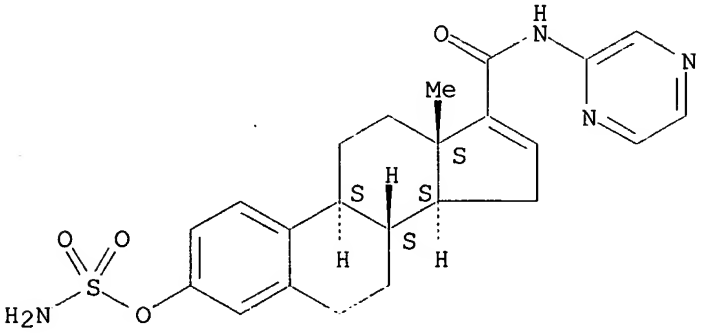
RN 370106-92-6 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



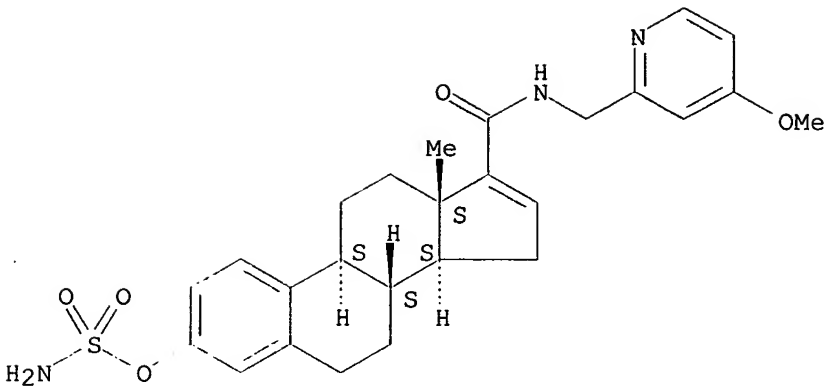
RN 370106-93-7 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-pyrazinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



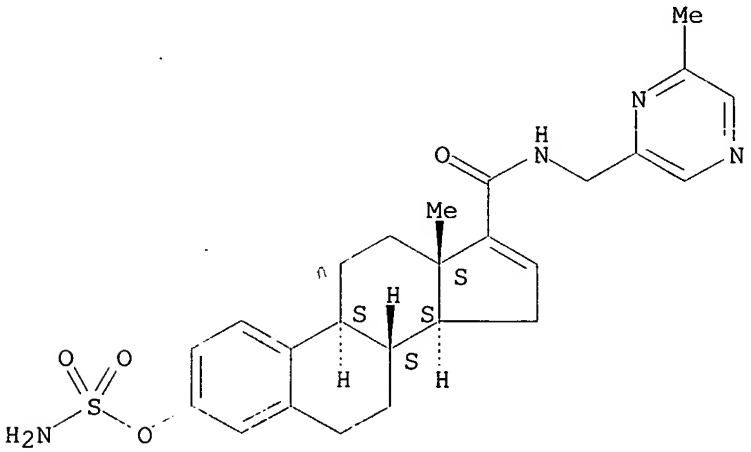
RN 370107-00-9 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[(4-methoxy-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



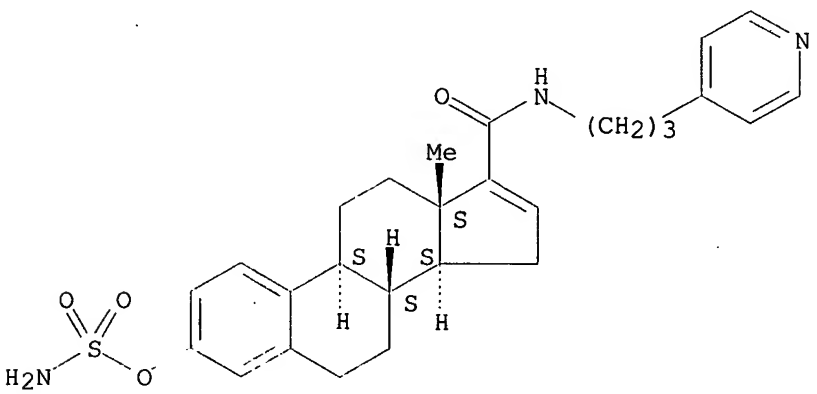
RN 370107-02-1 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[(6-methylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 370107-08-7 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

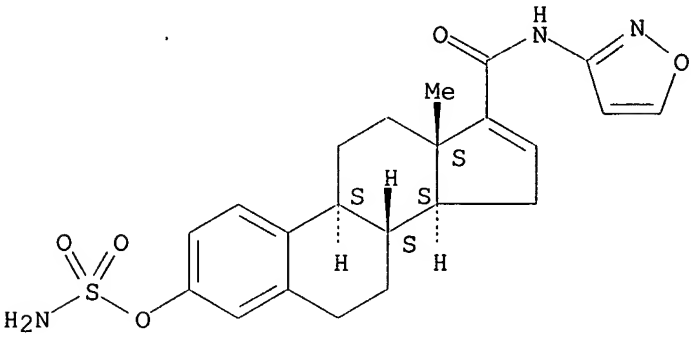
Absolute stereochèmistry.



RN 370107-11-2 CAPLUS

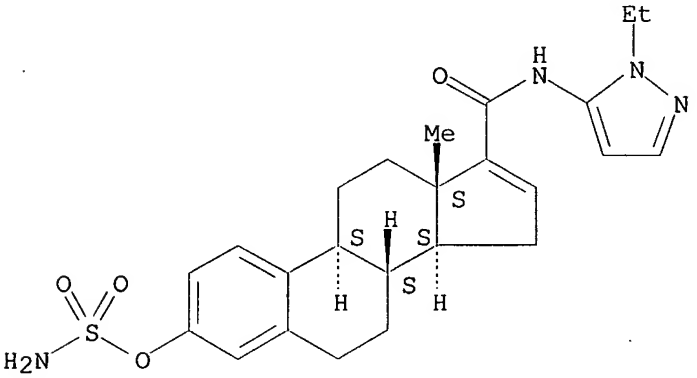
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-3-isoxazolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



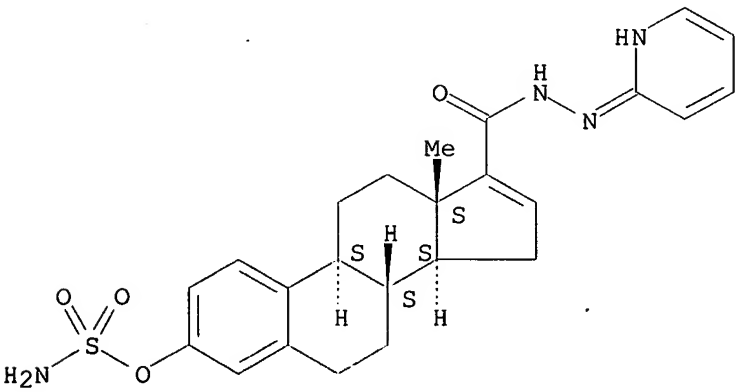
RN 370107-15-6 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(1-ethyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 370107-22-5 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxylic acid, 3-[(aminosulfonyl)oxy]-, 2-(2-pyridinyl)hydrazide (9CI) (CA INDEX NAME)

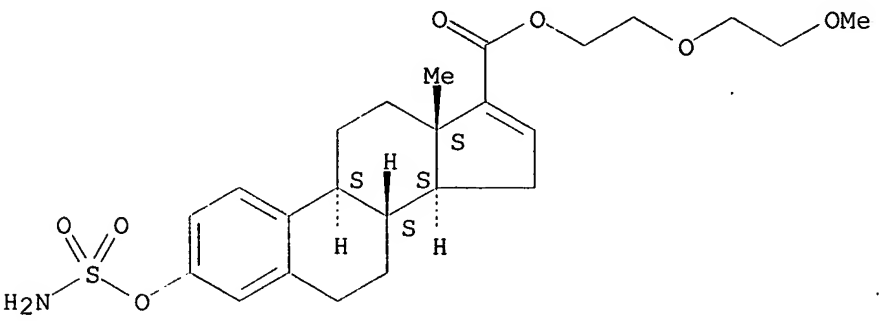
Absolute stereochemistry.



RN 370107-24-7 CAPLUS

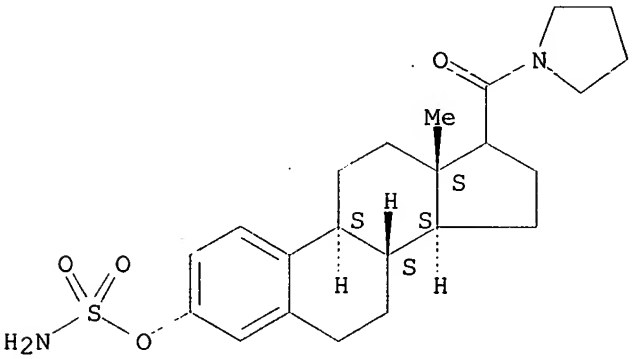
CN Estra-1,3,5(10),16-tetraene-17-carboxylic acid, 3-[(aminosulfonyl)oxy]-, 2-(2-methoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



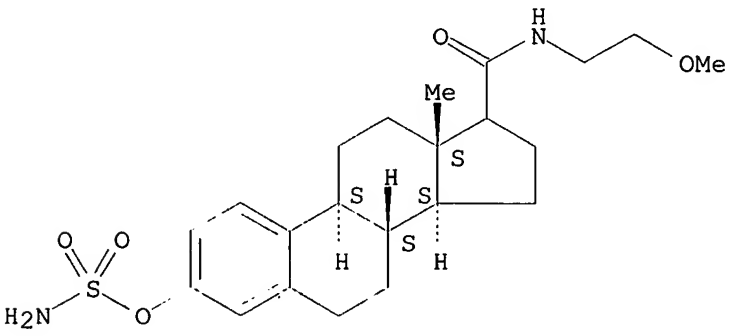
RN 370107-26-9 CAPLUS
CN Sulfamic acid, 17-(1-pyrrolidinylcarbonyl)estra-1,3,5(10)-trien-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



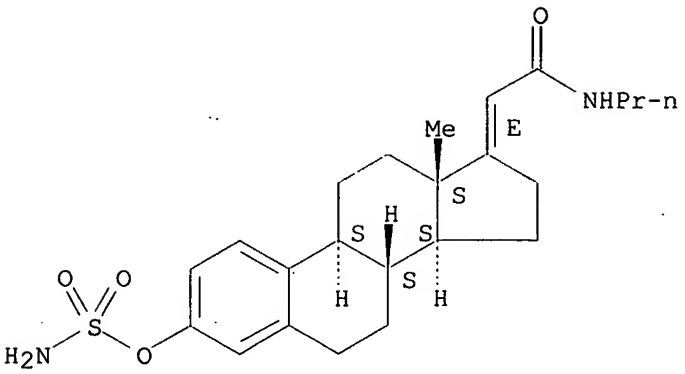
RN 370107-29-2 CAPLUS
CN Estra-1,3,5(10)-triene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



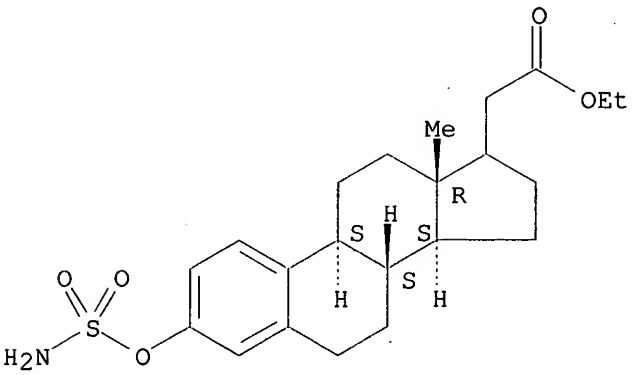
RN 370107-34-9 CAPLUS
CN 19-Norpregna-1,3,5(10),17(20)-tetraen-21-amide, 3-[(aminosulfonyl)oxy]-N-propyl-, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



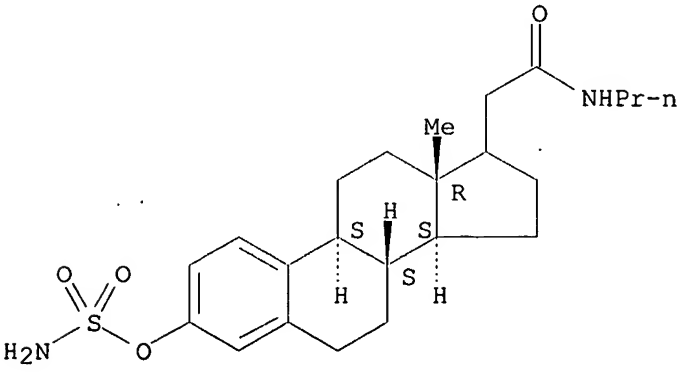
RN 370107-36-1 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-21-oic acid, 3-[(aminosulfonyl)oxy]-, ethyl ester, (17.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



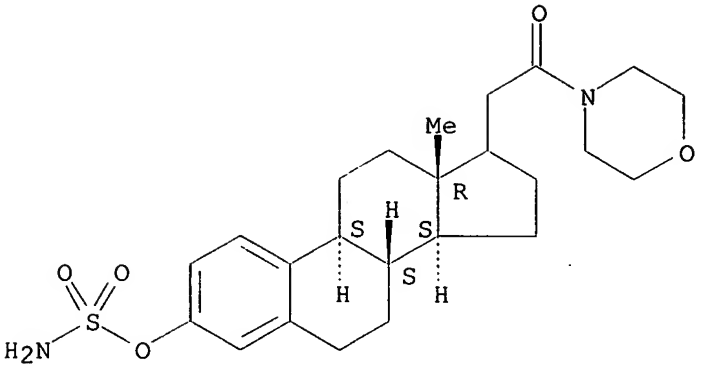
RN 370107-38-3 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-21-amide, 3-[(aminosulfonyl)oxy]-N-propyl-, (17.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



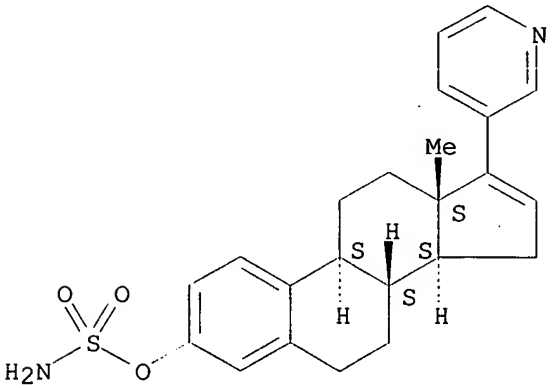
RN 370107-41-8 CAPLUS
CN Sulfamic acid, (17.xi.)-21-(4-morpholinyl)-21-oxo-19-norpregna-1,3,5(10)-trien-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



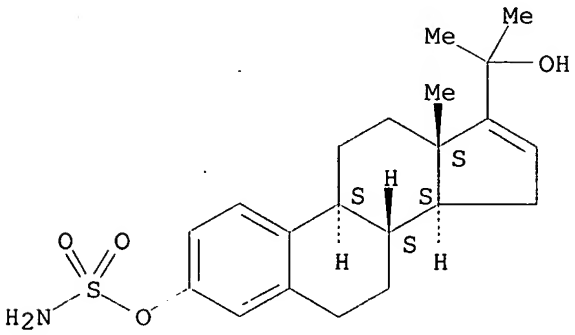
RN 370107-43-0 CAPLUS
CN Estra-1,3,5(10),16-tetraen-3-ol, 17-(3-pyridinyl)-, sulfamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



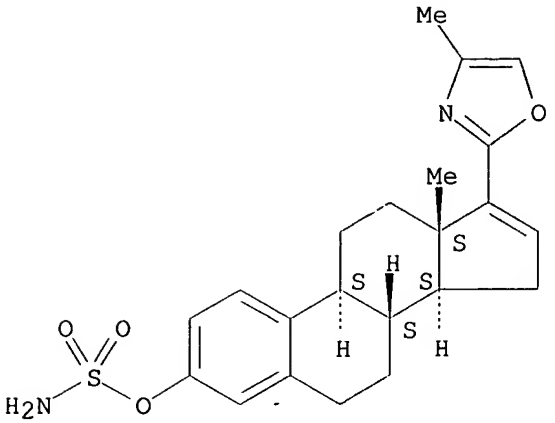
RN 370107-44-1 CAPLUS
CN 19-Norpregna-1,3,5(10),16-tetraene-3,20-diol, 20-methyl-, 3-sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 370107-45-2 CAPLUS
CN Estra-1,3,5(10),16-tetraen-3-ol, 17-(4-methyl-2-oxazolyl)-, sulfamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

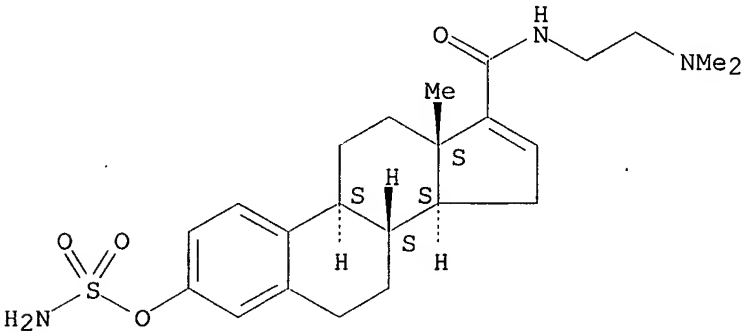


IT **370106-66-4P 370106-70-0P 370106-72-2P 370106-74-4P 370106-91-5P 370107-46-3P 370107-47-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of estra-1,3,5-(10)-triene derivs. as antitumor agents)

RN 370106-66-4 CAPLUS

CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

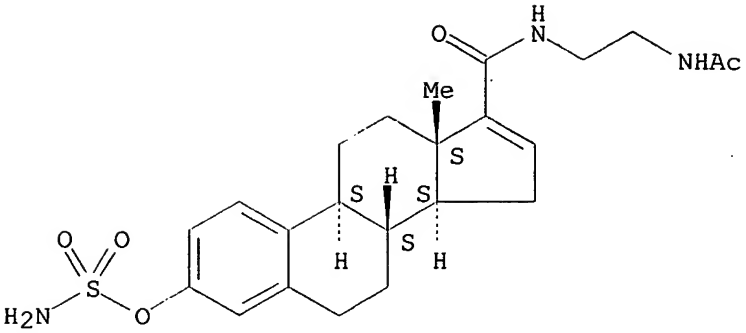
Absolute stereochemistry.



RN 370106-70-0 CAPLUS

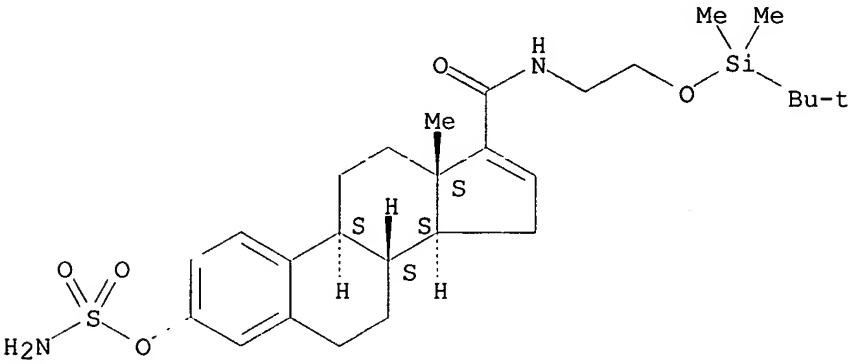
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, N-[2-(acetylamino)ethyl]-3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



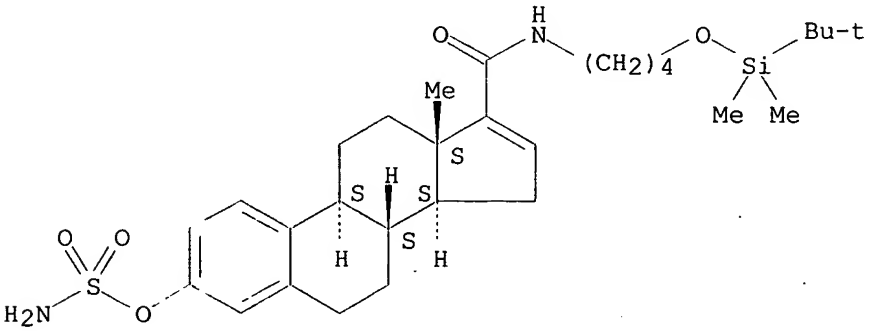
RN 370106-72-2 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



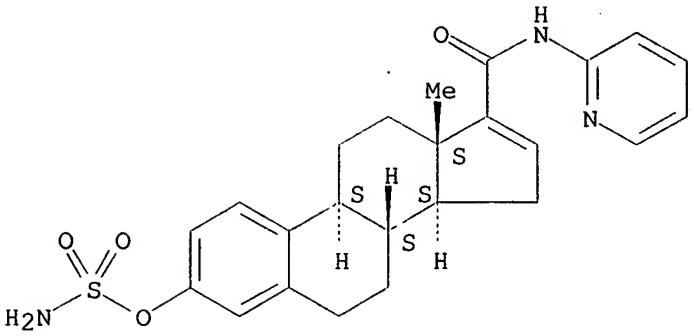
RN 370106-74-4 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



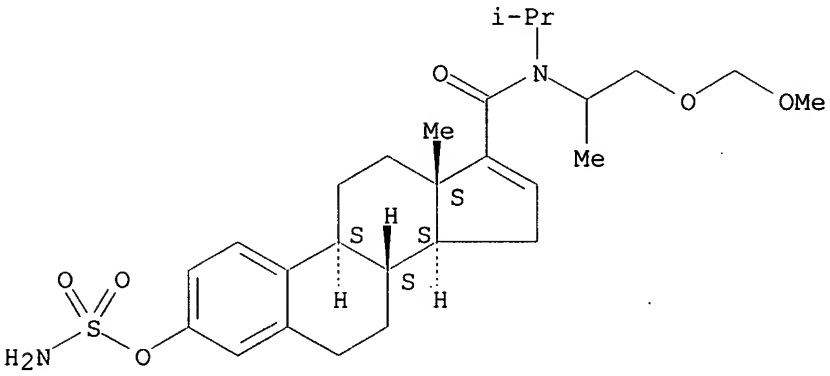
RN 370106-91-5 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



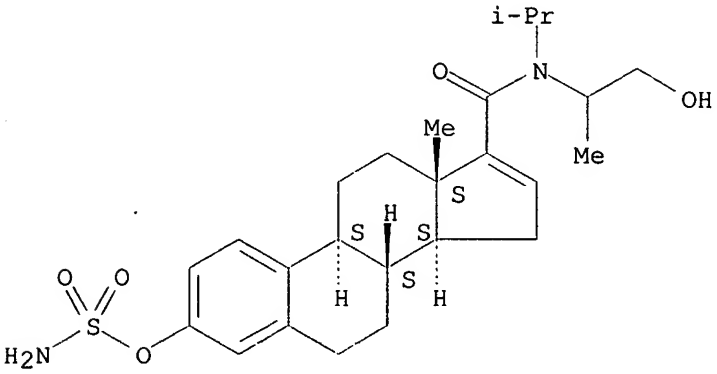
RN 370107-46-3 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[2-(methoxymethoxy)-1-methylethyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 370107-47-4 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(2-hydroxy-1-methylethyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

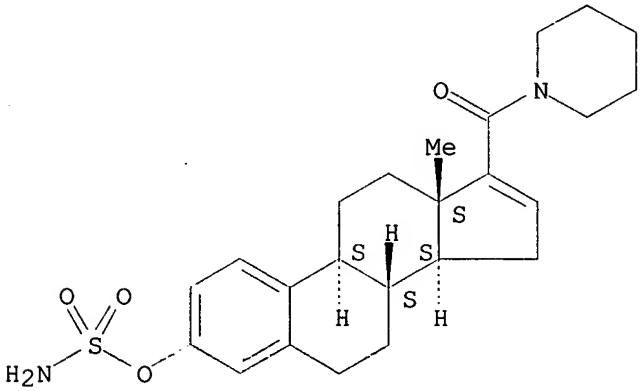


IT **370106-55-1P 370106-56-2P 370106-57-3P**
370106-59-5P 370106-61-9P 370106-64-2P
370106-65-3P 370106-68-6P 370106-69-7P
370106-73-3P 370106-77-7P 370106-78-8P
370106-79-9P 370106-80-2P 370106-81-3P
370106-83-5P 370106-84-6P 370106-85-7P

370106-88-0P 370106-89-1P 370106-94-8P
370106-95-9P 370106-96-0P 370106-97-1P
370106-98-2P 370106-99-3P 370107-01-0P
370107-03-2P 370107-04-3P 370107-05-4P
370107-06-5P 370107-07-6P 370107-09-8P
370107-10-1P 370107-12-3P 370107-13-4P
370107-14-5P 370107-16-7P 370107-17-8P
370107-18-9P 370107-19-0P 370107-20-3P
370107-21-4P 370107-23-6P 370107-25-8P
370107-27-0P 370107-28-1P 370107-30-5P
370107-31-6P 370107-32-7P 370107-33-8P
370107-35-0P 370107-37-2P 370107-39-4P
370107-40-7P 370107-42-9P 370107-48-5P
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of estra-1,3,5-(10)-triene derivs. as antitumor agents)

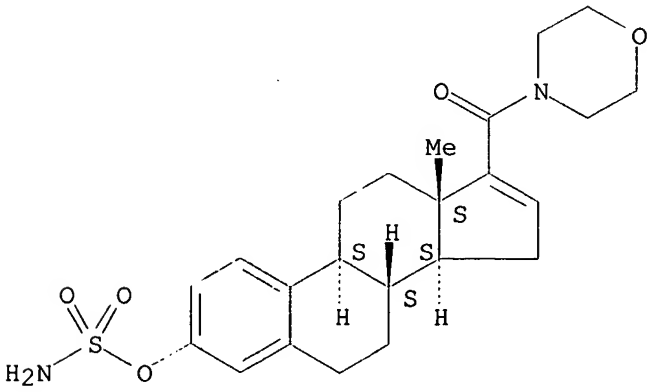
RN 370106-55-1 CAPLUS
CN Sulfamic acid, 17-(1-piperidinylcarbonyl)estra-1,3,5(10),16-tetraen-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



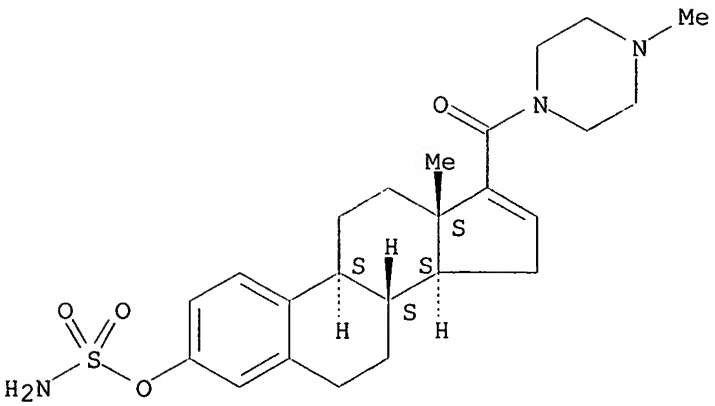
RN 370106-56-2 CAPLUS
CN Sulfamic acid, 17-(4-morpholinylcarbonyl)estra-1,3,5(10),16-tetraen-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



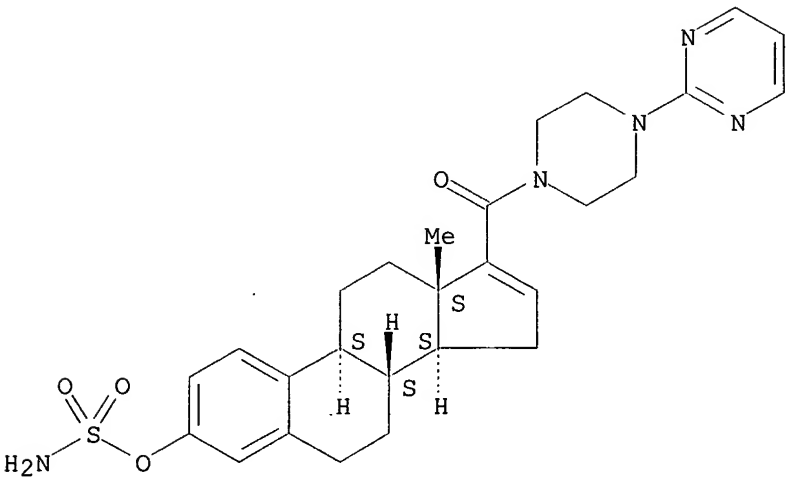
RN 370106-57-3 CAPLUS
CN Sulfamic acid, 17-[(4-methyl-1-piperazinyl)carbonyl]estra-1,3,5(10),16-tetraen-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



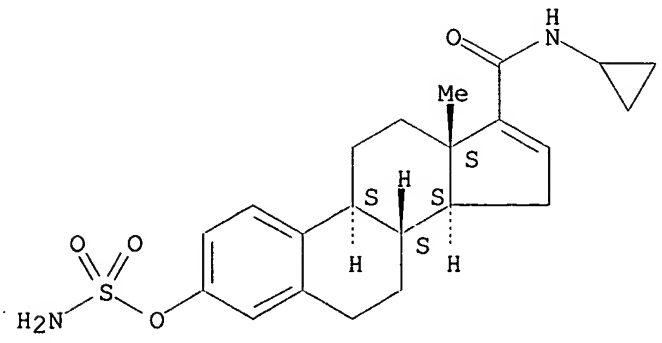
RN 370106-59-5 CAPLUS
CN Sulfamic acid, 17-[[4-(2-pyrimidinyl)-1-piperazinyl]carbonyl]estra-1,3,5(10),16-tetraen-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



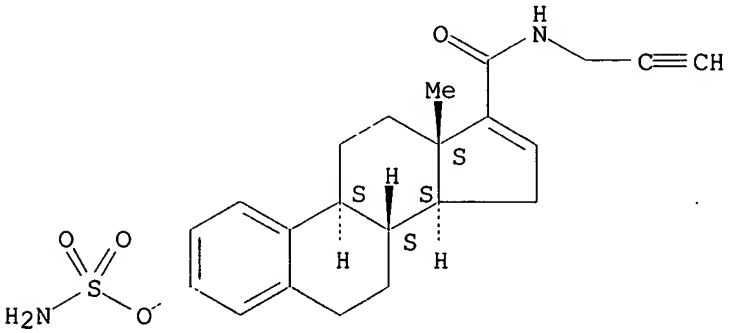
RN 370106-61-9 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-cyclopropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



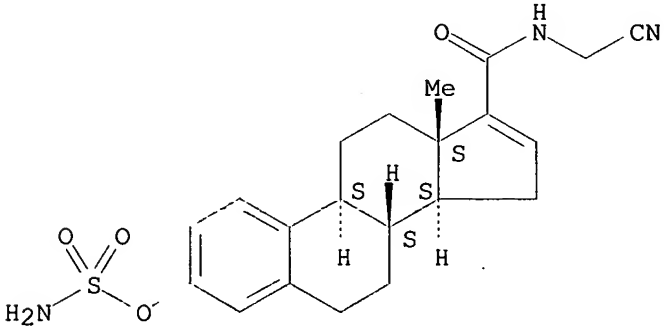
RN 370106-64-2 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-2-propynyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



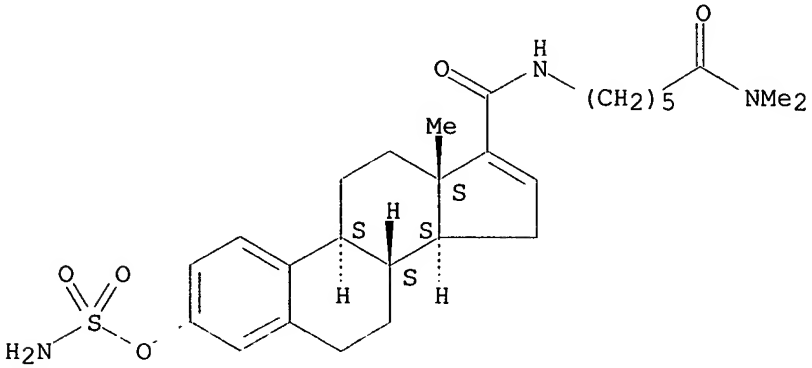
RN 370106-65-3 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(cyanomethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 370106-68-6 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[6-(dimethylamino)-6-oxohexyl]- (9CI) (CA INDEX NAME)

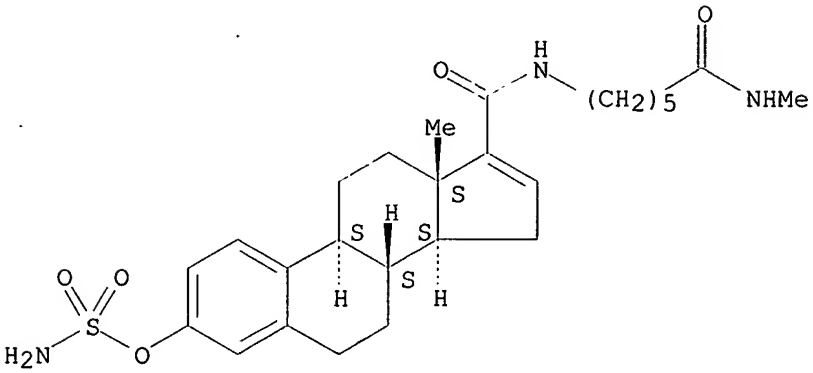
Absolute stereochemistry.



RN 370106-69-7 CAPLUS

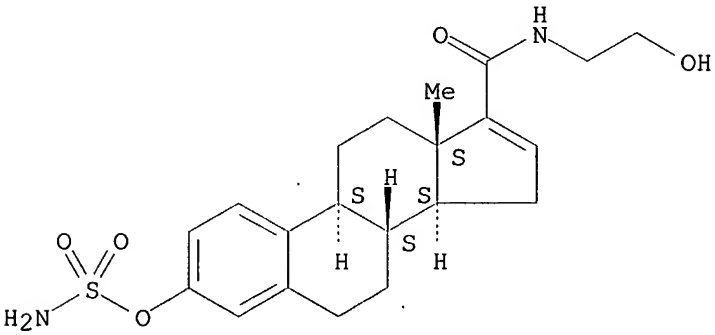
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[6-(methylamino)-6-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



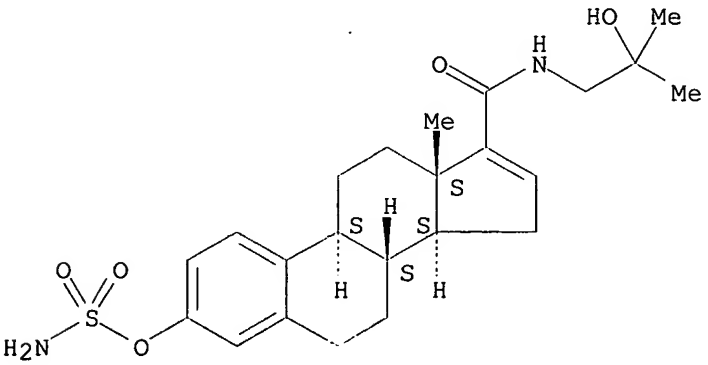
RN 370106-73-3 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 370106-77-7 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(2-hydroxy-2-methylpropyl)- (9CI) (CA INDEX NAME)

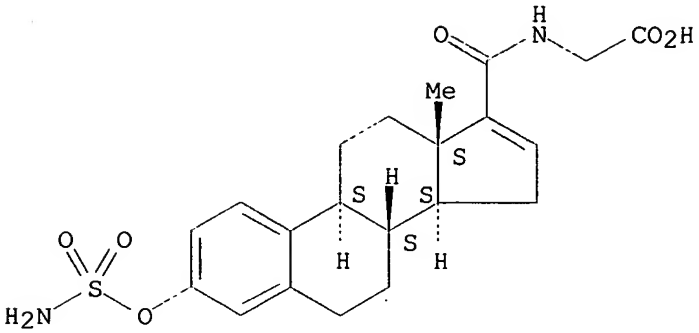
Absolute stereochemistry.



RN 370106-78-8 CAPLUS
CN Glycine, N-[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-

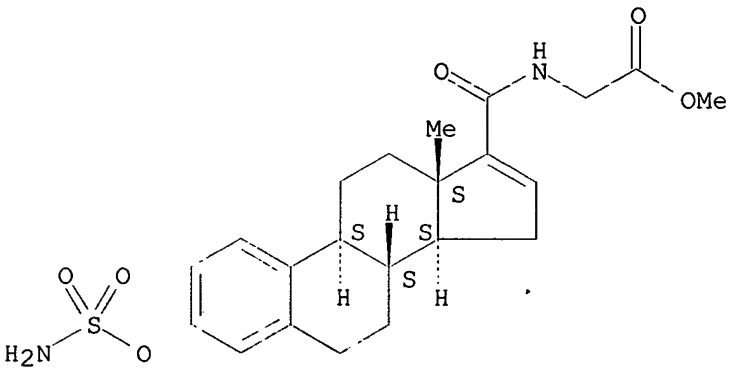
yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



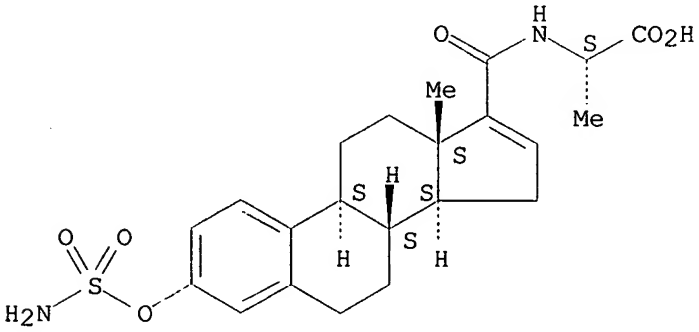
RN 370106-79-9 CAPLUS
CN Glycine, N-[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



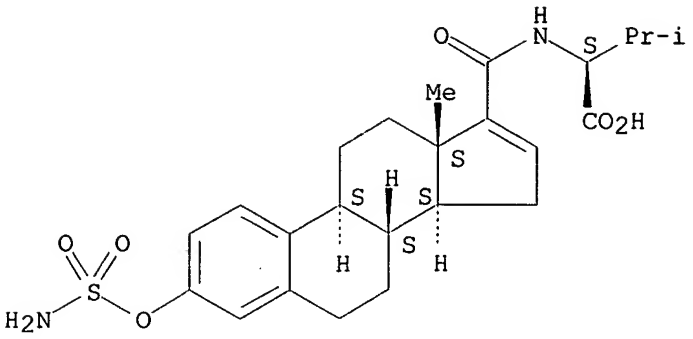
RN 370106-80-2 CAPLUS
CN L-Alanine, N-[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



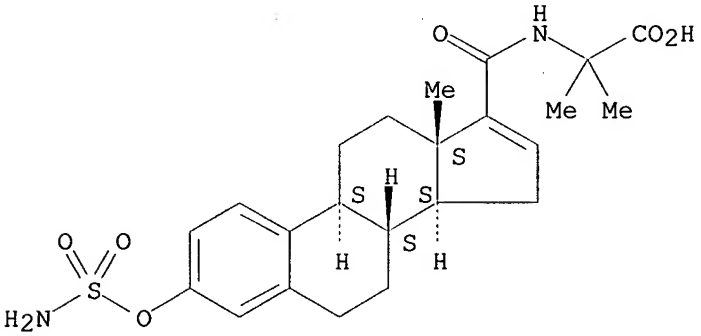
RN 370106-81-3 CAPLUS
CN L-Valine, N-[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



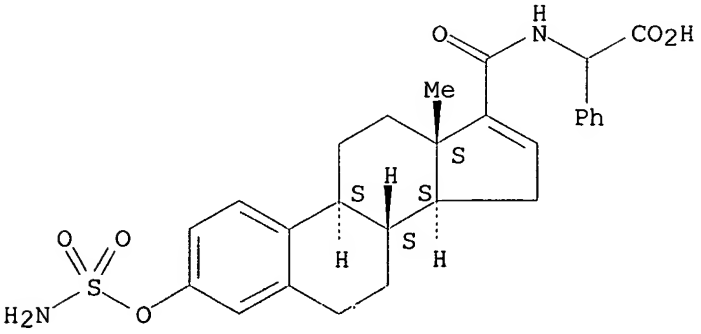
RN 370106-83-5 CAPLUS
CN Alanine, N-[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-yl]carbonyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



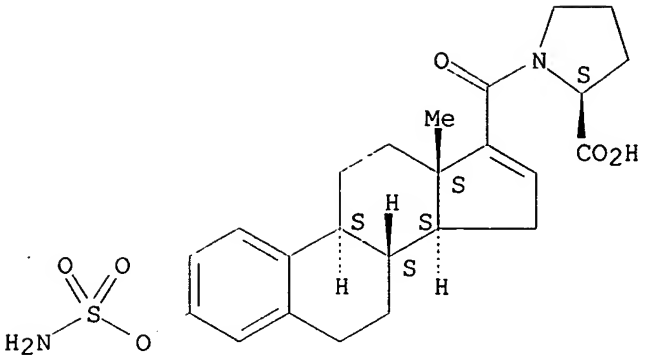
RN 370106-84-6 CAPLUS
CN Benzeneacetic acid, .alpha.-[[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



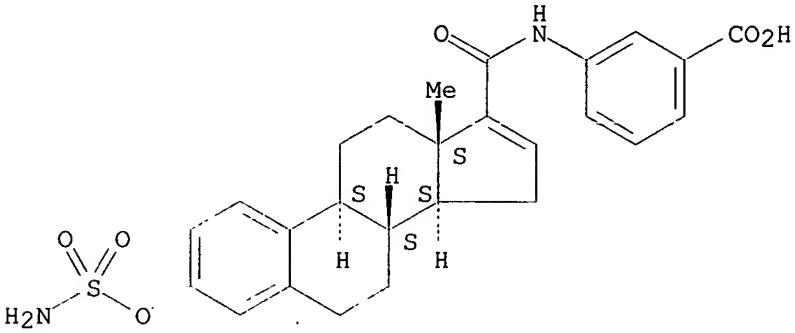
RN 370106-85-7 CAPLUS
CN L-Proline, 1-[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



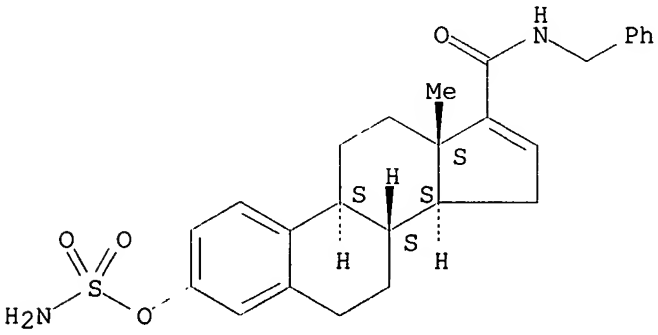
RN 370106-88-0 CAPLUS
CN Benzoic acid, 3-[[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



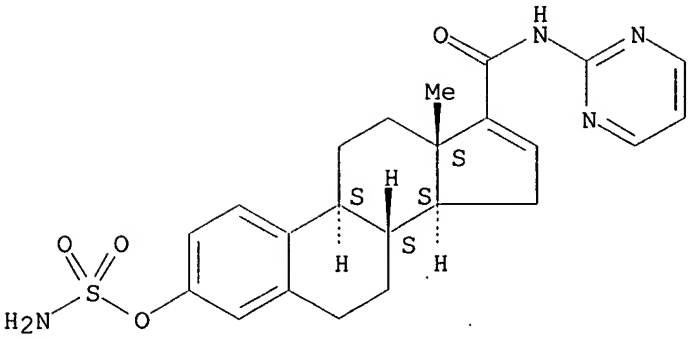
RN 370106-89-1 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



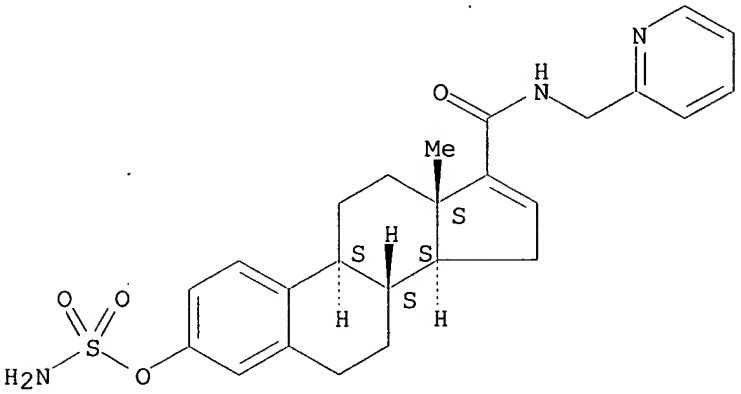
RN 370106-94-8 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



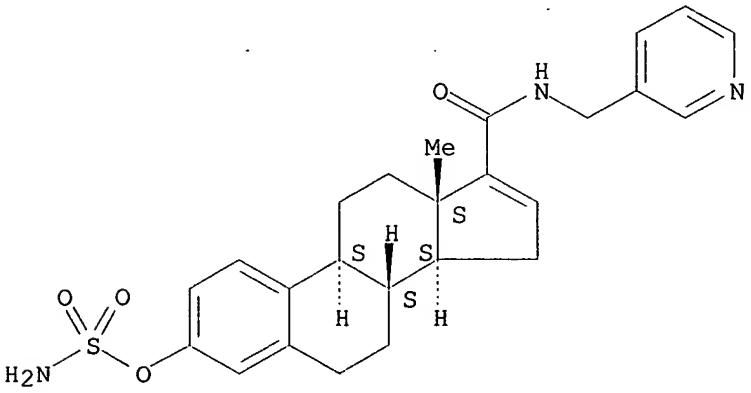
RN 370106-95-9 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



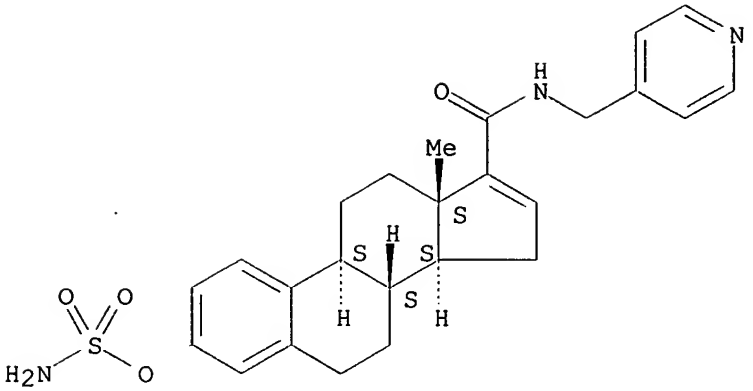
RN 370106-96-0 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



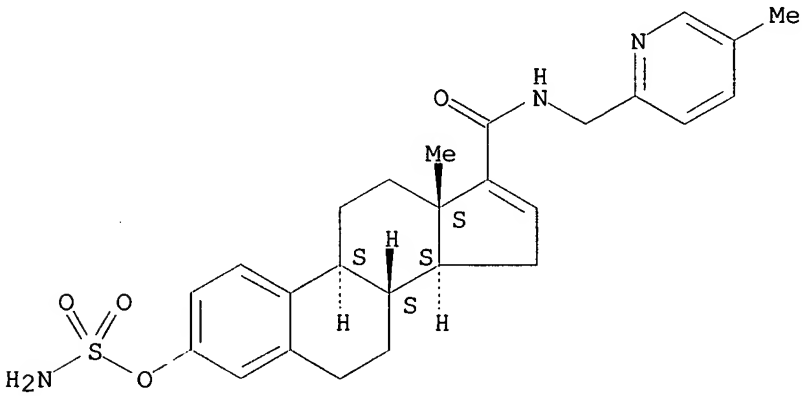
RN 370106-97-1 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



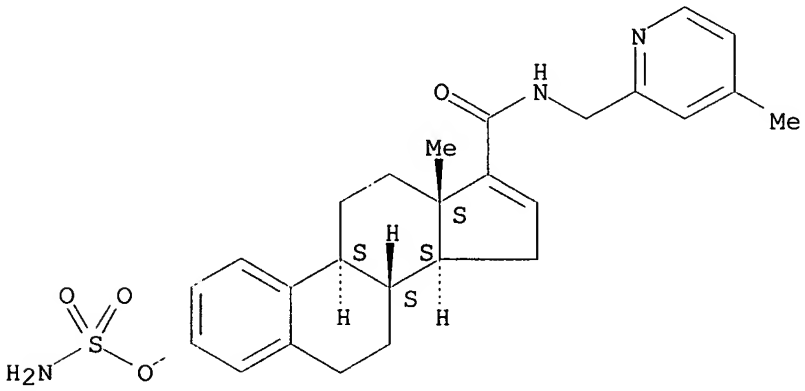
RN 370106-98-2 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[(5-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 370106-99-3 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[(4-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

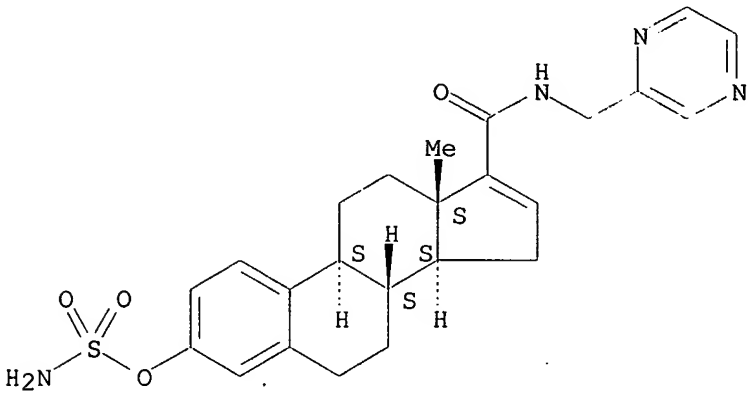
Absolute stereochemistry.



RN 370107-01-0 CAPLUS

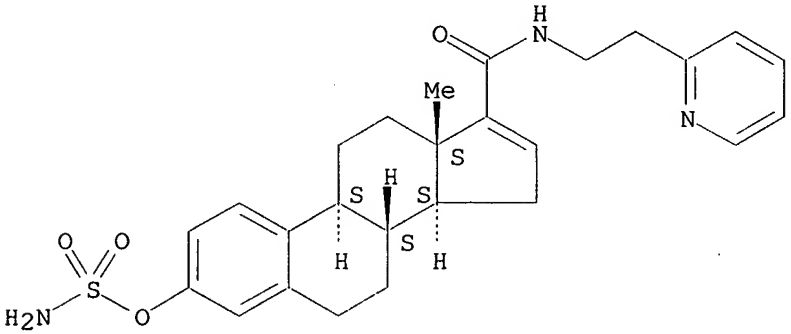
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



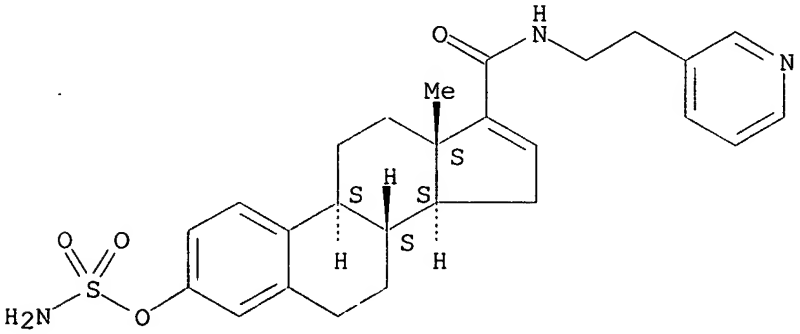
RN 370107-03-2 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 370107-04-3 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

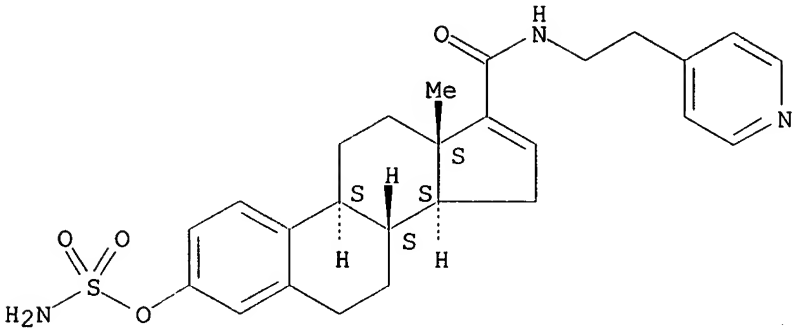
Absolute stereochemistry.



RN 370107-05-4 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[2-(4-

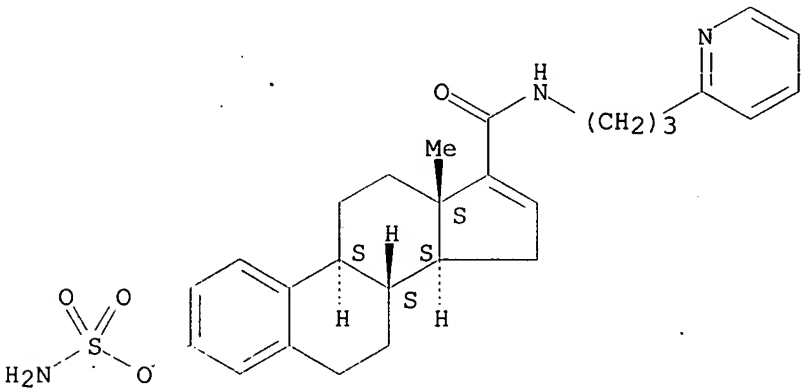
pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



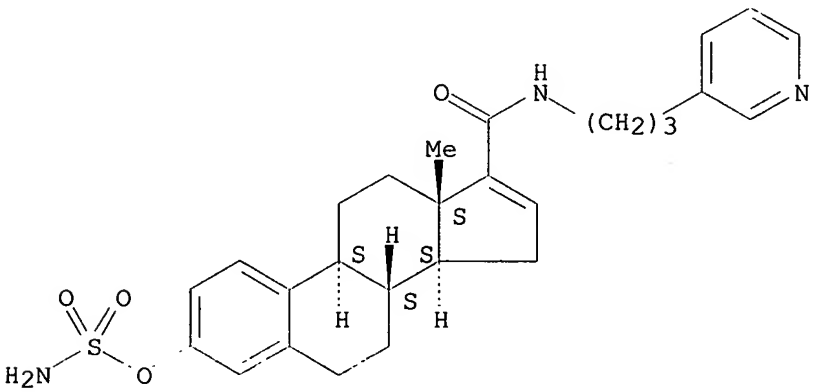
RN 370107-06-5 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[3-(2-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 370107-07-6 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

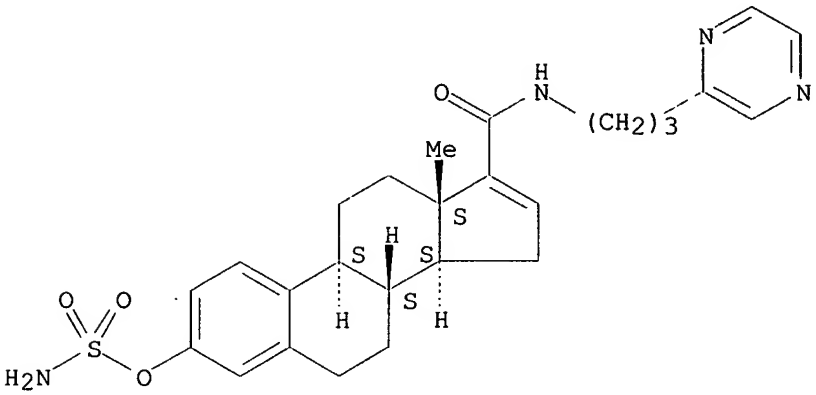
Absolute stereochemistry.



RN 370107-09-8 CAPLUS

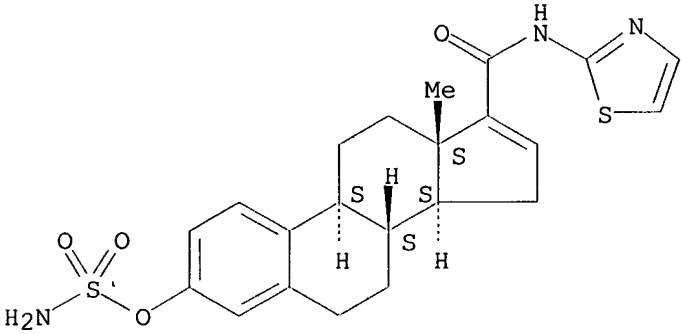
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(3-pyrazinylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



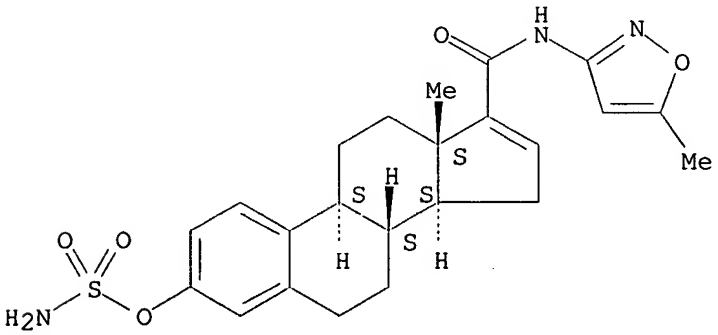
RN 370107-10-1 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-2-thiazolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 370107-12-3 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(5-methyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)

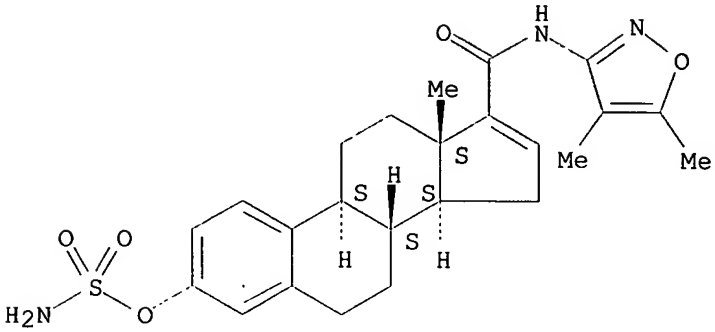
Absolute stereochemistry.



RN 370107-13-4 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(4,5-

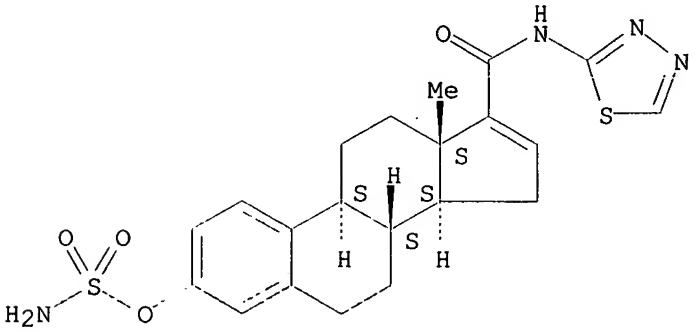
dimethyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



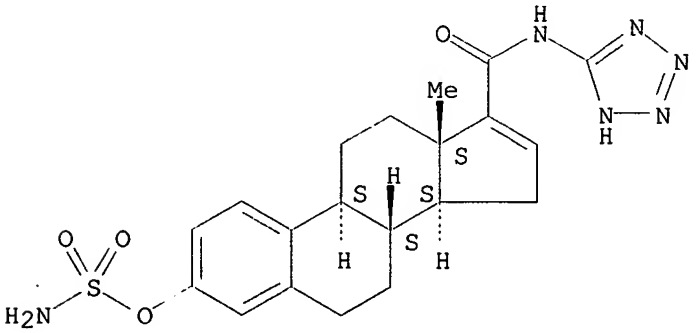
RN 370107-14-5 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-1,3,4-thiadiazol-2-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



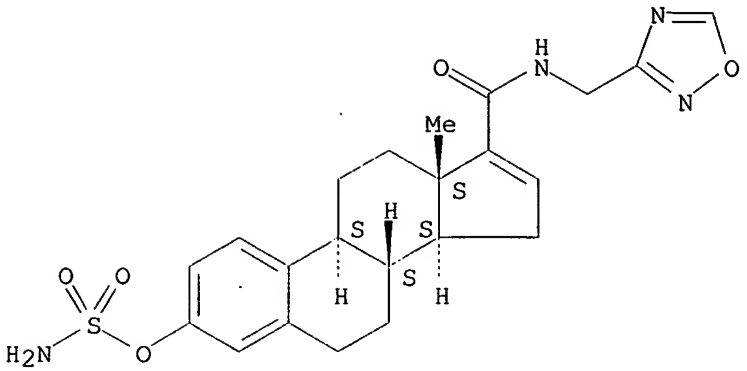
RN 370107-16-7 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



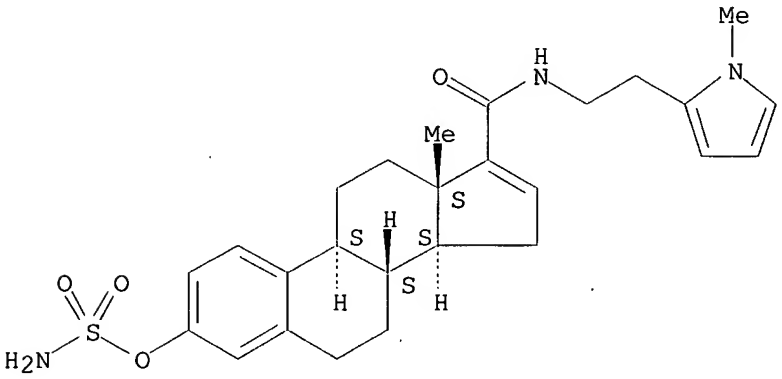
RN 370107-17-8 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(1,2,4-oxadiazol-3-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



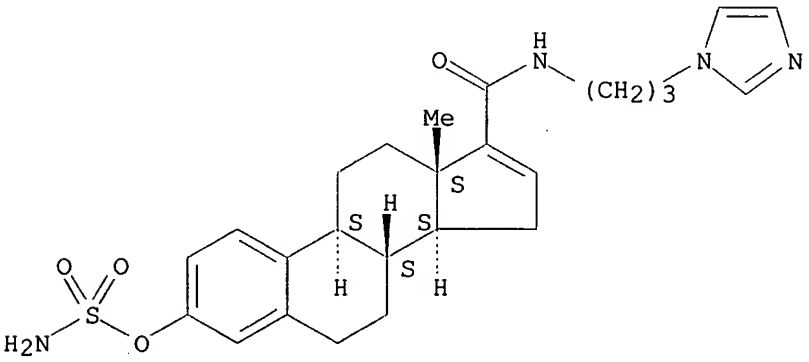
RN 370107-18-9 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



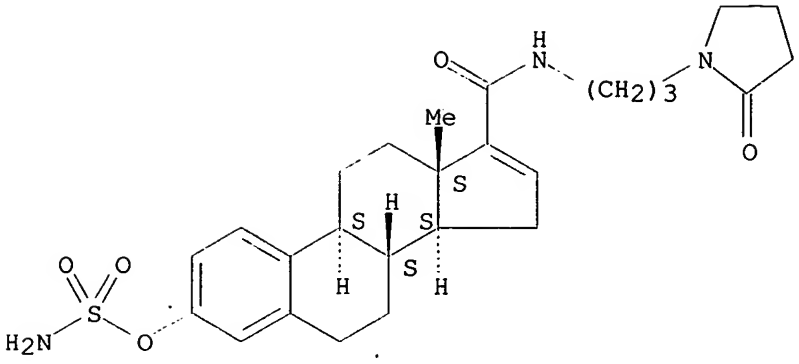
RN 370107-19-0 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



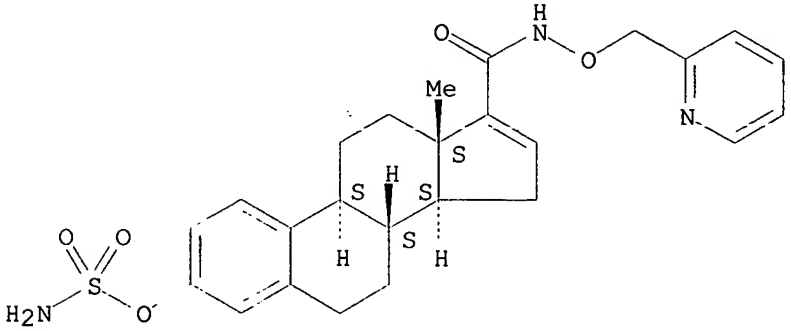
RN 370107-20-3 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



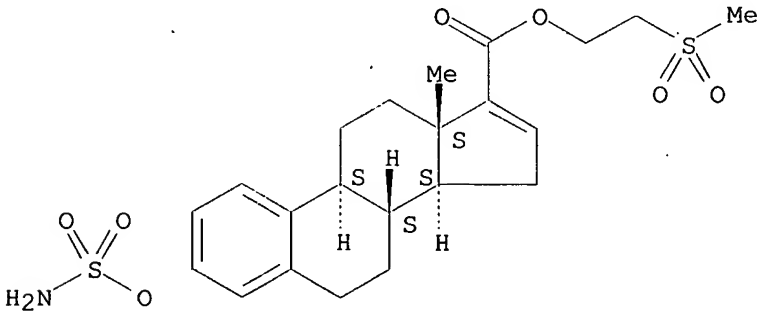
RN 370107-21-4 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



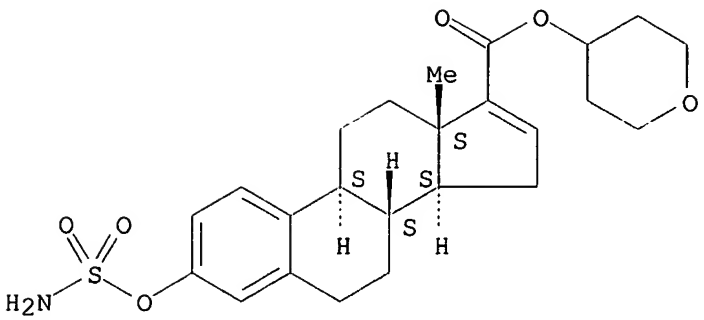
RN 370107-23-6 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxylic acid, 3-[(aminosulfonyl)oxy]-, 2-(methylsulfonyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



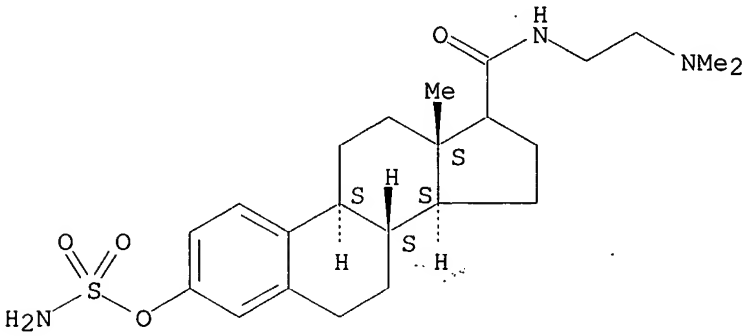
RN 370107-25-8 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxylic acid, 3-[(aminosulfonyl)oxy]-, tetrahydro-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



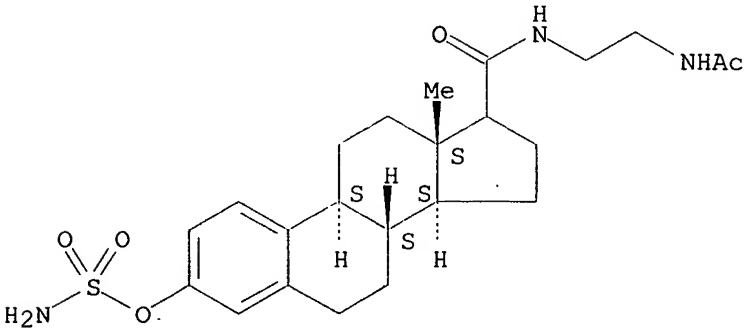
RN 370107-27-0 CAPLUS
CN Estra-1,3,5(10)-triene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



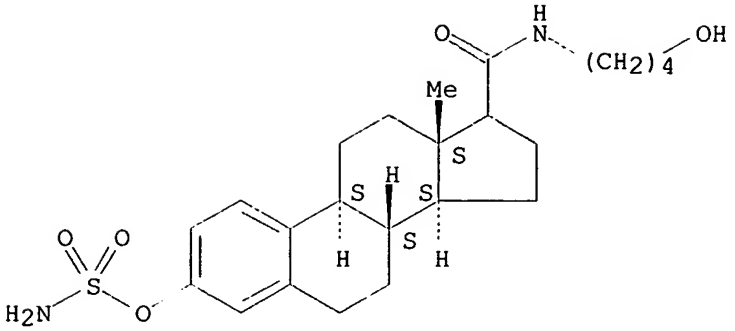
RN 370107-28-1 CAPLUS
CN Estra-1,3,5(10)-triene-17-carboxamide, N-[2-(acetylamino)ethyl]-3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



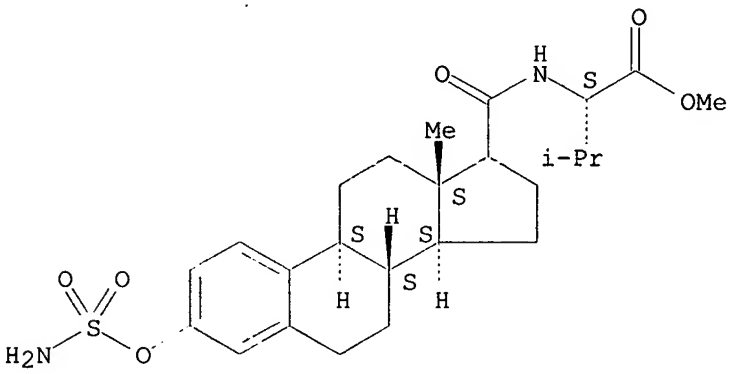
RN 370107-30-5 CAPLUS
CN Estra-1,3,5(10)-triene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(4-hydroxybutyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



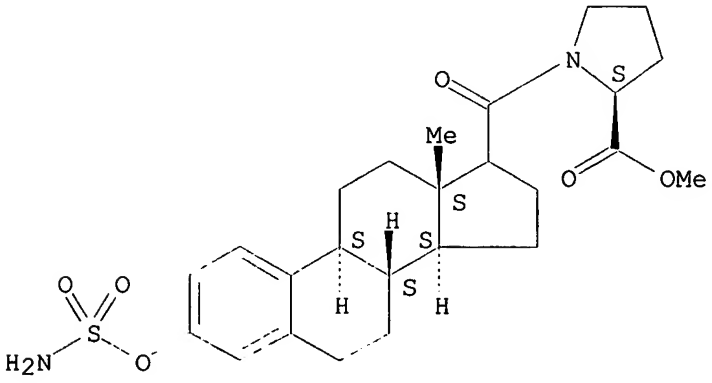
RN 370107-31-6 CAPLUS
CN L-Valine, N-[[3-[(aminosulfonyl)oxy]estra-1,3,5(10)-trien-17-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



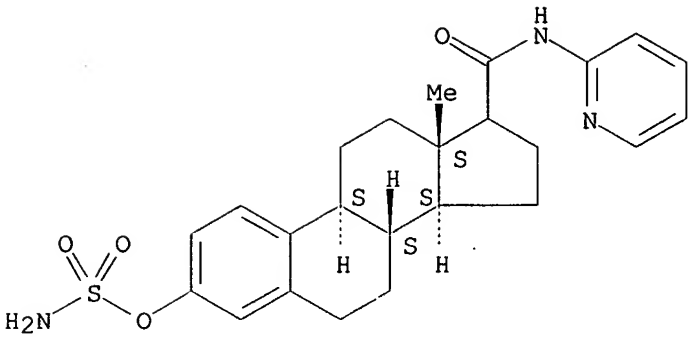
RN 370107-32-7 CAPLUS
CN L-Proline, 1-[[3-[(aminosulfonyl)oxy]estra-1,3,5(10)-trien-17-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



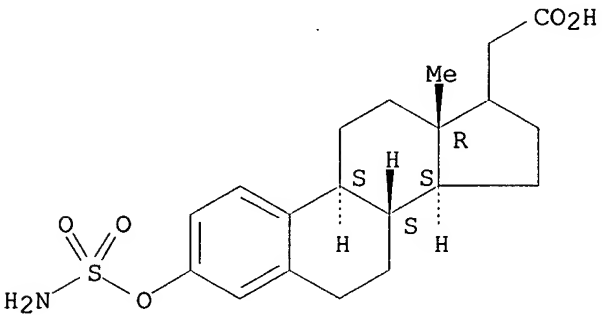
RN 370107-33-8 CAPLUS
CN Estra-1,3,5(10)-triene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



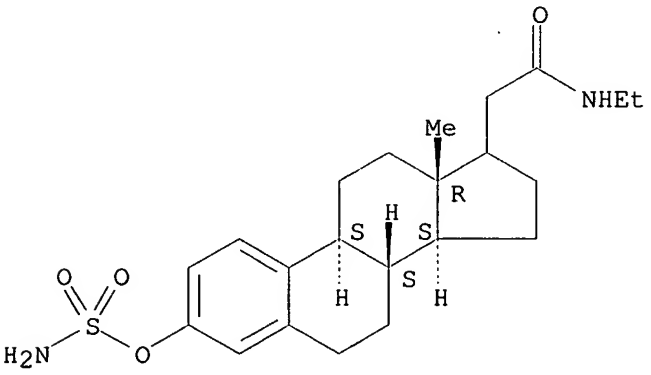
RN 370107-35-0 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-21-oic acid, 3-[(aminosulfonyl)oxy]-, (17.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



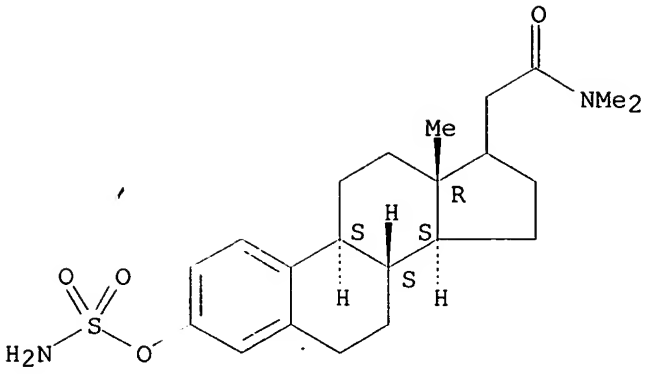
RN 370107-37-2 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-21-amide, 3-[(aminosulfonyl)oxy]-N-ethyl-, (17.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



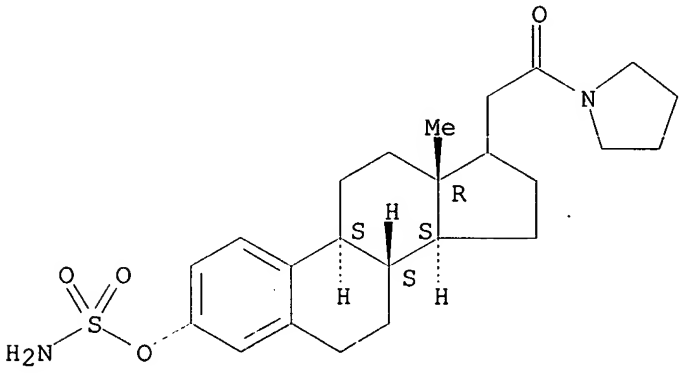
RN 370107-39-4 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-21-amide, 3-[(aminosulfonyl)oxy]-N,N-dimethyl-, (17.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



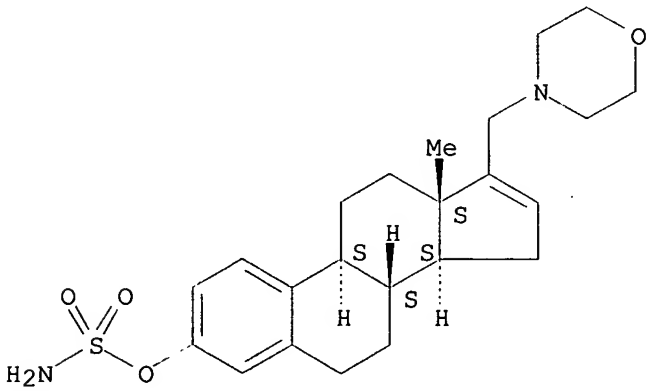
RN 370107-40-7 CAPLUS
CN Sulfamic acid, (17.xi.)-21-oxo-21-(1-pyrrolidinyl)-19-norpregna-1,3,5(10)-trien-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



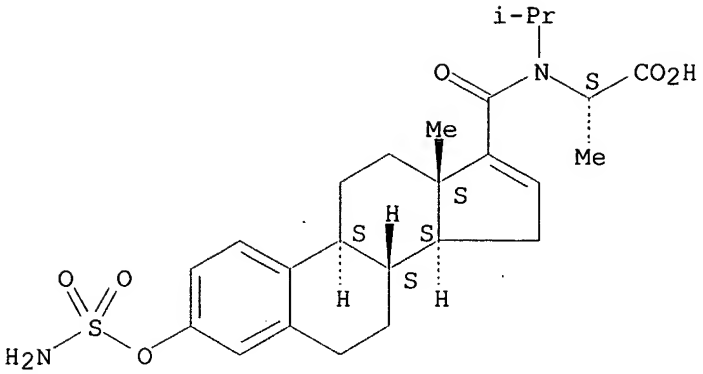
RN 370107-42-9 CAPLUS
CN Estra-1,3,5(10),16-tetraen-3-ol, 17-(4-morpholinylmethyl)-, sulfamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 370107-48-5 CAPLUS
CN L-Alanine, N-[[3-[(aminosulfonyl)oxy]estra-1,3,5(10),16-tetraen-17-yl]carbonyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

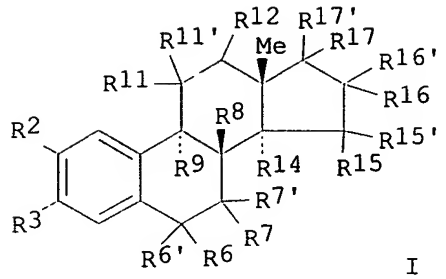


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:763027 CAPLUS
DOCUMENT NUMBER: 135:318608
TITLE: Preparation of 8.beta.-hydrocarbyl-substituted estratrienes for use as selective estrogens
INVENTOR(S): Peters, Olaf; Hillisch, Alexander; Thieme, Ina; Elger, Walter; Hegele-Hartung, Christa; Kollenkirchen, Uwe; Fritzemeier, Karl-Heinrich; Patchev, Vladimir
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077139	A1	20011018	WO 2001-EP4290	20010412
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10019167	A1	20011018	DE 2000-10019167	20000412

PRIORITY APPLN. INFO.: DE 2000-10019167 A 20000412
US 2000-207370P P 20000526
OTHER SOURCE(S): MARPAT 135:318608
GI



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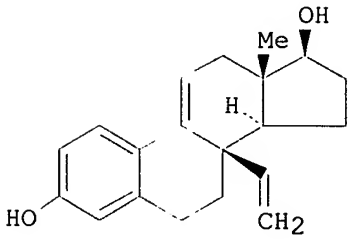

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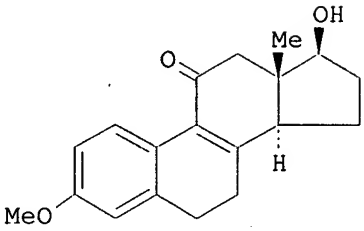


III

AB The invention relates to novel 8.beta.-substituted estratrienes I [R2 = H, halogen, straight or branched (un)satd. C1-6-alkyl, alkoxy, CF3, sulfonamide; R3 = alkoxy, sulfonamide, acyloxy; R6, R7 = H; R6R7 = bond; R6', R7' = H, halogen, alkoxy, sulfonamide; R8 = a straight- or branched-chained, optionally partially or completely halogenated C1-5-alkyl, alkenyl, ethynyl, prop-1-ynyl; R9 = H, straight or branched (un)satd. C1-5-alkyl; R9R11 = bond; R11 = H; R11R12 = bond; R11' = H, halogen, a straight- or branched-chained, optionally partially or completely fluoro- or chloro-C1-4-alkyl, alkoxy, alkylthio; R12 = H; R14 = H; R14R15 = bond; R15 = H; R15R16 = bond; R15', R16' = H, halogen, alkoxy, sulfonamid; R16 = H; R17, R17' = H, H and halogen, H and OCH2Ph, H and sulfonamide, alkyl and acyl or acyloxy, alkoxy and alkyl, alkoxy and acyloxy; R17R17' = :CH2, :CR24R25;R24, R25 = halogen; R24R25 = O]. Thus, vinylestradiol II was prepd. from estra-1,3,5(10)-tetraenone III in 8 steps. The inventive estratrienes are used as pharmaceutically active substances that have in vitro a higher affinity to estrogen receptor prepns. of rat prostate than to estrogen receptor prepns. of rat uterus and which in vivo preferably have a preferential effect on bone material as compared to uterus and/or a pronounced effect with respect to the stimulation of the expression of 5HT2a receptor and transporter. II showed a relative binding affinity for the estrogen receptor of 1 in rat uterus and of 83 in rat prostate. The invention further relates to the prodn. of these novel compds., to their use in therapy and to the pharmaceutical forms of administration that contain said novel compds. The invention further describes the use of said compds. for treating estrogen-deficiency related diseases and conditions and to the use of an 8.beta.-substituted estratriene structural part in the overall structures of compds. that are characterized by a dissocn. in favor of their estrogen effect on the bone as compared to the uterus.

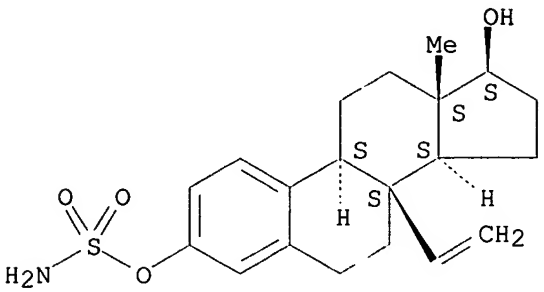
IT **367264-79-7P 367929-18-8P 367929-20-2P 367929-23-5P 367929-24-6P**

RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 8.beta.-hydrocarbyl-substituted estratrienes for use as selective estrogens)

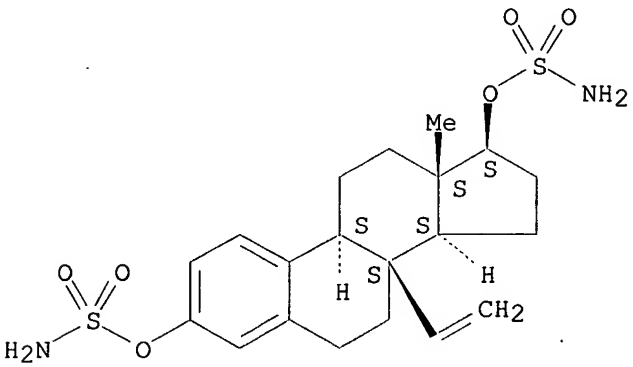
RN 367264-79-7 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethenyl-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



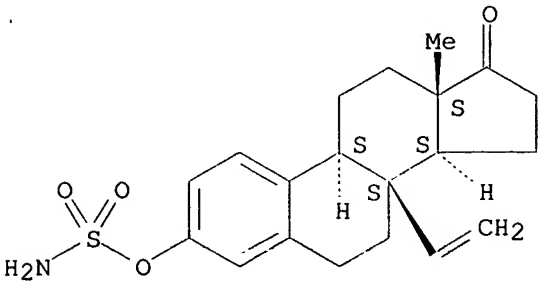
RN 367929-18-8 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethenyl-, disulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



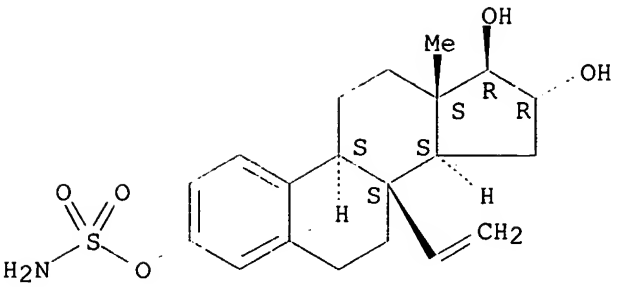
RN 367929-20-2 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-8-ethenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



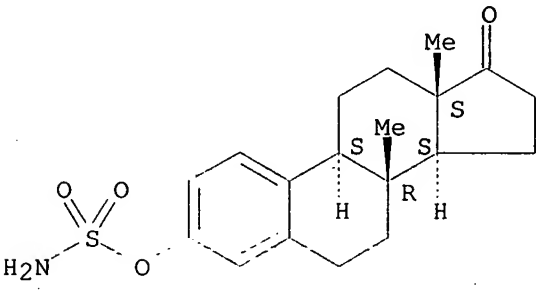
RN 367929-23-5 CAPLUS
CN Estra-1,3,5(10)-triene-3,16,17-triol, 8-ethenyl-, 3-sulfamate, (16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 367929-24-6 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-8-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



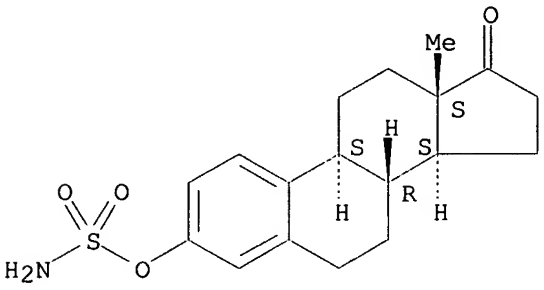
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:746742 CAPLUS
DOCUMENT NUMBER: 136:151338
TITLE: Synthesis and **steroid sulfatase inhibitory** activity of C19- and C21-steroidal derivatives bearing a benzyl-**inhibiting** group
AUTHOR(S): Ciobanu, L. C.; Boivin, R. P.; Luu-The, V.; Poirier, D.
CORPORATE SOURCE: Medicinal Chemistry Division, Oncology and Molecular Endocrinology Research Center, Centre Hospitalier Universitaire de Quebec (CHUQ), Sainte-Foy, QC, G1V 4G2, Can.
SOURCE: European Journal of Medicinal Chemistry (2001), 36(7-8), 659-671
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Two series of compds., benzyl alkylated at position 17.alpha. and 20 of androstane and pregnane, resp., were synthesized and tested for steroid sulfatase inhibition. We compared the ability of the compds. to inhibit steroid sulfatase obtained from two different sources (homogenates of transfected HEK-293 cells and Jeg-3 cells) and with two types of substrate (DHEAS or E1S). The inhibitory activity of 17.alpha.-benzyl-5.alpha.-androstane-3.beta.,17.beta.-diol (I), 17.alpha.-benzyl-5-androstene-3.beta.,17.beta.-diol (II), 17.alpha.-benzyl-4,17.beta.-dihydroxy-4-androsten-3-one (III) and 20-benzyl-5-pregnene-3.beta.,20.alpha.-diol (IV) has proven to be superior to that of danazol, the first steroid sulfatase inhibitor to be reported, but still lower than that of the potent

inhibitor estrone-3-O-sulfamate. The inhibitory activity of I was as potent as that of its previously reported estrane analog, 17.alpha.-benzyl estradiol. Benzyl alkylated compds. with no OH group on the A-ring (with a 4-OCH3, 4-Cl, or 4-H and their precursor epoxides), as well as a series of basic steroids without a benzyl group (ADT, epi-ADT, 3.alpha.-diol, 3.beta.-diol, DHEA, .DELTA.5-diol, DHT, T, Preg and Prog), did not show steroid sulfatase inhibition. We have thus demonstrated that the steroid sulfatase inhibitory effect of a benzyl group, previously obsd. for an estrane nucleus, can be extended to certain androstane and pregnane nuclei bearing a 3.beta.-OH or a 4-OH group. Inhibitors I-IV, did not induce any proliferative effect on androgen-sensitive Shionogi cells. However, when tested on estrogen-sensitive ZR-75-1 cells, a proliferative effect was obsd. for I and II, but not for III and IV.

IT **148672-09-7**, Estrone-3-O-sulfamate
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(as **inhibitors** of **steroid sulfatase** activity)
RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



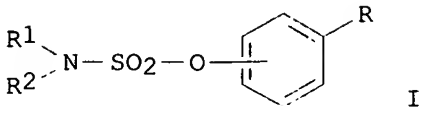
IT **9025-62-1, Steroid sulfatase**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of androstane and pregnane derivs.as **inhibitors** of **steroid sulfatase** activity and breast cancer **inhibitors**)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

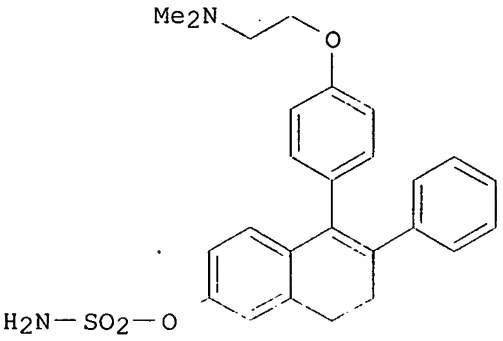
L54 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:668347 CAPLUS
DOCUMENT NUMBER: 135:226790
TITLE: Preparation of aryl sulfamates for the treatment of estrogen-dependent illnesses
INVENTOR(S): Li, Pui-kai; Selcer, Kyle W.
PATENT ASSIGNEE(S): Duquesne University of the Holy Ghost, USA
SOURCE: U.S., 15 pp., Cont.-in-part of U.S. Ser. No. 164,889.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 6288107 B1 20010911 US 2000-536331 20000324
US 6248780 B1 20010619 US 1998-164889 19981001
PRIORITY APPLN. INFO.: US 1998-164889 A2 19981001
OTHER SOURCE(S): MARPAT 135:226790
GI



I



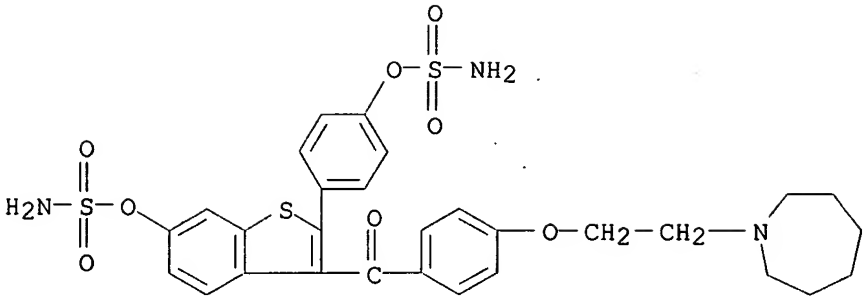
II

AB Sulfatase inhibitor/estrogen receptor blocker compds. (I) [wherein R = estrogen receptor blocker; R1 and R2 = independently H or alkyl] useful in the treatment of estrogen-dependent illnesses, such as breast cancer, vaginal cancer, **endometrial** cancer, ovarian cancer, and **endometriosis**, are disclosed. Prepn. and testing of 7,8-dihydro-5,6-diphenylnaphthalen-2-yl sulfamates and (Z)-4-hydroxytamoxifen sulfamate are described, and 3-benzoyl-2-phenylbenzothiophen-6-yl sulfamates (no prepn.) are claimed. Thus, 1-bromo-4-[2-(tributylsiloxy)ethoxy]benzene was treated with BuLi and then coupled with 6-(tetrahydropyranyloxy)tetralone (prepn. of reactants given) to afford the protected dihydronaphthalene (65.7%). Deprotection and bromination using pyridinium tribromide (90.3%), followed by arylation with PhLi (94%), iodination (95%), amination with NHMe2 (88.3%), and reaction with sulfamoyl chloride (91.6%), gave II. In a sulfatase activity assay, II inhibited estrone sulfatase in rat liver microsomes at 20 .mu.M substrate estrone sulfate by over 60% compared to the control.

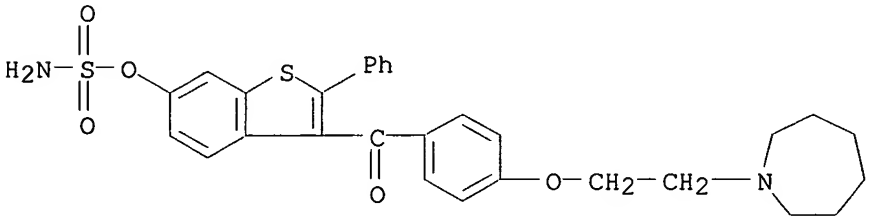
IT **359686-84-3P 359686-92-3P 359687-02-8P 359687-08-4P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); PNU (Preparation, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryl sulfamates for treatment of estrogen-dependent illnesses)

RN 359686-84-3 CAPLUS

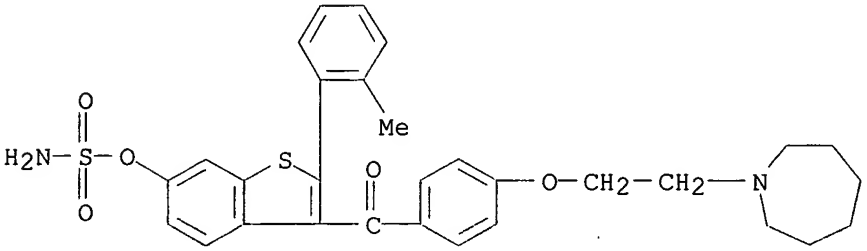
CN Sulfamic acid, 4-[6-[(aminosulfonyl)oxy]-3-[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]benzoyl]benzo[b]thien-2-yl]phenyl ester (9CI) (CA INDEX NAME)



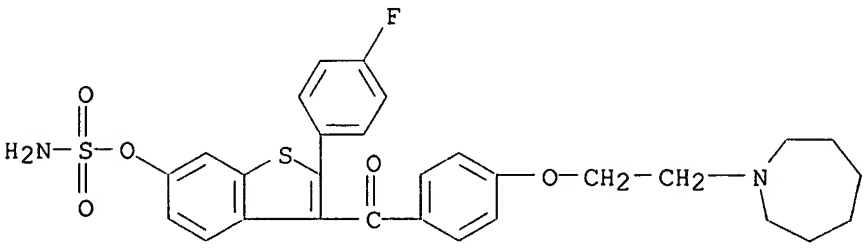
RN 359686-92-3 CAPLUS
CN Sulfamic acid, 3-[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]benzoyl]-2-phenylbenzo[b]thien-6-yl ester (9CI) (CA INDEX NAME)



RN 359687-02-8 CAPLUS
CN Sulfamic acid, 3-[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]benzoyl]-2-(2-methylphenyl)benzo[b]thien-6-yl ester (9CI) (CA INDEX NAME)



RN 359687-08-4 CAPLUS
CN Sulfamic acid, 2-(4-fluorophenyl)-3-[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]benzoyl]benzo[b]thien-6-yl ester (9CI) (CA INDEX NAME)

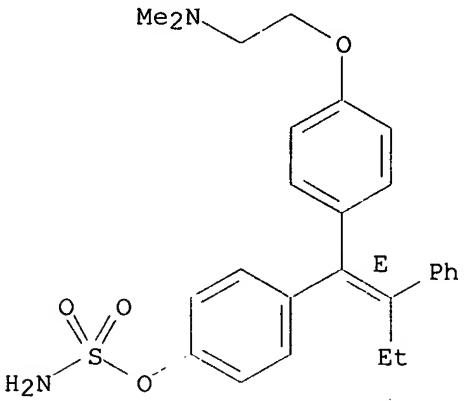


IT **221214-41-1P 359686-00-3P 359686-04-7P**
359686-09-2P 359686-14-9P 359686-20-7P

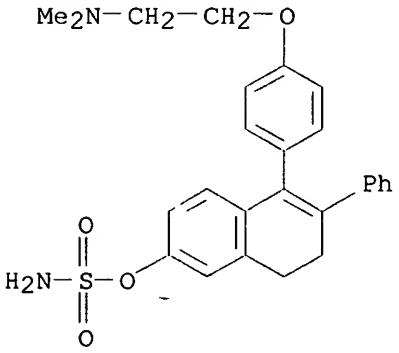
359686-27-4P 359686-33-2P 359686-37-6P
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryl sulfamates for treatment of estrogen-dependent illnesses)

RN 221214-41-1 CAPLUS
CN Sulfamic acid, 4-[(1E)-1-[4-[2-(dimethylamino)ethoxy]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

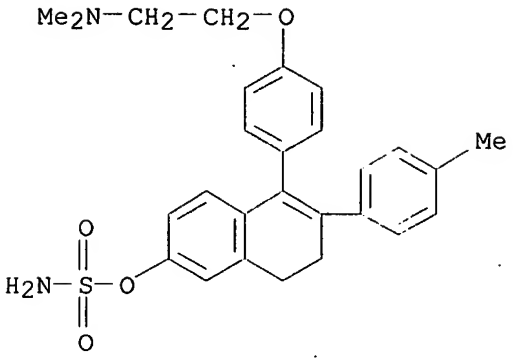
Double bond geometry as shown.



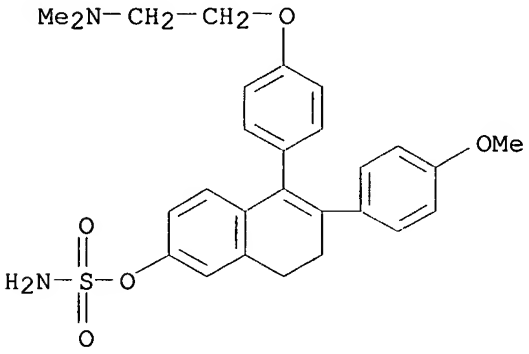
RN 359686-00-3 CAPLUS
CN Sulfamic acid, 5-[4-[2-(dimethylamino)ethoxy]phenyl]-7,8-dihydro-6-phenyl-2-naphthalenyl ester (9CI) (CA INDEX NAME)



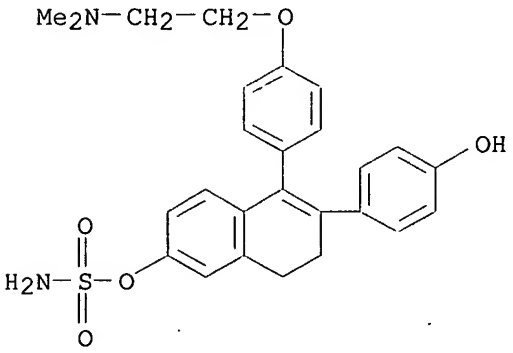
RN 359686-04-7 CAPLUS
CN Sulfamic acid, 5-[4-[2-(dimethylamino)ethoxy]phenyl]-7,8-dihydro-6-(4-methylphenyl)-2-naphthalenyl ester (9CI) (CA INDEX NAME)



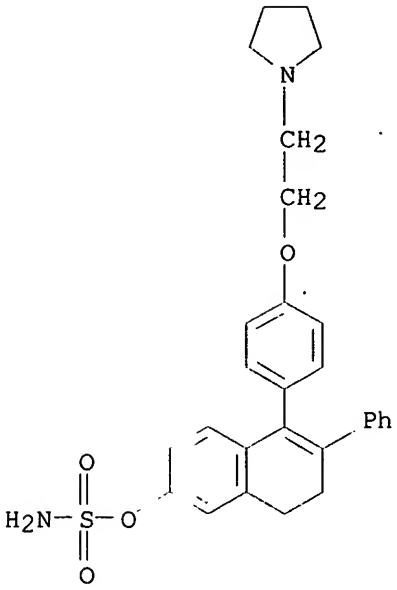
RN 359686-09-2 CAPLUS
CN Sulfamic acid, 5-[4-[2-(dimethylamino)ethoxy]phenyl]-7,8-dihydro-6-(4-methoxyphenyl)-2-naphthalenyl ester (9CI) (CA INDEX NAME)



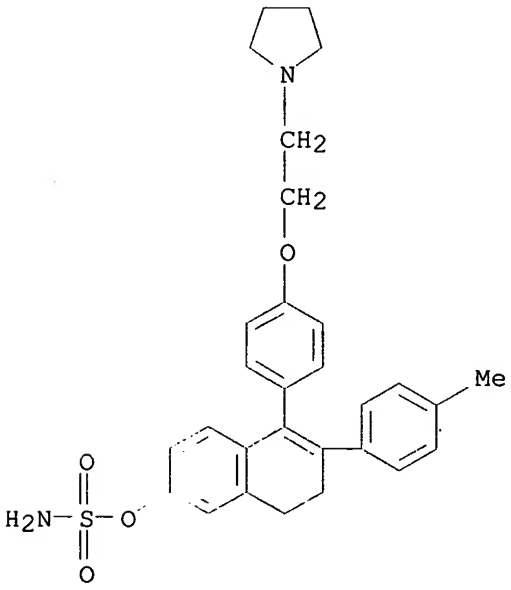
RN 359686-14-9 CAPLUS
CN Sulfamic acid, 5-[4-[2-(dimethylamino)ethoxy]phenyl]-7,8-dihydro-6-(4-hydroxyphenyl)-2-naphthalenyl ester (9CI) (CA INDEX NAME)



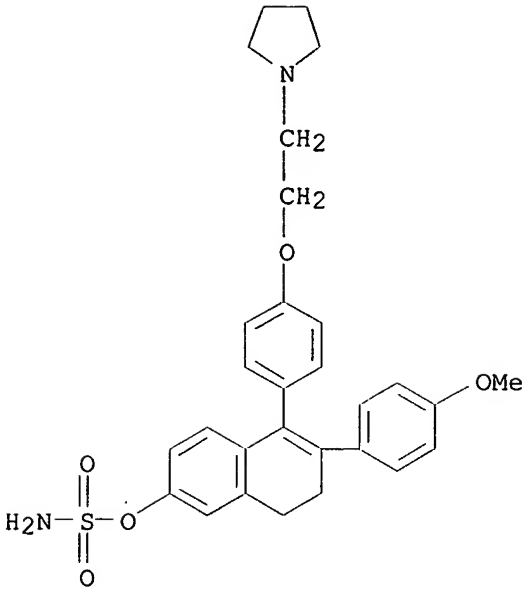
RN 359686-20-7 CAPLUS
CN Sulfamic acid, 7,8-dihydro-6-phenyl-5-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)



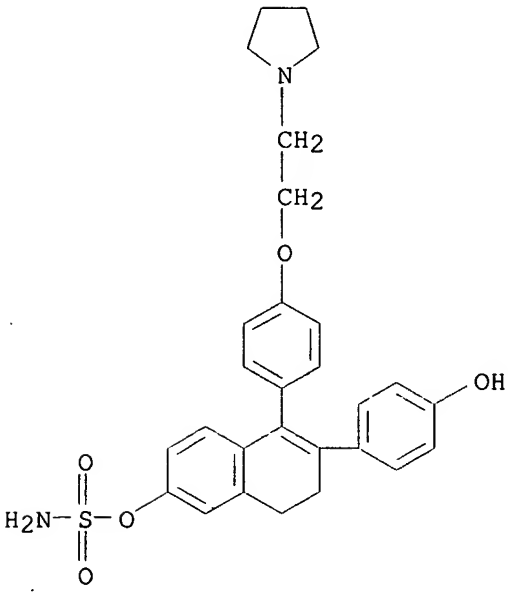
RN 359686-27-4 CAPLUS
CN Sulfamic acid, 7,8-dihydro-6-(4-methylphenyl)-5-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)



RN 359686-33-2 CAPLUS
CN Sulfamic acid, 7,8-dihydro-6-(4-methoxyphenyl)-5-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)



RN 359686-37-6 CAPLUS
CN Sulfamic acid, 7,8-dihydro-6-(4-hydroxyphenyl)-5-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:668343 CAPLUS
DOCUMENT NUMBER: 135:227145
TITLE: Preparation of estrane derivatives as **steroid sulfatase inhibitors**
INVENTOR(S): Li, Pui-kai; Murakata, Chikara; Akinaga, Shiro
PATENT ASSIGNEE(S): Duquesne University of the Holy Ghost, USA; Kyowa Hakko Kogyo Co., Ltd.

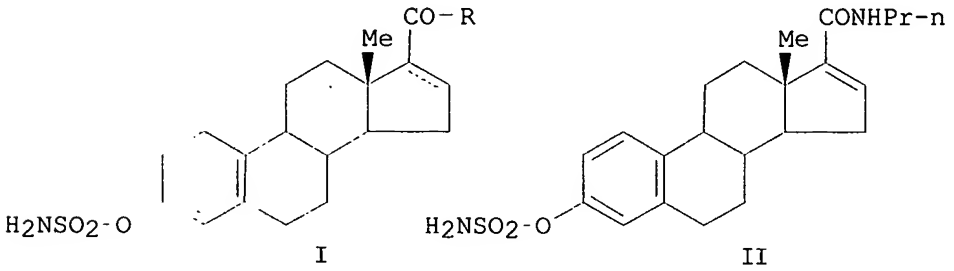
Searched by Barb O'Bryen, STIC 308-4291

SOURCE: U.S., 18 pp., Cont.-in-part of U.S. 5,880,115.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6288050	B1	20010911	US 1999-236842	19990125
US 5880115	A	19990309	US 1997-897247	19970718
WO 2000043408	A2	20000727	WO 2000-US1723	20000124
WO 2000043408	A3	20001130		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1147124	A2	20011024	EP 2000-904516	20000124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008437	A	20011030	BR 2000-8437	20000124
US 6376687	B1	20020423	US 2000-490302	20000124
NO 2001003632	A	20010903	NO 2001-3632	20010724

PRIORITY APPLN. INFO.: US 1997-897247 A2 19970718
US 1999-236842 A 19990125
WO 2000-US1723 W 20000124

OTHER SOURCE(S): MARPAT 135:227145
GI



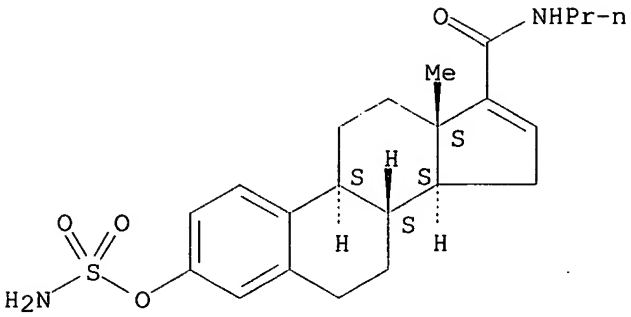
AB Sulfatase inhibitor compds. of formula I [R = (substituted) NH2, alkoxy], comprising a steroid nucleus substituted at the C17 position, are prepd. and are useful in the treatment of estrogen dependent illnesses. Thus, II was prepd. from estrone, and showed estrone sulfatase inhibitory activity (IC50 = 5 nM).

IT **284045-25-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of estrane derivs. as **steroid sulfatase inhibitors**)

RN 284045-25-6 CAPLUS

CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-propyl- (9CI) (CA INDEX NAME)

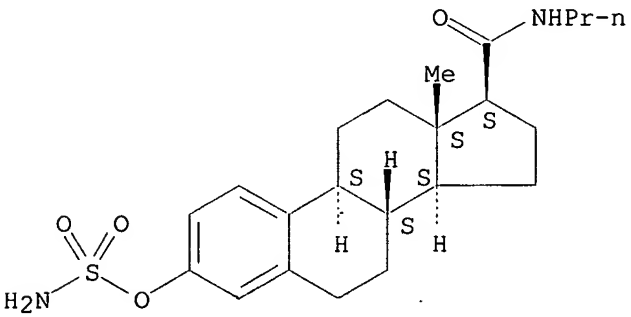
Absolute stereochemistry.



IT **284045-26-7P 284045-29-0P 284045-33-6P**
284045-39-2P 284045-41-6P 284045-54-1P
284045-58-5P 284045-59-6P 284045-65-4P
284045-66-5P 284045-67-6P 284045-68-7P
358985-32-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of estrane derivs. as **steroid sulfatase inhibitors**)

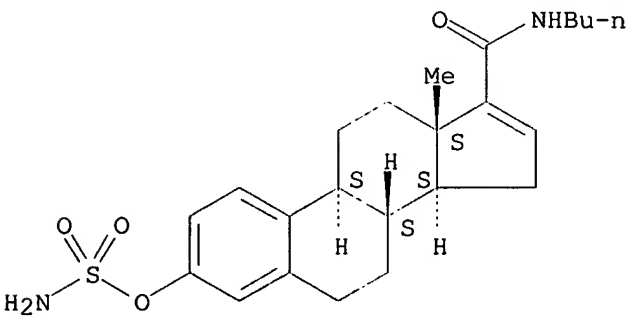
RN 284045-26-7 CAPLUS
CN Estra-1,3,5(10)-triene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-propyl-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



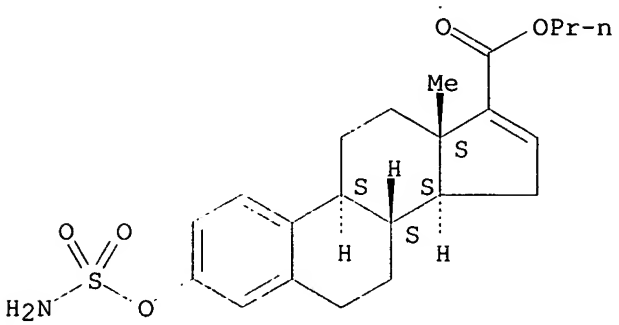
RN 284045-29-0 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-butyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



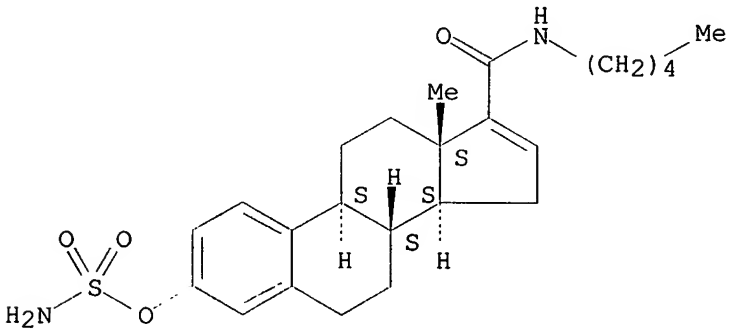
RN 284045-33-6 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxylic acid, 3-[(aminosulfonyl)oxy]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



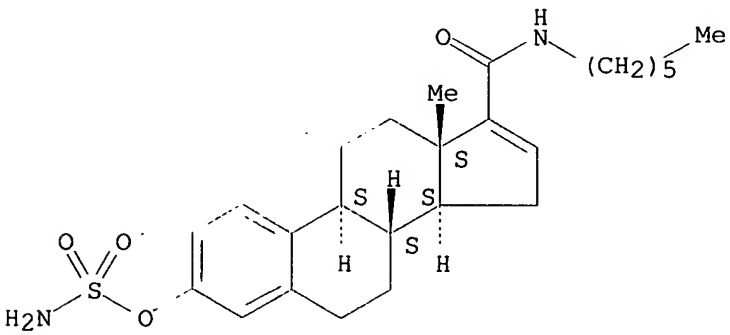
RN 284045-39-2 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-pentyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



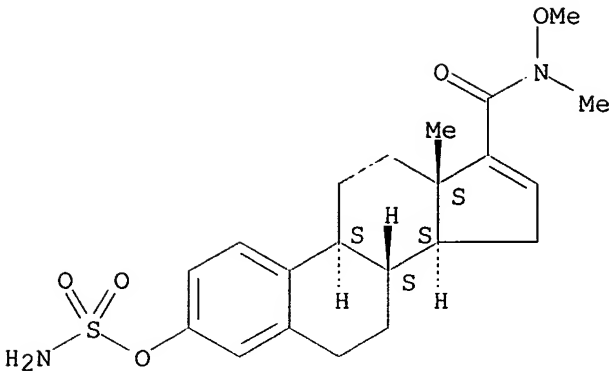
RN 284045-41-6 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-hexyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



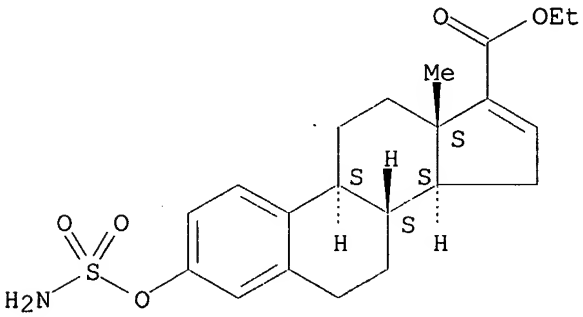
RN 284045-54-1 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-methoxy-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



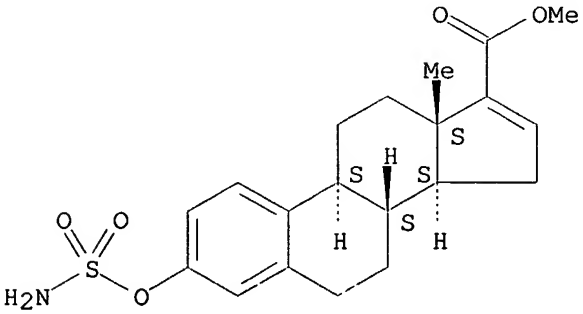
RN 284045-58-5 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxylic acid, 3-[(aminosulfonyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



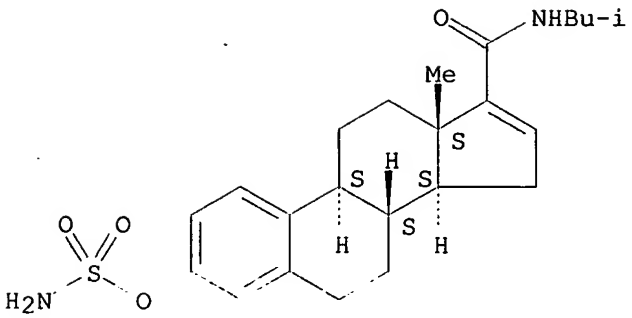
RN 284045-59-6 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxylic acid, 3-[(aminosulfonyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



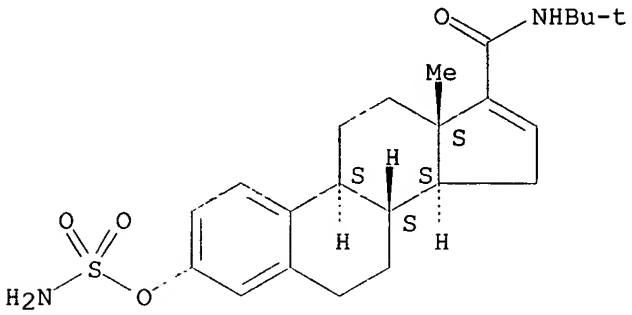
RN 284045-65-4 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



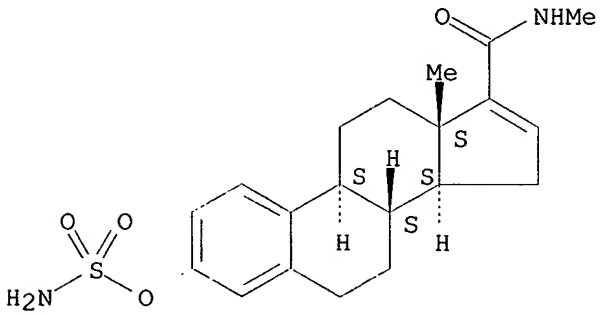
RN 284045-66-5 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



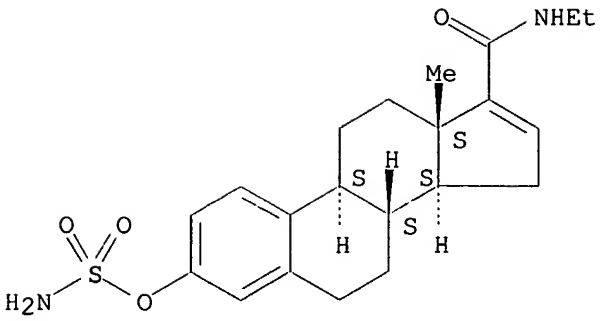
RN 284045-67-6 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



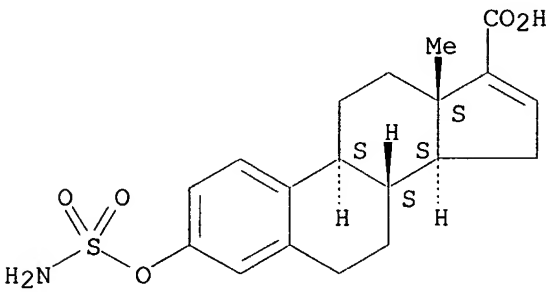
RN 284045-68-7 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 358985-32-7 CAPLUS
CN Estra-1,3,5(10),16-tetraene-17-carboxylic acid, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9025-62-1, Steroid sulfatase**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. of estrane derivs. as **steroid sulfatase inhibitors**)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:594290 CAPLUS
DOCUMENT NUMBER: 135:179731
TITLE: Usage of **steroid sulfatase inhibitors** in combination with antigens for tolerance induction
INVENTOR(S): Wickens, Thomas
PATENT ASSIGNEE(S): Bionetworks G.m.b.H., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10005643	A1	20010816	DE 2000-10005643	20000209

AB The invention concerns combinations for the induction of immune tolerance

by using steroid sulfate inhibitors along with antigens. Steroid sulfatase inhibitors are arylsulfamates, estrone sulfamates, coumarin sulfamates, flavonoids and antisense nucleotides to the nucleic acids coding for steroid sulfatase. Antigens are selected from natural and synthetic peptides, altered peptide ligands (APLs), polysaccharides, lipopolysaccharides, nucleic acids coding for the antigen, bystander antigens. Antigens assocd. with rheumatoid arthritis, multiple sclerosis, uveitis, diabetes mellitus type I, lupus erythematodes and infectious diseases are involved. Thus immune tolerance to MBP (myelin basic protein) or MOG (myelin oligodendrocyte glycoprotein) antigens was induced in rats by nasal or oral administration of APL. Steroid sulfate inhibitor was injected s.c. along with the APL. Autoimmune encephalitis was initiated by Mycobacterium tuberculosis injection; in case of the combination with steroid sulfatase inhibitor lower amts. of antigens were required and the disease was less severe.

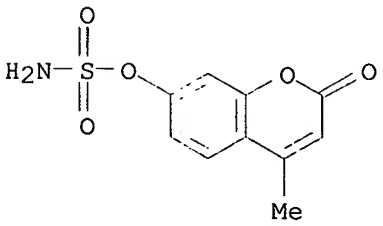
IT **9025-62-1**, Sulfatase, sterol
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(**inhibitors**; usage of **steroid sulfatase inhibitors** in combination with antigens for tolerance induction)

RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

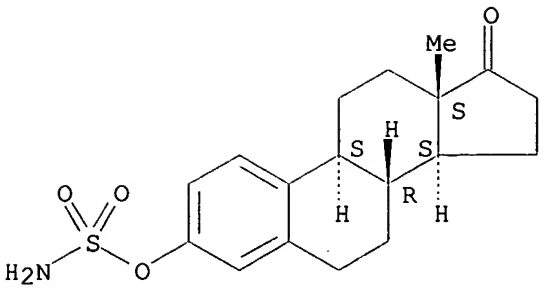
IT **136167-05-0 148672-09-7**, Estrone-3-O-sulfamate
186303-55-9, p-O-Sulfamoyl N-tetradecanoyltyramine
196815-32-4 196815-35-7 208924-87-2
208924-88-3
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(usage of **steroid sulfatase inhibitors** in combination with antigens for tolerance induction)

RN 136167-05-0 CAPLUS
CN Sulfamic acid, 4-methyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)

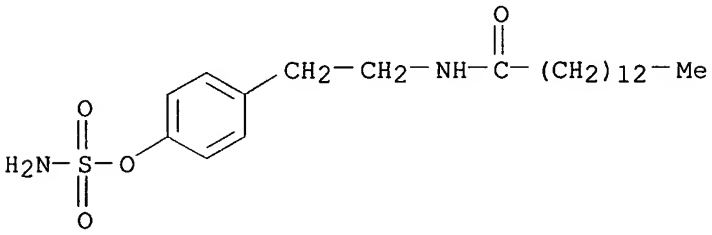


RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

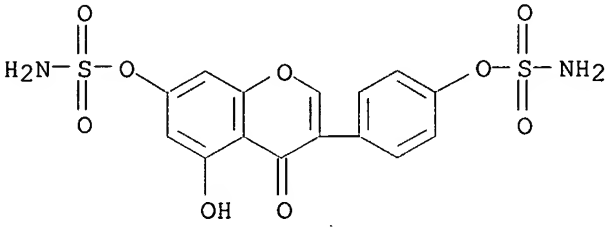
Absolute stereochemistry. Rotation (+).



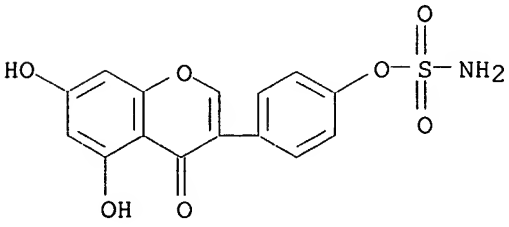
RN 186303-55-9 CAPLUS
CN Sulfamic acid, 4-[2-[(1-oxotetradecyl)amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



RN 196815-32-4 CAPLUS
CN Sulfamic acid, 4-[7-[(aminosulfonyl)oxy]-5-hydroxy-4-oxo-4H-1-benzopyran-3-yl]phenyl ester (9CI) (CA INDEX NAME)

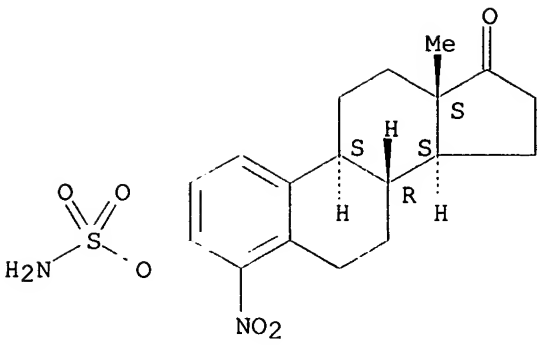


RN 196815-35-7 CAPLUS
CN Sulfamic acid, 4-(5,7-hydroxy-4-oxo-4H-1-benzopyran-3-yl)phenyl ester (9CI) (CA INDEX NAME)



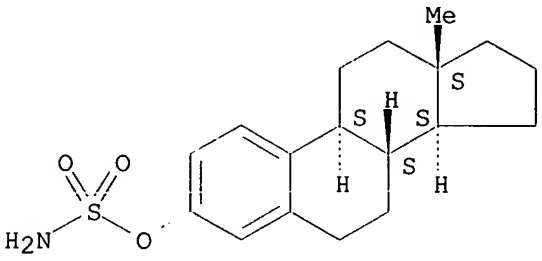
RN 208924-87-2 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 208924-88-3 CAPLUS
CN Estra-1,3,5(10)-trien-3-ol, sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

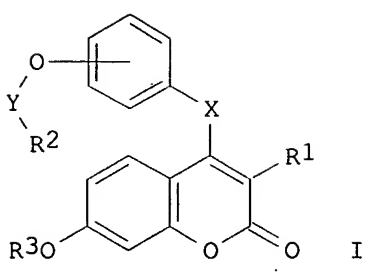


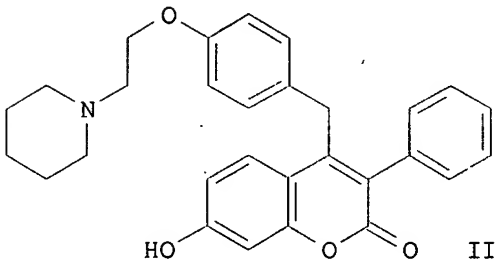
L54 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:507686 CAPLUS
DOCUMENT NUMBER: 135:92545
TITLE: Preparation of benzopyrans as modulators of estrogen receptors for pharmaceutical use
INVENTOR(S): Bhagwat, Shripad S.; McKie, Jeffrey A.; Khammungkhune, Sak
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001049673	A2	20010712	WO 2000-US35671	20001229
WO 2001049673	A3	20011206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FR, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6291456	B1	20010918	US 2000-492939	20000127
US 6331562	B1	20011218	US 2000-611156	20000706

PRIORITY APPLN. INFO.: US 1999-475776 A 19991230

US 2000-492939 A 20000127
US 2000-611156 A 20000706
US 1998-114472P P 19981230
WO 1999-US31290 A2 19991230
OTHER SOURCE(S): MARPAT 135:92545
GI


I


II

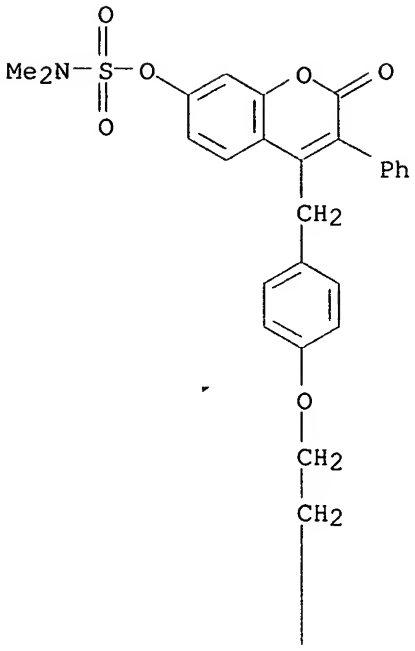
AB Benzopyrans, such as I [R1 = aryl, arylalkyl, heterocyclyl, heterocyclylalkyl; R2 = NR4R5, nitrogen contg. carbon bonded heterocyclyl; R3 = H, acyl, carboxy, carbamoyl, aminosulfonyl; R4, R5 = H, alkyl, aryl, heterocyclyl; NR4R5 = nitrogen bonded heterocyclyl; X = (CH2)p; Y = (CH2)n; p = 0, 1; n = 0 - 4], were prepd. for use as modulators of estrogen receptors for the treatment of estrogen-related conditions, including breast cancer, testicular cancer, osteoporosis, **endometriosis**, cardiovascular disease, hypercholesterolemia, prostatic hypertrophy, prostatic carcinomas, obesity, hot flashes, skin effects, mood swings, memory loss, urinary incontinence, hair loss, cataracts, natural hormonal imbalances, and adverse reproductive effects assocd. with exposure to environmental chems. Thus, benzopyran II was prepd. starting from 3-methoxyphenol and 4-hydroxyphenylacetic acid via cyclocondensation of 1-(2-hydroxy-4-methoxyphenyl)-2-[4-[[tris(1-methylethyl)silyl]oxy]phenyl]ethanone with phenylacetylchloride. The prepd. benzopyrans were tested for binding activity to estrogen receptors .alpha. and .beta., as well as for inhibition of human osteoblastic cells and proliferation of breast cancer cells and prostate carcinoma cells. Pharmaceutical formulations were discussed, and activity comparisons to known estrogen receptor modulators was presented.

IT **280137-99-7P 280138-12-7P**
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzopyrans as modulators of estrogen receptors for pharmaceutical use)

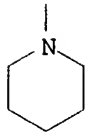
RN 280137-99-7 CAPLUS

CN Sulfamic acid, dimethyl-, 2-oxo-3-phenyl-4-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A

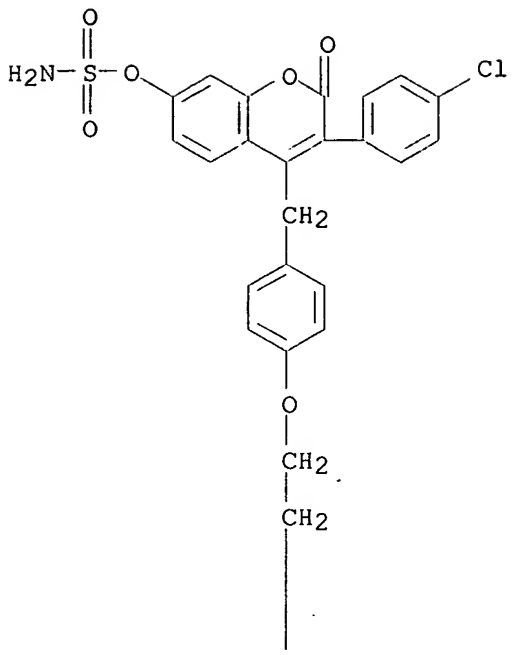


PAGE 2-A

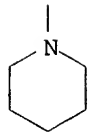


RN 280138-12-7 CAPLUS
CN Sulfamic acid, 3-(4-chlorophenyl)-2-oxo-4-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L54 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:472466 CAPLUS
DOCUMENT NUMBER: 135:97440
TITLE: Preparation and use of a drug composition containing local anesthetics, anti-inflammatory agent and/or immunostimulant
INVENTOR(S): Kasch, Helmut; Goldschmidt, Carsten
PATENT ASSIGNEE(S): ID Pharma G.m.b.H., Germany
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001045678	A2	20010628	WO 2000-EP13036	20001220
WO 2001045678	A3	20020411		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,

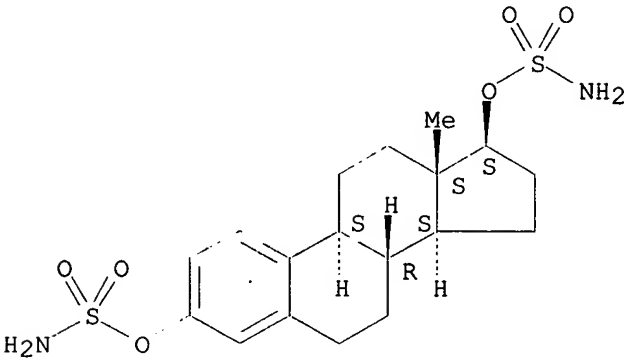
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: DE 1999-19961834 A 19991221
OTHER SOURCE(S): MARPAT 135:97440

AB The invention relates to a compn. which comprises as its constituents (a) a local anesthetic and (b) an anti-inflammatory compd. and/or an immunostimulant compd. and/or a compd. which acts as a supporting material for the local anesthetic. The components can be linked via a chem. bond forming carbamates or thiocarbamates. The compns. are use for the treatment of autoimmune diseases, inflammations, neurol. diseases, asthma, age-related diseases etc. Thus PAR 1 was prepd. by reacting PAR 2 with procaine hydrochloride in methylene chloride for 2 h at room temp. The product was chromatographed on silica gel and identified by ESI-MS. Its was used to screen various microorganisms; PAR 1 inhibited the growth of Penicillium notatum, Glomerella cingulata and Kluyveromyces marxianus.

IT **213472-36-7 213472-40-3 213472-48-1**
213472-50-5 213472-71-0
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(prepn. and use of a drug compn. contg. local anesthetics, anti-inflammatory agent and/or immunostimulant)

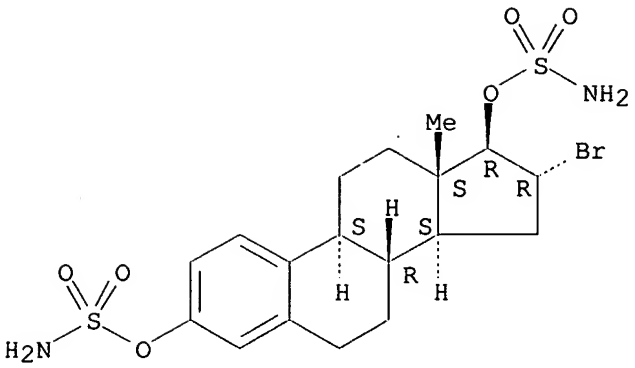
RN 213472-36-7 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, disulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



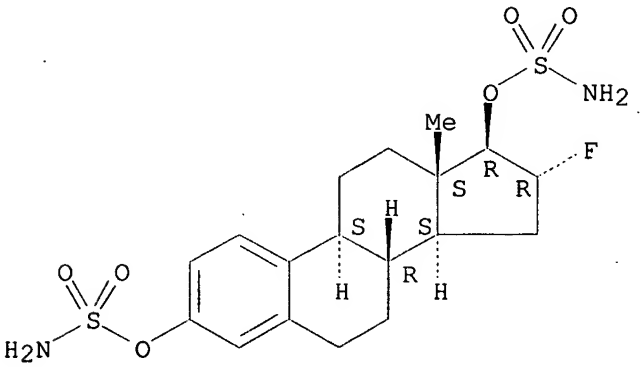
RN 213472-40-3 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 16-bromo-, disulfamate, (16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



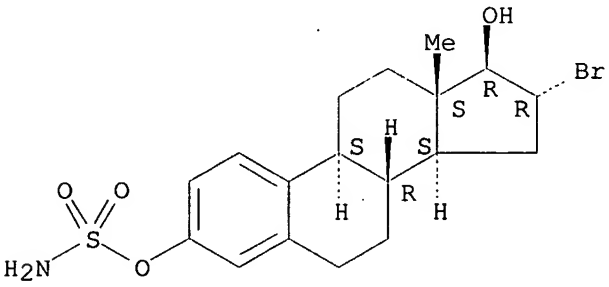
RN 213472-48-1 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 16-fluoro-, disulfamate, (16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



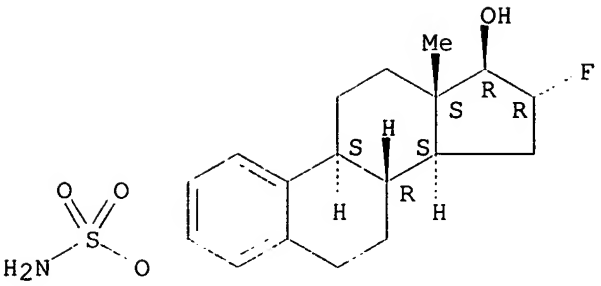
RN 213472-50-5 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 16-bromo-, 3-sulfamate, (16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 213472-71-0 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 16-fluoro-, 3-sulfamate, (16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L54 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:380565 CAPLUS
DOCUMENT NUMBER: 134:366869
TITLE: Benzoxa- and benzthiazoles and their pharmaceutical compositions and use as **steroid sulfatase inhibitors**
INVENTOR(S): Billich, Andreas; Schreiner, Erwin Paul; Wolff-Winiski, Barbara
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036398	A1	20010525	WO 2000-EP11475	20001117

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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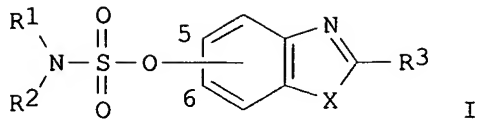
PRIORITY APPLN. INFO.: GB 1999-27439 A 19991119
GB 2000-7511 A 20000328
OTHER SOURCE(S): MARPAT 134:366869
GI



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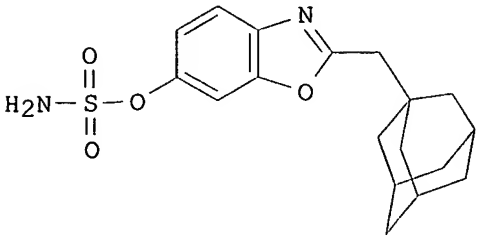


II

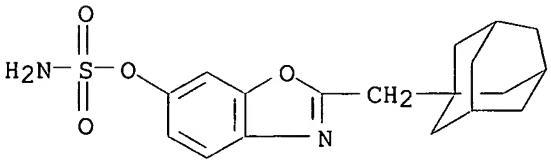
AB Benzoxazoles and benzothiazoles which are inhibitors of steroid sulfatase are disclosed. In particular, benzoxazoles and benzothiazoles which are substituted at the 2 position, and which carry a sulfamic acid ester group bound via oxygen to the Ph part of the ring structure, are claimed. The compds. esp. include those of formula I [sulfamate ester bound at position 5 or 6 of benzazole ring; X = O, S; R1, R2 = H, alkyl; or one of R1 and R2 = H, and the other = acyl or alkoxycarbonyl; R3 = alk(en/yn)yl, cycloalk(en)yl, aryl, acyl, cycloalkyl(idene)(alk(en)yl), aralkyl, heteroaryl, etc.] in free or salt form. The compds. can be prepd. by sulfamoylation of corresponding compds. carrying a hydroxy group on the Ph part of the ring structure, or by N-substitution. They are indicated for use as steroid sulfatase inhibitors in the prevention and treatment of illnesses responsive to steroid sulfatase inhibition, such as acne, seborrhea, androgenic alopecia, hirsutism, estrogen- and androgen-dependent cancer, inflammatory or autoimmune diseases, skin disorders, or decreased cognitive function. Approx. 60 examples are given. For instance, (adamantan-1-yl)acetic acid was amidated with 2,4-dihydroxyaniline-HCl, and the resultant 2-(adamantan-1-yl)-N-(2,4-dihydroxyphenyl)acetamide was cyclized by Mitsunobu reaction to give 2-(adamantan-1-ylmethyl)benzoxazol-6-ol. Reaction of this with H2NSO2Cl in the presence of 2,6-di-tert-butyl-4-methylpyridine gave title compd. II. The analog of II with R3 = adamant-2-ylidenemethyl was deemed the most preferred agent of the invention. Compds. I had IC50 values comparable to those of estrone 3-O-sulfamate in two bioassays for inhibition of steroid sulfatase in vitro.

IT **340704-82-7P**, Sulfamic acid 2-(adamantan-1-ylmethyl)benzoxazol-6-yl ester **340704-83-8P**, Sulfamic acid 2-(2,2-dimethylpropyl)benzoxazol-6-yl ester **340704-84-9P**, Sulfamic acid 2-(adamantan-1-yl)benzoxazol-6-yl ester **340704-85-0P**, Sulfamic acid 2-tridecylbenzoxazol-6-yl ester **340704-86-1P**, Sulfamic acid 2-(2,2-diphenylethyl)benzoxazol-6-yl ester **340704-87-2P**, Sulfamic acid 2-(2,2,2-triphenylethyl)benzoxazol-6-yl ester **340704-88-3P**, Sulfamic acid 2-(dicyclohexylmethyl)benzoxazol-6-yl ester **340704-89-4P**, Sulfamic acid 2-[1-[(tert-butoxycarbonyl)amino]-2,2-dimethylpropyl]benzoxazol-6-yl ester **340704-90-7P**, Sulfamic acid 2-(hexahydro-2,5-methanopentalen-3a-yl)benzoxazol-6-yl ester **340704-92-9P**, Sulfamic acid 2-(tert-butyl)benzoxazol-6-yl ester **340704-93-0P**, Sulfamic acid 2-(adamantan-2-ylidenemethyl)benzoxazol-6-yl ester **340704-94-1P**, Sulfamic acid 2-(cyclohexylidenemethyl)benzoxazol-6-yl ester **340704-95-2P**, Sulfamic acid 2-(cyclobutylidenemethyl)benzoxazol-6-yl ester **340704-96-3P**, Sulfamic acid 2-(cyclopentylidenemethyl)benzoxazol-6-yl ester **340704-97-4P**,

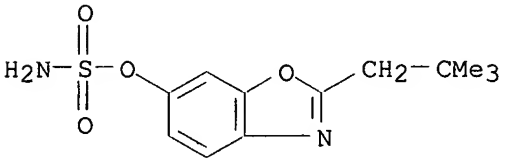
Sulfamic acid 2-(cycloheptylidenemethyl)benzoxazol-6-yl ester **340704-98-5P**, Sulfamic acid 2-(cyclododecanylidenemethyl)benzoxazo l-6-yl ester **340704-99-6P**, Sulfamic acid 2-(bicyclo[3.3.1]non-9-ylidenemethyl)benzoxazol-6-yl ester **340705-00-2P**, Sulfamic acid 2-[(9-hydroxybicyclo[3.3.1]non-9-yl)methyl]benzoxazol-6-yl ester **340705-01-3P**, (E)-Sulfamic acid 2-[(2,2-dimethylcyclohexylidene)methyl]benzoxazol-6-yl ester **340705-02-4P**, (Z)-Sulfamic acid 2-[(2-methoxycyclohexylidene)methyl]benzoxazol-6-yl ester **340705-03-5P**, (E)-Sulfamic acid 2-[(2-methoxycyclohexylidene)methyl]benzoxazol-6-yl ester **340705-04-6P**, Sulfamic acid 2-[(4-ethylcyclohexylidene)methyl]benzoxazol-6-yl ester **340705-05-7P**, Sulfamic acid 2-[(3,3,5,5-tetramethylcyclohexylidene)methyl]benzoxazol-6-yl ester **340705-06-8P**, Sulfamic acid 2-(1,4-dioxaspiro[4.5]dec-8-ylidenemethyl)benzoxazol-6-yl ester **340705-07-9P**, Sulfamic acid 2-[(3,3-dimethyl-1,5-dioxaspiro[5.5]undec-9-ylidene)methyl]benzoxazol-6-yl ester **340705-08-0P**, Sulfamic acid 2-[(octahydronaphthalen-1-ylidene)methyl]benzoxazol-6-yl ester **340705-09-1P**, Sulfamic acid 2-(2-butylhex-1-enyl)benzoxazol-6-yl ester **340705-10-4P**, Sulfamic acid 2-(2-pentylhept-1-enyl)benzoxazol-6-yl ester **340705-11-5P**, Sulfamic acid 2-(2-hexyloct-1-enyl)benzoxazol-6-yl ester **340705-13-7P**, Sulfamic acid 2-[1-(2-hydroxyadamantan-2-yl)ethyl]benzoxazol-6-yl ester **340705-14-8P**, Sulfamic acid 2-[1-(adamantan-2-ylidene)ethyl]benzoxazol-6-yl ester **340705-15-9P**, Sulfamic acid 2-[(2-hydroxyadamantan-2-yl)methyl]benzoxazol-6-yl ester **340705-16-0P**, Sulfamic acid 2-(cycloheptylidenemethyl)benzothiazol-5-yl ester **340705-17-1P**, Sulfamic acid 2-(5,6,7,8-tetrahydronaphthalen-1-yl)benzoxazol-6-yl ester **340705-18-2P**, Sulfamic acid 2-(cyclobutylidenemethyl)benzoxazol-5-yl ester **340705-19-3P**, (Z)-Sulfamic acid 2-(bicyclobutylideneylidenemethyl)benzoxazol-5-yl ester **340705-20-6P**, Sulfamic acid 2-(cyclopentylidenemethyl)benzoxazol-5-yl ester **340705-21-7P**, Sulfamic acid 2-(cyclohexylidenemethyl)benzoxazol-5-yl ester **340705-22-8P**, Sulfamic acid 2-(cyclohex-1-enylmethyl)benzoxazol-5-yl ester **340705-23-9P**, Sulfamic acid 2-(cycloheptylidenemethyl)benzoxazol-5-yl ester **340705-24-0P**, Sulfamic acid 2-(cyclododecanylidenemethyl)benzoxazol-5-yl ester **340705-25-1P**, Sulfamic acid 2-(bicyclo[3.3.1]non-9-ylidenemethyl)benzoxazol-5-yl ester **340705-26-2P**, Sulfamic acid 2-[(9-hydroxybicyclo[3.3.1]non-9-yl)methyl]benzoxazol-5-yl ester **340705-27-3P**, Sulfamic acid 2-[(1-hydroxy-2,2-dimethylcyclohexyl)methyl]benzoxazol-5-yl ester **340705-28-4P**, Sulfamic acid 2-[(2,2-dimethylcyclohexylidene)methyl]benzoxazol-5-yl ester **340705-29-5P**, (Z)-Sulfamic acid 2-[(2-methoxycyclohexylidene)methyl]benzoxazol-5-yl ester **340705-30-8P**, (E)-Sulfamic acid 2-[(2-methoxycyclohexylidene)methyl]benzoxazol-5-yl ester **340705-31-9P**, Sulfamic acid 2-[(4-ethylcyclohexylidene)methyl]benzoxazol-5-yl ester **340705-32-0P**, Sulfamic acid 2-[(3,3,5,5-tetramethylcyclohexylidene)methyl]benzoxazol-5-yl ester **340705-33-1P**, Sulfamic acid 2-(1,4-dioxaspiro[4.5]dec-8-ylidenemethyl)benzoxazol-5-yl ester **340705-34-2P**, Sulfamic acid 2-[(3-dimethyl-1,5-dioxaspiro[5.5]undec-9-ylidene)methyl]benzoxazol-5-yl ester **340705-35-3P**, Sulfamic acid 2-(adamantan-2-ylidenemethyl)benzoxazol-5-yl ester **340705-36-4P**, Sulfamic acid 2-[1-(2-hydroxyadamantan-2-yl)ethyl]benzoxazol-5-yl ester **340705-37-5P**, Sulfamic acid 2-[1-(adamantan-2-ylidene)ethyl]benzoxazol-5-yl ester **340705-38-6P**, Sulfamic acid 2-(adamantan-2-ylidenemethyl)benzothiazol-6-yl ester **340705-39-7P**, Sulfamic acid 2-(adamantan-2-ylidenemethyl)benzothiazol-5-yl ester **340705-40-0P**, Sulfamic acid 2-[1-(2-hydroxyadamantan-2-yl)pentyl]benzoxazol-6-yl ester **340705-41-1P**, Sulfamic acid 2-[1-(adamantan-2-ylidene)pentyl]benzoxazol-6-yl ester
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzoxazoles and benzothiazoles as **steroid sulfatase inhibitors**)

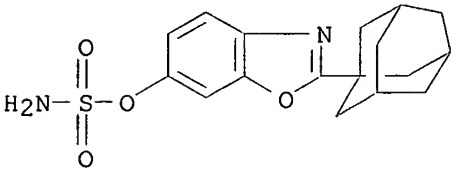
RN 340704-82-7 CAPLUS
CN Sulfamic acid, 2-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



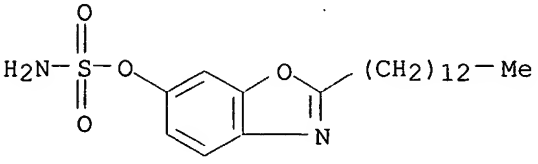
RN 340704-83-8 CAPLUS
CN Sulfamic acid, 2-(2,2-dimethylpropyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



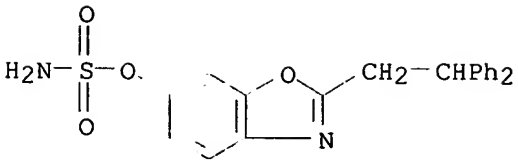
RN 340704-84-9 CAPLUS
CN Sulfamic acid, 2-tricyclo[3.3.1.13,7]dec-1-yl-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



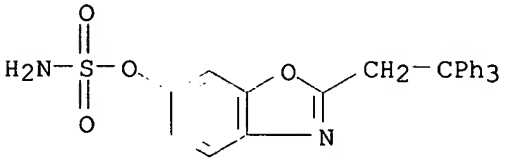
RN 340704-85-0 CAPLUS
CN Sulfamic acid, 2-tridecyl-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



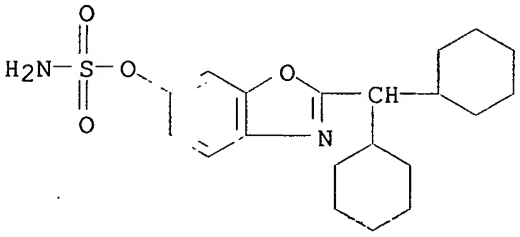
RN 340704-86-1 CAPLUS
CN Sulfamic acid, 2-(2,2-diphenylethyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



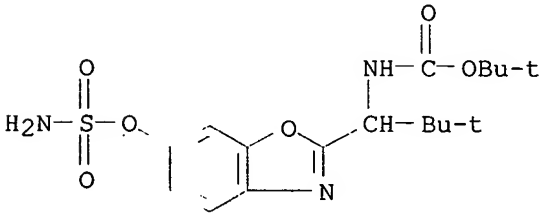
RN 340704-87-2 CAPLUS
CN Sulfamic acid, 2-(2,2,2-triphenylethyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



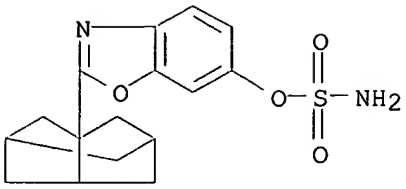
RN 340704-88-3 CAPLUS
CN Sulfamic acid, 2-(dicyclohexylmethyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



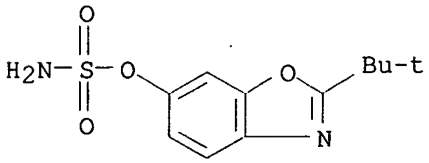
RN 340704-89-4 CAPLUS
CN Carbamic acid, [1-[6-[(aminosulfonyl)oxy]-2-benzoxazolyl]-2,2-dimethylpropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



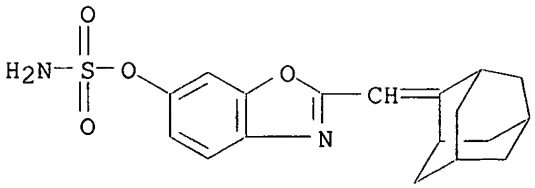
RN 340704-90-7 CAPLUS
CN Sulfamic acid, 2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



RN 340704-92-9 CAPLUS
CN Sulfamic acid, 2-(1,1-dimethylethyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



RN 340704-93-0 CAPLUS
CN Sulfamic acid, 2-(tricyclo[3.3.1.13,7]decylidenemethyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



RN 340704-94-1 CAPLUS
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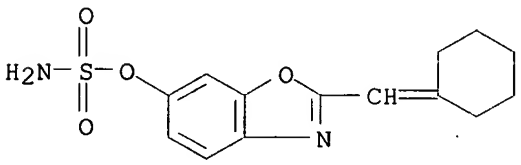
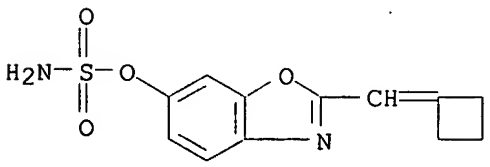
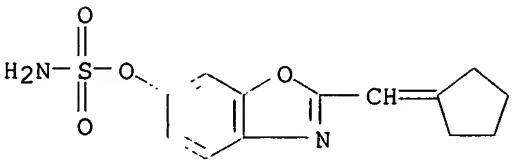


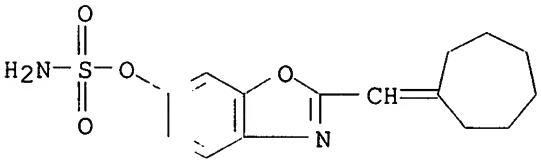
RN 340704-95-2 CAPLUS
CN Sulfamic acid, 2-(cyclobutylidenemethyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



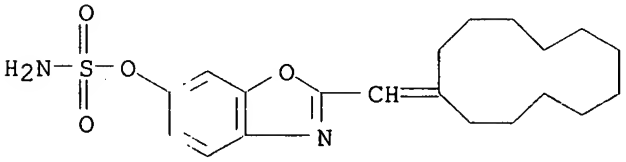
RN 340704-96-3 CAPLUS
CN Sulfamic acid, 2-(cyclopentylidenemethyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



RN 340704-97-4 CAPLUS
CN Sulfamic acid, 2-(cycloheptylidenemethyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)

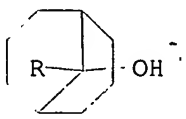


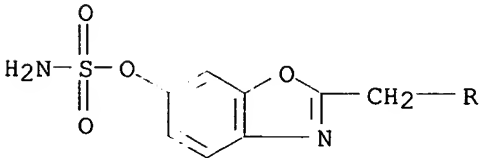
RN 340704-98-5 CAPLUS
CN Sulfamic acid, 2-(cyclododecylidenemethyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



RN 340704-99-6 CAPLUS
CN Sulfamic acid, 2-(bicyclo[3.3.1]non-9-ylidenemethyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)

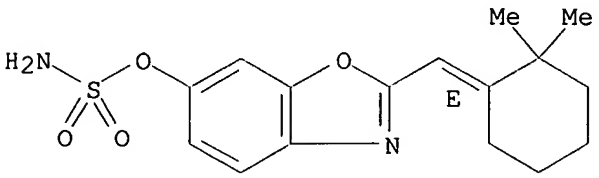
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 340705-00-2 CAPLUS
CN Sulfamic acid, 2-[(9-hydroxybicyclo[3.3.1]non-9-yl)methyl]-6-benzoxazolyl ester (9CI) (CA INDEX NAME)





RN 340705-01-3 CAPLUS
CN Sulfamic acid, 2-[(E)-(2,2-dimethylcyclohexylidene)methyl]-6-benzoxazolyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 340705-02-4 CAPLUS
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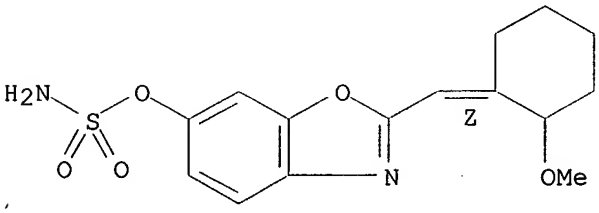
Double bond geometry as shown.



RN 340705-03-5 CAPLUS
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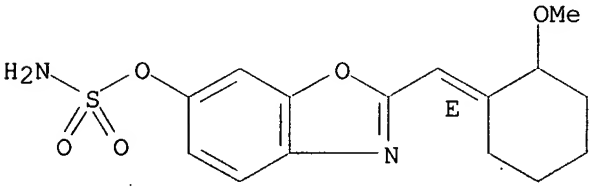
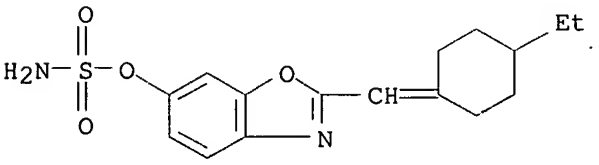
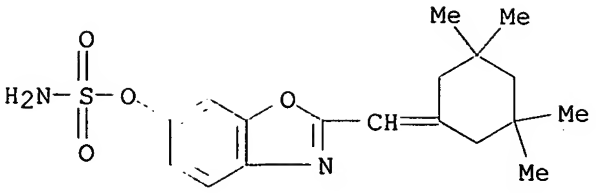
Double bond geometry as shown.



RN 340705-04-6 CAPLUS
CN Sulfamic acid, 2-[(4-ethylcyclohexylidene)methyl]-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



RN 340705-05-7 CAPLUS
CN Sulfamic acid, 2-[(3,3,5,5-tetramethylcyclohexylidene)methyl]-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



RN 340705-06-8 CAPLUS
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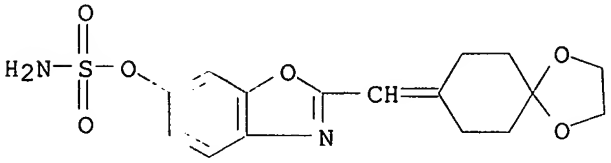
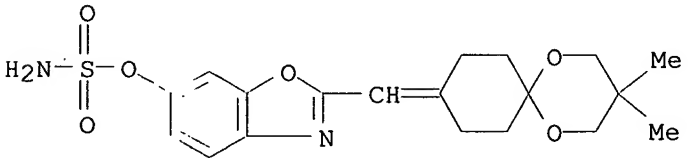
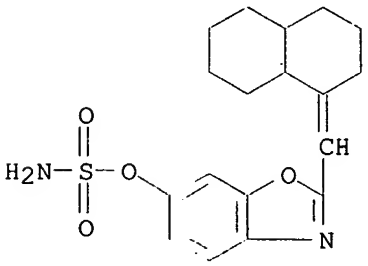


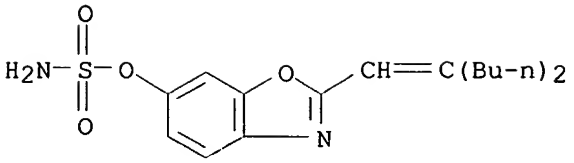
RN 340705-07-9 CAPLUS
CN Sulfamic acid, 2-[(3,3-dimethyl-1,5-dioxaspiro[5.5]undec-9-ylidene)methyl]-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



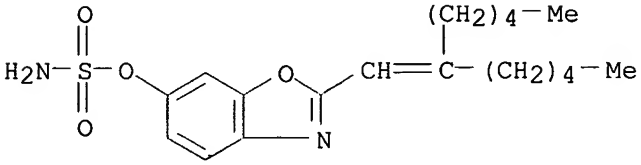
RN 340705-08-0 CAPLUS
CN Sulfamic acid, 2-[(octahydro-1(2H)-naphthalenylidene)methyl]-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



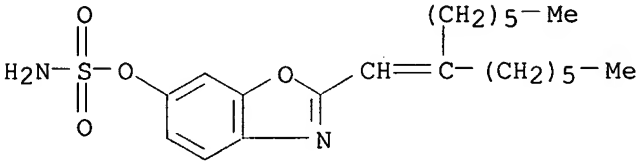
RN 340705-09-1 CAPLUS
CN Sulfamic acid, 2-(2-butyl-1-hexenyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



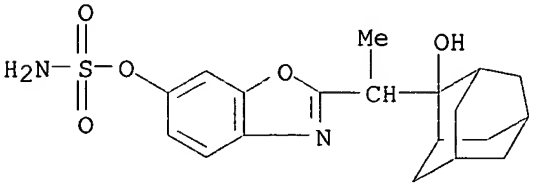
RN 340705-10-4 CAPLUS
CN Sulfamic acid, 2-(2-pentyl-1-heptenyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



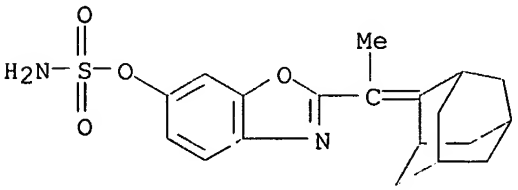
RN 340705-11-5 CAPLUS
CN Sulfamic acid, 2-(2-hexyl-1-octenyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



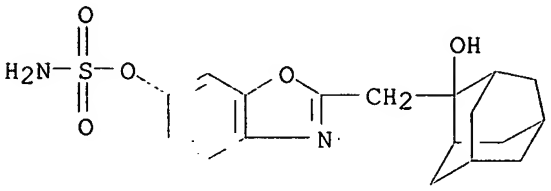
RN 340705-13-7 CAPLUS
CN Sulfamic acid, 2-[1-(2-hydroxytricyclo[3.3.1.13,7]dec-2-yl)ethyl]-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



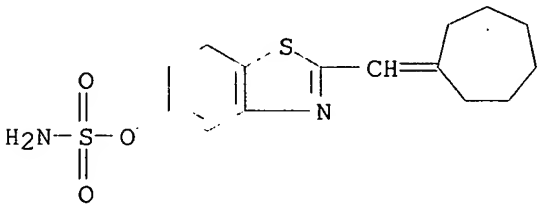
RN 340705-14-8 CAPLUS
CN Sulfamic acid, 2-(1-tricyclo[3.3.1.13,7]decylideneethyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



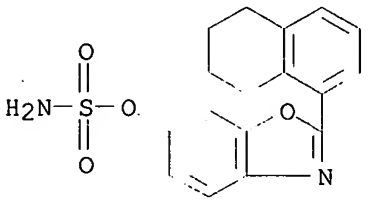
RN 340705-15-9 CAPLUS
CN Sulfamic acid, 2-[(2-hydroxytricyclo[3.3.1.13,7]dec-2-yl)methyl]-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



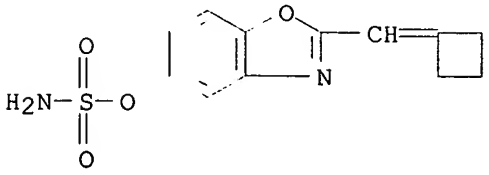
RN 340705-16-0 CAPLUS
CN Sulfamic acid, 2-(cycloheptylidenemethyl)-5-benzothiazolyl ester (9CI) (CA INDEX NAME)



RN 340705-17-1 CAPLUS
CN Sulfamic acid, 2-(5,6,7,8-tetrahydro-1-naphthalenyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)

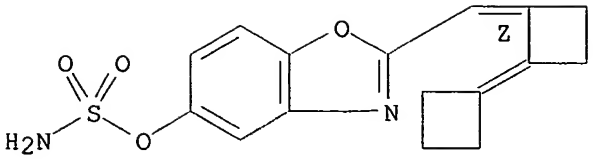


RN 340705-18-2 CAPLUS
CN Sulfamic acid, 2-(cyclobutylidenemethyl)-5-benzoxazolyl ester (9CI) (CA INDEX NAME)

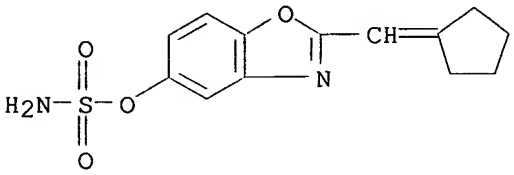


RN 340705-19-3 CAPLUS
CN Sulfamic acid, 2-[(Z)-(2-cyclobutylidenecyclobutylidene)methyl]-5-benzoxazolyl ester (9CI) (CA INDEX NAME)

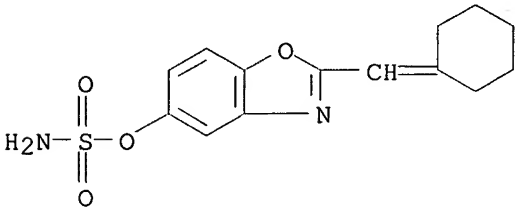
Double bond geometry as shown.



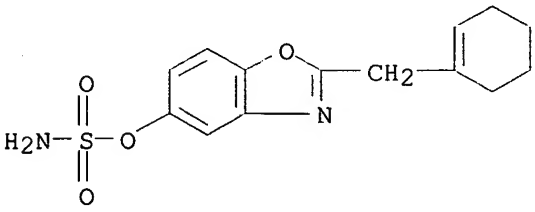
RN 340705-20-6 CAPLUS
CN Sulfamic acid, 2-(cyclopentylidenemethyl)-5-benzoxazolyl ester (9CI) (CA INDEX NAME)



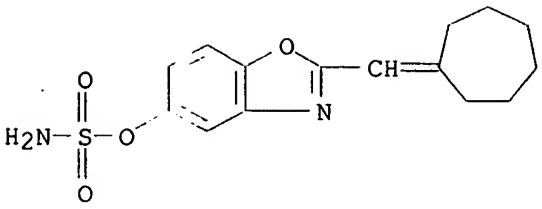
RN 340705-21-7 CAPLUS
CN Sulfamic acid, 2-(cyclohexylidenemethyl)-5-benzoxazolyl ester (9CI) (CA INDEX NAME)



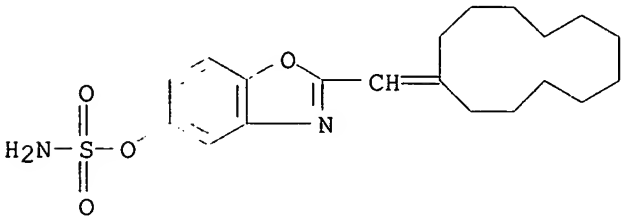
RN 340705-22-8 CAPLUS
CN Sulfamic acid, 2-(1-cyclohexen-1-ylmethyl)-5-benzoxazolyl ester (9CI) (CA INDEX NAME)



RN 340705-23-9 CAPLUS
CN Sulfamic acid, 2-(cycloheptylidenemethyl)-5-benzoxazolyl ester (9CI) (CA INDEX NAME)

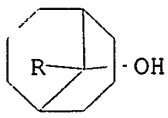


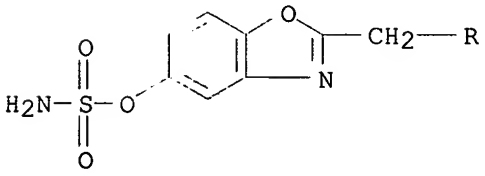
RN 340705-24-0 CAPLUS
CN Sulfamic acid, 2-(cyclododecylidenemethyl)-5-benzoxazolyl ester (9CI) (CA INDEX NAME)



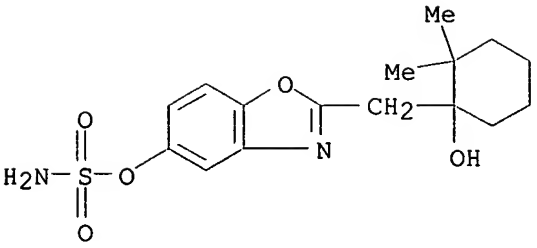
RN 340705-25-1 CAPLUS
CN Sulfamic acid, 2-(bicyclo[3.3.1]non-9-ylidenemethyl)-5-benzoxazolyl ester (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 340705-26-2 CAPLUS
CN Sulfamic acid, 2-[(9-hydroxybicyclo[3.3.1]non-9-yl)methyl]-5-benzoxazolyl ester (9CI) (CA INDEX NAME)

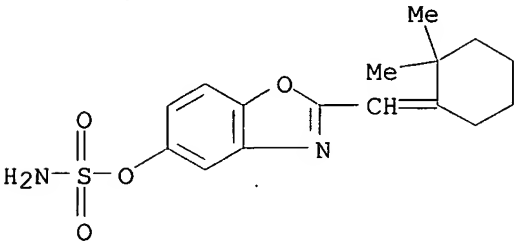




RN 340705-27-3 CAPLUS
CN Sulfamic acid, 2-[(1-hydroxy-2,2-dimethylcyclohexyl)methyl]-5-benzoxazolyl ester (9CI) (CA INDEX NAME)

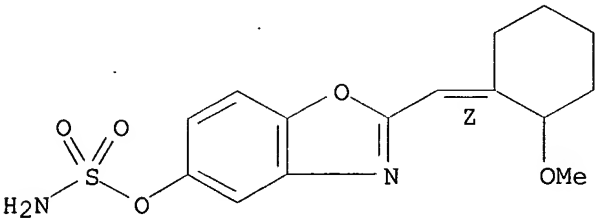


RN 340705-28-4 CAPLUS
CN Sulfamic acid, 2-[(2,2-dimethylcyclohexylidene)methyl]-5-benzoxazolyl ester (9CI) (CA INDEX NAME)



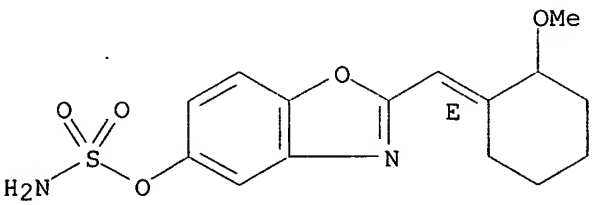
RN 340705-29-5 CAPLUS
CN Sulfamic acid, 2-[(Z)-(2-methoxycyclohexylidene)methyl]-5-benzoxazolyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

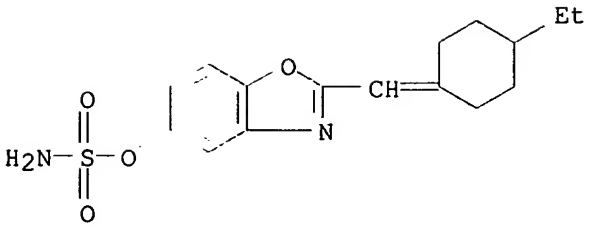


RN 340705-30-8 CAPLUS
CN Sulfamic acid, 2-[(E)-(2-methoxycyclohexylidene)methyl]-5-benzoxazolyl ester (9CI) (CA INDEX NAME)

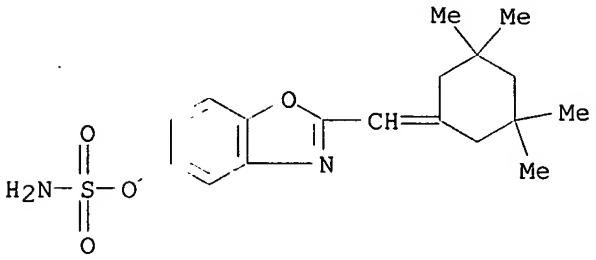
Double bond geometry as shown.



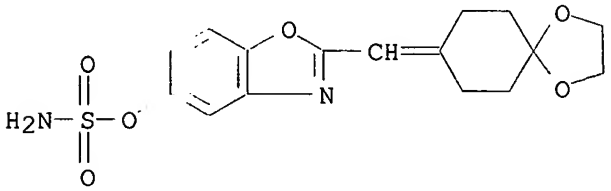
RN 340705-31-9 CAPLUS
CN Sulfamic acid, 2-[(4-ethylcyclohexylidene)methyl]-5-benzoxazolyl ester (9CI) (CA INDEX NAME)



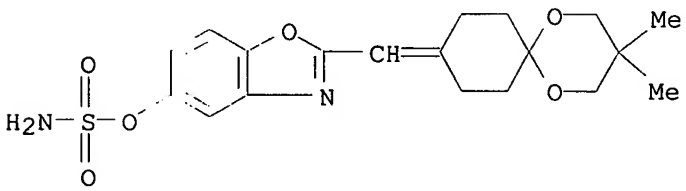
RN 340705-32-0 CAPLUS
CN Sulfamic acid, 2-[(3,3,5,5-tetramethylcyclohexylidene)methyl]-5-benzoxazolyl ester (9CI) (CA INDEX NAME)



RN 340705-33-1 CAPLUS
CN Sulfamic acid, 2-(1,4-dioxaspiro[4.5]dec-8-ylidenemethyl)-5-benzoxazolyl ester (9CI) (CA INDEX NAME)

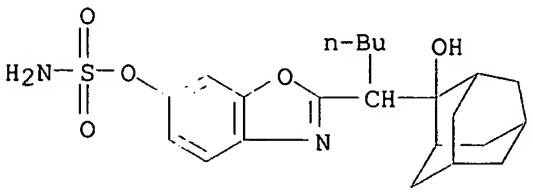


RN 340705-34-2 CAPLUS
CN Sulfamic acid, 2-[(3,3-dimethyl-1,5-dioxaspiro[5.5]undec-9-ylidene)methyl]-5-benzoxazolyl ester (9CI) (CA INDEX NAME)

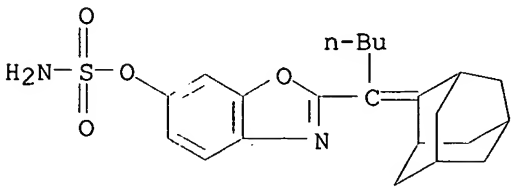


RN 340705-35-3 CAPLUS
CN Sulfamic acid, 2-(tricyclo[3.3.1.13,7]decylidenemethyl)-5-benzoxazolyl ester (9CI) (CA INDEX NAME)

RN 340705-40-0 CAPLUS
CN Sulfamic acid, 2-[1-(2-hydroxytricyclo[3.3.1.13,7]dec-2-yl)pentyl]-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



RN 340705-41-1 CAPLUS
CN Sulfamic acid, 2-(1-tricyclo[3.3.1.13,7]decylidenepentyl)-6-benzoxazolyl ester (9CI) (CA INDEX NAME)



IT **9025-62-1, Steroid sulfatase**
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(**inhibitors**; prepn. of benzoxazoles and benzothiazoles as **steroid sulfatase inhibitors**)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:31456 CAPLUS
DOCUMENT NUMBER: 134:100645
TITLE: Preparation of phenyl sulfamate derivatives as **steroid sulfatase inhibitors**
INVENTOR(S): Koizumi, Naoyuki; Okada, Makoto; Iwashita, Shigeki; Takegawa, Shigehiro; Nakagawa, Takayoshi; Takahashi, Hiroo; Fujii, Tomohito
PATENT ASSIGNEE(S): Teikoku Hormone Mfg. Co., Ltd., Japan
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002349	A1	20010111	WO 2000-JP4427	20000704

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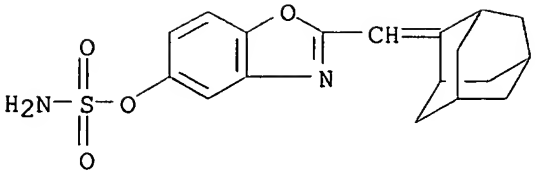
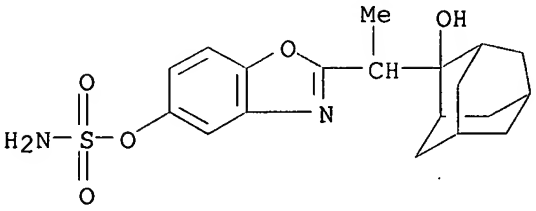
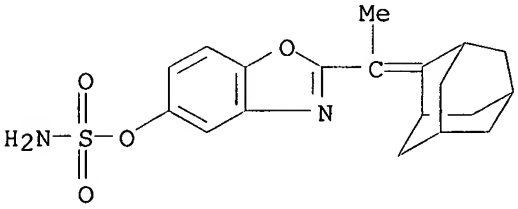
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE



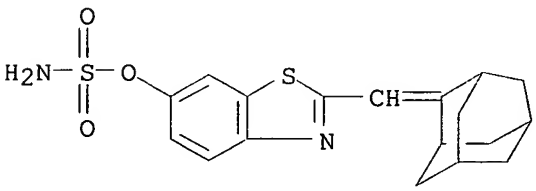
RN 340705-36-4 CAPLUS
CN Sulfamic acid, 2-[1-(2-hydroxytricyclo[3.3.1.13,7]dec-2-yl)ethyl]-5-benzoxazolyl ester (9CI) (CA INDEX NAME)



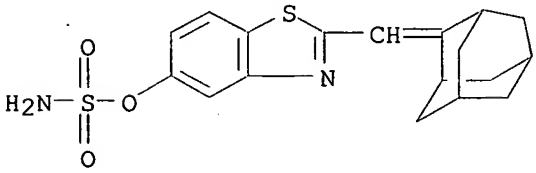
RN 340705-37-5 CAPLUS
CN Sulfamic acid, 2-(1-tricyclo[3.3.1.13,7]decylideneethyl)-5-benzoxazolyl ester (9CI) (CA INDEX NAME)



RN 340705-38-6 CAPLUS
CN Sulfamic acid, 2-(tricyclo[3.3.1.13,7]decylidenemethyl)-6-benzothiazolyl ester (9CI) (CA INDEX NAME)



RN 340705-39-7 CAPLUS
CN Sulfamic acid, 2-(tricyclo[3.3.1.13,7]decylidenemethyl)-5-benzothiazolyl ester (9CI) (CA INDEX NAME)



EP 1193250 A1 20020403 EP 2000-940936 20000704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
PRIORITY APPLN. INFO.: JP 1999-191632 A 19990706
WO 2000-JP4427 W 20000704
OTHER SOURCE(S): MARPAT 134:100645
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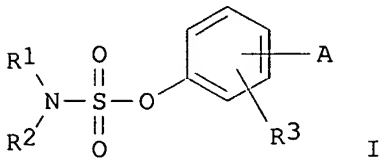


I

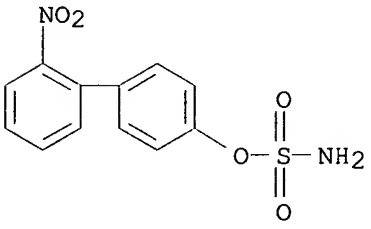
AB Ph sulfamate derivs. of general formula (I) or salts thereof [wherein R1, R2 = H, lower alkyl; R3 = H, halo, lower alkyl, OSO2NR1R2, lower alkanoylamino, NO2, cyano; A = (un)substituted Ph, naphthyl, pyridyl, 2-substituted thiazol-4-yl, 3-substituted-isoxazol-5-yl, 1-cyano-2-(optionally substituted phenyl)vinyl, 3-cyano-2-(optionally substituted phenyl)vinyl, X-NR4R5 (wherein X = CO, CH2; R4 = H, lower alkyl, optionally substituted Ph, lower alkanoyl, optionally substituted phenylcarbonyl, heteroarylcarbonyl, lower alkylsulfonyl, SO2NH2, etc.; R5 = H, optionally substituted Ph or phenylcarbonyl; provisos are given); or R3 and A together with Ph group to which they are bonded represent fluoren-2-yl or 9-oxofluoren-2-yl; provided that when R3 = H, A .noteq. unsubstituted Ph] are prepd. These compds. exhibit an excellent steroid sulfatase inhibitory activity and being therefore effective in the prevention or treatment of diseases related to steroids including estrogen, e.g., mammary carcinoma, carcinoma of uterine body, **endometrial** hyperplasia, sterility, **endometriosis**, adenomyosis of uterus, autoimmune diseases, dementia, **Alzheimer**'s disease and so on. Thus, 108 mg 2'-biphenyl-4-ol was dissolved in DMF and stirred with under ice-cooling for 10 min, treated with 367 mg sulfamoyl chloride, and stirred at room temp. for 3 h to give 2'-nitrobiphenyl-4-yl sulfamate (II). II and 2'-cyano-4'-nitrobiphenyl-4-yl sulfamate at 0.5 mg/kg p.o. in rats inhibited steroid sulfatase by 91.2 and 99.5%, resp., in liver and 94.9 and 100%, resp., in uterus.

IT **319014-55-6P**, 2'-Nitrobiphenyl-4-yl sulfamate **319014-56-7P**, 4'-Hydroxy-2-cyanobiphenyl-4-yl sulfamate **319014-57-8P**, 2'-Fluorobiphenyl-4-yl sulfamate **319014-59-0P**, 2'-(Trifluoromethyl)biphenyl-4-yl sulfamate **319014-60-3P**, 2'-Methylbiphenyl-4-yl sulfamate **319014-61-4P**, Biphenyl-2,4'-diyl disulfamate **319014-62-5P**, 2'-Cyanomethylbiphenyl-4-yl sulfamate **319014-63-6P**, 3'-Fluorobiphenyl-4-yl sulfamate **319014-64-7P**, 3'-Nitrobiphenyl-4-yl sulfamate **319014-65-8P**, 3'-Cyanobiphenyl-4-yl sulfamate **319014-66-9P**, 3'-Cyanomethylbiphenyl-4-yl sulfamate **319014-67-0P**, 4'-Bromobiphenyl-4-yl sulfamate **319014-68-1P**, 4'-Chlorobiphenyl-4-yl sulfamate **319014-69-2P**, 4'-Methoxybiphenyl-4-yl sulfamate **319014-70-5P**, 4'-Nitrobiphenyl-4-yl sulfamate **319014-71-6P**, Methyl 4'-(sulfamoyloxy)-4-biphenylcarboxylate **319014-72-7P**, 4'-Cyanobiphenyl-4-yl sulfamate **319014-73-8P**, 4'-Trifluoromethylbiphenyl-4-yl sulfamate **319014-75-0P**, 4'-(Cyanomethyl)biphenyl-4-yl sulfamate **319014-76-1P**, Biphenyl-4,4'-diyl disulfamate **319014-78-3P**, 2-Nitrobiphenyl-4,4'-diyl disulfamate **319014-79-4P**, 2',4'-Dinitrobiphenyl-4-yl sulfamate **319014-80-7P**,

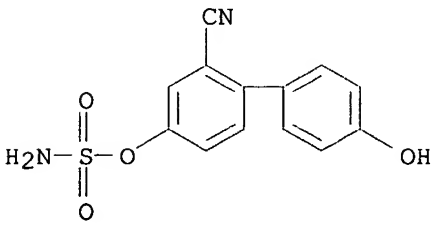
2,2'-Dinitrobiphenyl-4,4'-diyl disulfamate **319014-81-8P**,
2'-Cyano-4'-nitrobiphenyl-4-yl sulfamate **319014-82-9P**,
4'-Cyano-2'-nitrobiphenyl-4-yl sulfamate **319014-83-0P**,
2',4'-Dicyanobiphenyl-4-yl sulfamate **319014-84-1P**,
[4-[N-Sulfamoyl-N-(4-(sulfamoyloxy)benzyl)amino]phenyl] sulfamate
319014-85-2P, [4-[N-(Methylsulfonyl)-N-(4-
(sulfamoyloxy)benzyl)amino]phenyl] sulfamate **319014-86-3P**,
[4-[N-Acetyl-N-(4-(sulfamoyloxy)benzyl)amino]phenyl] sulfamate
319014-87-4P, [4-[N-Acetyl-N-(4-(sulfamoyloxy)benzyl)amino]phenyl]
acetate **319014-88-5P**, [4-[N-(4-(Sulfamoyloxy)phenyl)carbamoyl]ph
enyl] sulfamate **319014-89-6P**, [4-[N-Ethyl-N-(4-
(sulfamoyloxy)phenyl)carbamoyl]phenyl] sulfamate **319014-90-9P**,
[4-[N-Methyl-N-(4-(sulfamoyloxy)phenyl)carbamoyl]phenyl] sulfamate
319014-91-0P, [4-[N-(3-(Sulfamoyloxy)phenyl)carbamoyl]phenyl]
sulfamate **319014-92-1P**, [4-[N-Methyl-N-(3-
(sulfamoyloxy)phenyl)carbamoyl]phenyl] sulfamate **319014-93-2P**
319014-95-4P 319014-97-6P 319014-99-8P,
4-(N-Phenylaminomethyl)phenyl sulfamate **319015-00-4P**,
4-[N-(4-Cyanophenyl)aminomethyl]phenyl sulfamate **319015-01-5P**,
4-[N-(2-Cyanophenyl)aminomethyl]phenyl sulfamate **319015-02-6P**,
4-[N-(4-Hydroxyphenyl)aminomethyl]phenyl sulfamate **319015-03-7P**,
4-[N-(4-Nitrophenyl)aminomethyl]phenyl sulfamate **319015-04-8P**
319015-05-9P 319015-06-0P, 4-[[N,N-Bis(4-
cyanophenyl)amino]methyl]phenyl sulfamate **319015-07-1P**
319015-08-2P, 4-[[N-Phenyl-N-(sulfamoyl)amino]methyl]phenyl
sulfamate **319015-09-3P**, 4-[[N-(4-Cyanophenyl)-N-
(sulfamoyl)amino]methyl]phenyl sulfamate **319015-10-6P**,
4-[[N-(4-Cyanophenyl)-N-nicotinoylamino]methyl]phenyl sulfamate
319015-11-7P, 4-[[N-Benzoyl-N-(4-cyanophenyl)amino]methyl]phenyl
sulfamate **319015-12-8P**, 4-[[N-(4-Cyanobenzoyl)-N-(4-
cyanophenyl)amino]methyl]phenyl sulfamate **319015-13-9P**,
4-(N,N-Diphenylcarbamoyl)phenyl sulfamate **319015-14-0P**,
4-(N-Benzylcarbamoyl)phenyl sulfamate **319015-15-1P**,
4-(N-Phenylcarbamoyl)phenyl sulfamate **319015-16-2P**,
4-[[N-(4-Cyanobenzoyl)-N-methylamino]methyl]phenyl sulfamate
319015-17-3P, 4-[[N-(4H-1,2,4-Triazol-4-yl)amino]methyl]phenyl
sulfamate **319015-18-4P**, 4-[[N-(3-Cyanobenzoyl)-N-(4H-1,2,4-
triazol-4-yl)amino]methyl]phenyl sulfamate **319015-19-5P**,
4-[[N-(4-Cyanophenyl)-N-(3-pyridyl)amino]methyl]phenyl sulfamate
319015-23-1P, 4-[[N-(4-Cyanophenyl)-N-methylamino]methyl]phenyl
sulfamate **319015-26-4P**, 4-[[N-(4-Cyanophenyl)-N-
ethylamino]methyl]phenyl sulfamate **319015-30-0P**,
4-[[N-(4-Cyanophenyl)-N-(2-thienylcarbonyl)amino]methyl]phenyl sulfamate
319015-34-4P, 4-[[N-(4-Cyanophenyl)-N-(3-
thienylcarbonyl)amino]methyl]phenyl sulfamate **319015-36-6P**,
4-[N-(4-Cyanophenyl)carbamoyl]phenyl sulfamate **319015-38-8P**,
4-[N-(4-Cyanophenyl)-N-methylcarbamoyl]phenyl sulfamate
319015-39-9P, 4-(N',N'-Dimethylhydrazinocarbonyl)phenyl sulfamate
319015-40-2P, 2-[N-(4-(Sulfamoyloxy)phenyl)carbamoyl]phenyl
sulfamate **319015-42-4P**, 3-[N-(2-(Sulfamoyloxy)phenyl)carbamoyl]p
henyl sulfamate **319015-43-5P**, 3-[N-(3-
(Sulfamoyloxy)phenyl)carbamoyl]phenyl sulfamate **319015-45-7P**,
3-[N-(4-(Sulfamoyloxy)phenyl)carbamoyl]phenyl sulfamate
319015-46-8P, 4-[N-(2-(Sulfamoyloxy)phenyl)carbamoyl]phenyl
sulfamate **319015-48-0P**, 4-[[N-(4-Cyanophenyl)-N-(2-
pyrazinyl)amino]methyl]phenyl sulfamate **319015-50-4P**
319015-52-6P 319015-53-7P 319015-54-8P,
9-Oxofluoren-2-yl sulfamate **319015-55-9P**, Fluoren-2-yl sulfamate
319015-56-0P, 4-(3-Pyridyl)phenyl sulfamate **319015-57-1P**
, 4-(2-Methylthiazol-4-yl)phenyl sulfamate **319015-58-2P**,
4-(2-(Sulfamoyloxy)thiazol-4-yl)phenyl sulfamate **319015-60-6P**,
4-[3-(N-Methylcarbamoyl)isoxazol-5-yl]phenyl sulfamate
319015-61-7P, 3-Chlorobiphenyl-4-yl sulfamate **319015-62-8P**

, 3-Bromobiphenyl-4-yl sulfamate **319015-63-9P**,
3-Iodobiphenyl-4-yl sulfamate **319015-64-0P**, 3-
(Acetylamino)biphenyl-4-yl sulfamate **319015-66-2P**,
4'-((Methylsulfonyl)amino)biphenyl-4-yl sulfamate **319015-68-4P**,
2'-((Methylsulfonyl)amino)biphenyl-4-yl sulfamate **319015-70-8P**,
4'-(Methylsulfonyloxy)biphenyl-4-yl sulfamate **319015-72-0P**
319015-74-2P 319015-76-4P 319015-78-6P,
4-[[N-(4-Cyanophenyl)-N-(2-pyrimidinyl)amino]methyl]phenyl sulfamate
319015-79-7P, 2'-Cyano-4'-nitrobiphenyl-4-yl N,N-dimethylsulfamate
319015-80-0P, 4'-(Sulfamoylamino)biphenyl-4-yl sulfamate
319015-81-1P, 2'-(Sulfamoylamino)biphenyl-4-yl sulfamate
319015-83-3P 319015-85-5P 319015-86-6P,
4'-Amino-2'-cyanobiphenyl-4-yl sulfamate **319015-87-7P**,
2'-Amino-4'-cyanobiphenyl-4-yl sulfamate
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of Ph sulfamate derivs. as **steroid sulfatase inhibitors** and drugs)

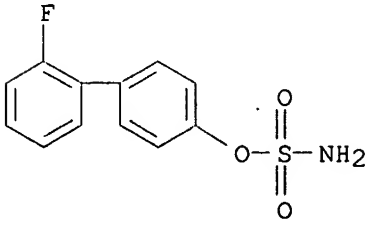
RN 319014-55-6 CAPLUS
CN Sulfamic acid, 2'-nitro[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



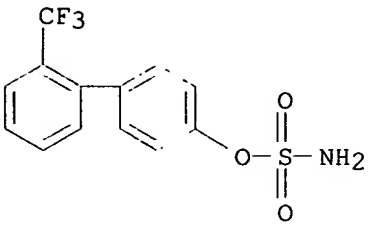
RN 319014-56-7 CAPLUS
CN Sulfamic acid, 2-cyano-4'-hydroxy[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



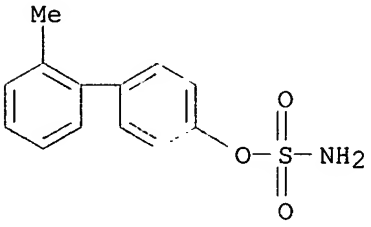
RN 319014-57-8 CAPLUS
CN Sulfamic acid, 2'-fluoro[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



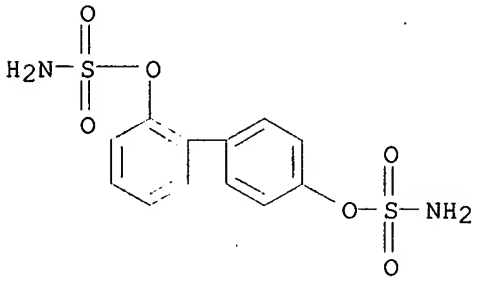
RN 319014-59-0 CAPLUS
CN Sulfamic acid, 2'-(trifluoromethyl)[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



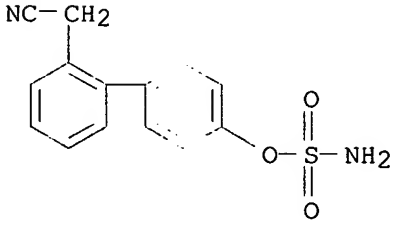
RN 319014-60-3 CAPLUS
CN Sulfamic acid, 2'-methyl[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



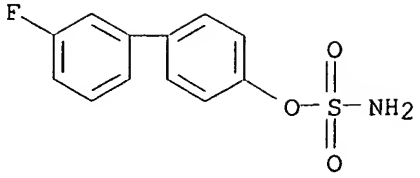
RN 319014-61-4 CAPLUS
CN Sulfamic acid, [1,1'-biphenyl]-2,4'-diyl ester (9CI) (CA INDEX NAME)



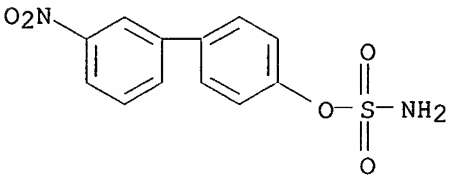
RN 319014-62-5 CAPLUS
CN Sulfamic acid, 2'-(cyanomethyl)[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



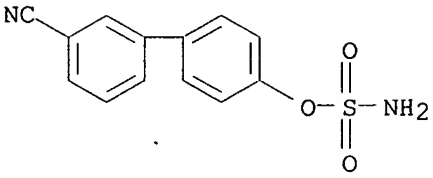
RN 319014-63-6 CAPLUS
CN Sulfamic acid, 3'-fluoro[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



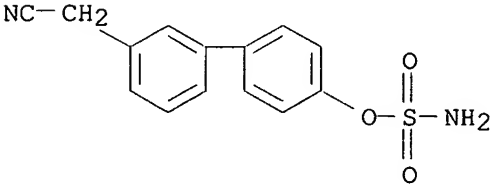
RN 319014-64-7 CAPLUS
CN Sulfamic acid, 3'-nitro[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



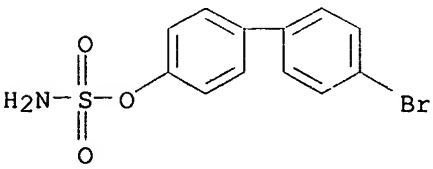
RN 319014-65-8 CAPLUS
CN Sulfamic acid, 3'-cyano[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



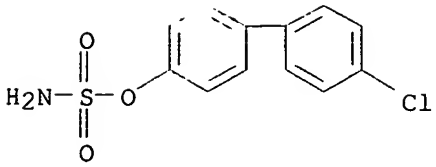
RN 319014-66-9 CAPLUS
CN Sulfamic acid, 3'-(cyanomethyl)[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



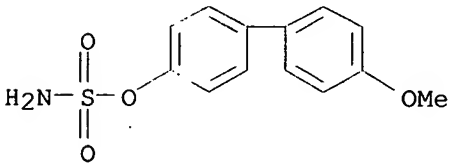
RN 319014-67-0 CAPLUS
CN Sulfamic acid, 4'-bromo[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



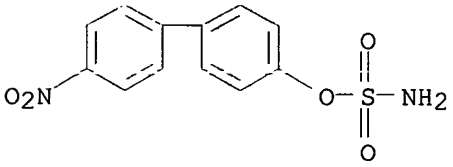
RN 319014-68-1 CAPLUS
CN Sulfamic acid, 4'-chloro[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



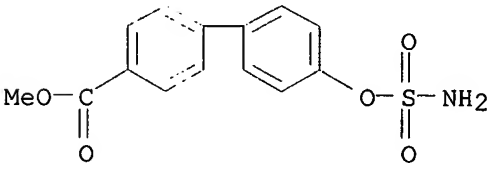
RN 319014-69-2 CAPLUS
CN Sulfamic acid, 4'-methoxy[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



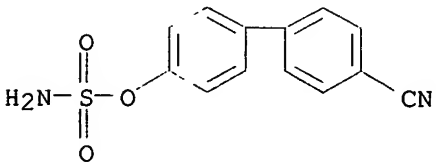
RN 319014-70-5 CAPLUS
CN Sulfamic acid, 4'-nitro[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



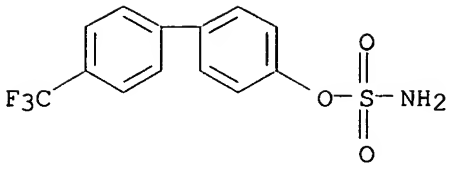
RN 319014-71-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[(aminosulfonyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



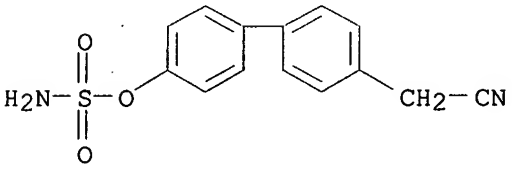
RN 319014-72-7 CAPLUS
CN Sulfamic acid, 4'-cyano[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



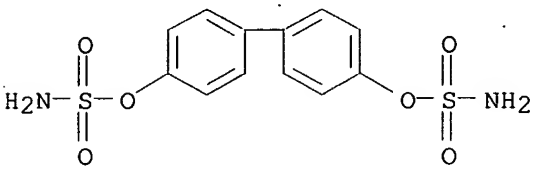
RN 319014-73-8 CAPLUS
CN Sulfamic acid, 4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



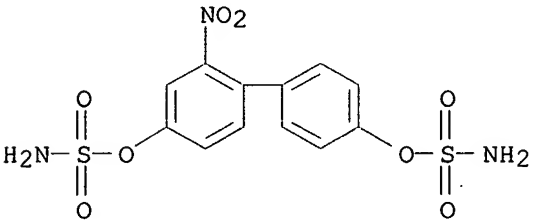
RN 319014-75-0 CAPLUS
CN Sulfamic acid, 4'-(cyanomethyl)[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



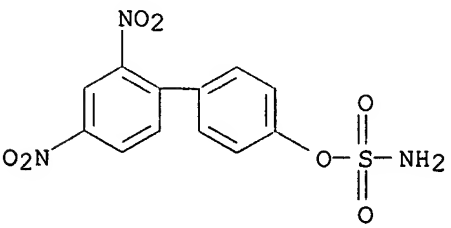
RN 319014-76-1 CAPLUS
CN Sulfamic acid, [1,1'-biphenyl]-4,4'-diyl ester (9CI) (CA INDEX NAME)



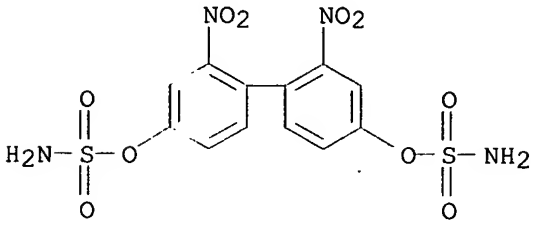
RN 319014-78-3 CAPLUS
CN Sulfamic acid, 2-nitro[1,1'-biphenyl]-4,4'-diyl ester (9CI) (CA INDEX NAME)



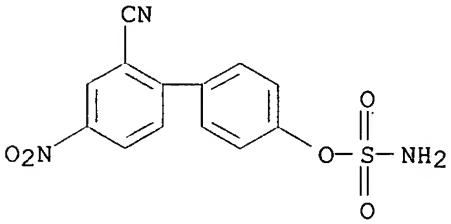
RN 319014-79-4 CAPLUS
CN Sulfamic acid, 2',4'-dinitro[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



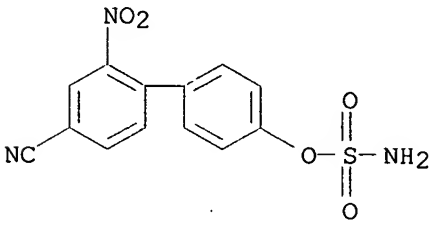
RN 319014-80-7 CAPLUS
CN Sulfamic acid, 2,2'-dinitro[1,1'-biphenyl]-4,4'-diyl ester (9CI) (CA INDEX NAME)



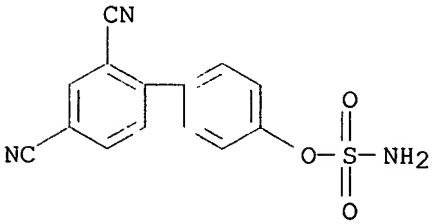
RN 319014-81-8 CAPLUS
CN Sulfamic acid, 2'-cyano-4'-nitro[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



RN 319014-82-9 CAPLUS
CN Sulfamic acid, 4'-cyano-2'-nitro[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)

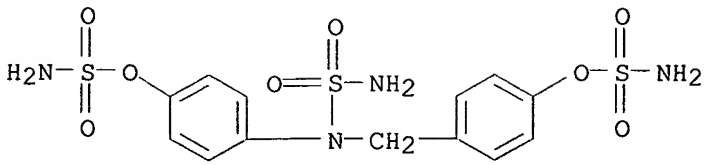


RN 319014-83-0 CAPLUS
CN Sulfamic acid, 2',4'-dicyano[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)

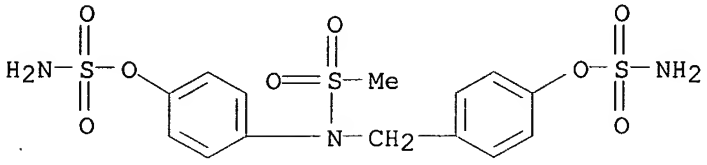


RN 319014-84-1 CAPLUS
CN Sulfamic acid, 4-[[(aminosulfonyl)[4-[(aminosulfonyl)oxy]phenyl]amino]meth

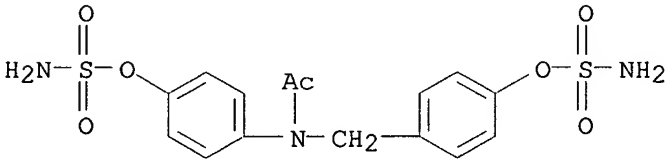
yl]phenyl ester (9CI) (CA INDEX NAME)



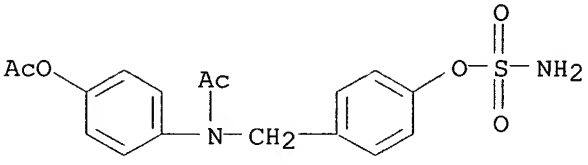
RN 319014-85-2 CAPLUS
CN Sulfamic acid, 4-[[[4-[(aminosulfonyl)oxy]phenyl]methyl](methylsulfonyl)amino]phenyl ester (9CI) (CA INDEX NAME)



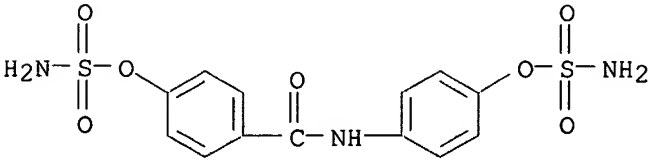
RN 319014-86-3 CAPLUS
CN Sulfamic acid, 4-[acetyl[[4-[(aminosulfonyl)oxy]phenyl]methyl]amino]phenyl ester (9CI) (CA INDEX NAME)



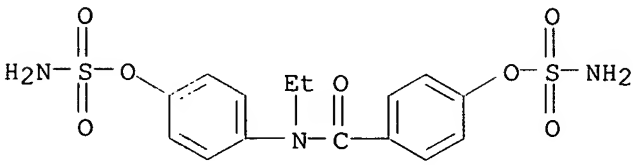
RN 319014-87-4 CAPLUS
CN Sulfamic acid, 4-[[acetyl[4-(acetyloxy)phenyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



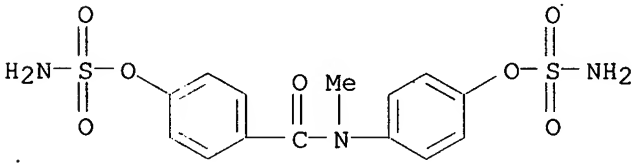
RN 319014-88-5 CAPLUS
CN Sulfamic acid, 4-[[4-[(aminosulfonyl)oxy]benzoyl]amino]phenyl ester (9CI) (CA INDEX NAME)



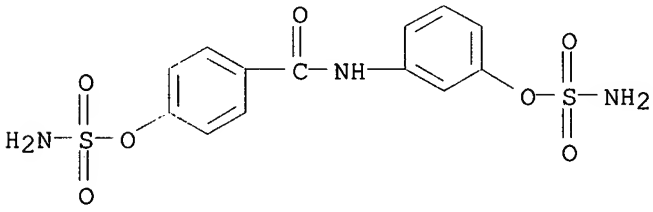
RN 319014-89-6 CAPLUS
CN Sulfamic acid, 4-[[4-[(aminosulfonyl)oxy]benzoyl]ethylamino]phenyl ester (9CI) (CA INDEX NAME)



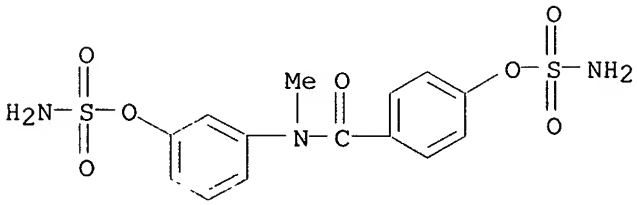
RN 319014-90-9 CAPLUS
CN Sulfamic acid, 4-[[4-[(aminosulfonyl)oxy]benzoyl]methylamino]phenyl ester (9CI) (CA INDEX NAME)



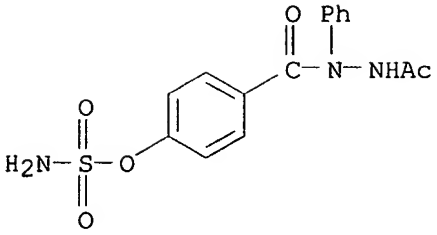
RN 319014-91-0 CAPLUS
CN Sulfamic acid, 3-[[4-[(aminosulfonyl)oxy]benzoyl]amino]phenyl ester (9CI) (CA INDEX NAME)



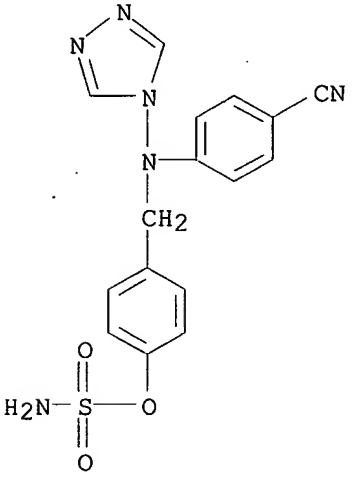
RN 319014-92-1 CAPLUS
CN Sulfamic acid, 3-[[4-[(aminosulfonyl)oxy]benzoyl]methylamino]phenyl ester (9CI) (CA INDEX NAME)



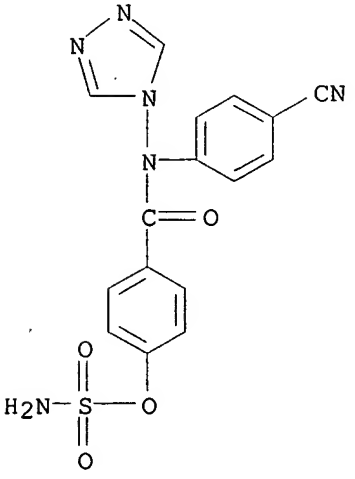
RN 319014-93-2 CAPLUS
CN Benzoic acid, 4-[(aminosulfonyl)oxy]-, 2-acetyl-1-phenylhydrazide (9CI) (CA INDEX NAME)



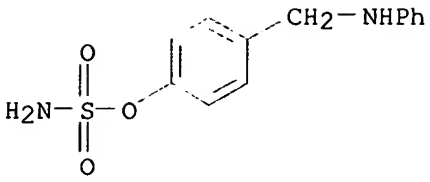
RN 319014-95-4 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)-4H-1,2,4-triazol-4-ylamino]methyl]phenyl ester (9CI) (CA INDEX NAME)



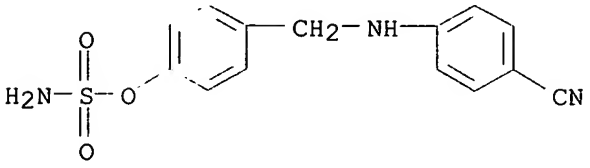
RN 319014-97-6 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)-4H-1,2,4-triazol-4-ylamino]carbonyl]phenyl ester (9CI) (CA INDEX NAME)



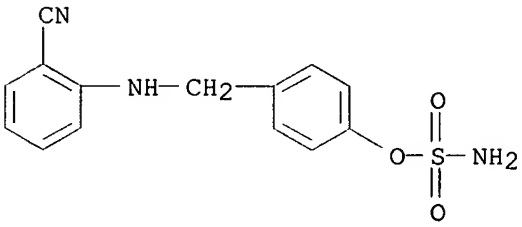
RN 319014-99-8 CAPLUS
CN Sulfamic acid, 4-[(phenylamino)methyl]phenyl ester (9CI) (CA INDEX NAME)



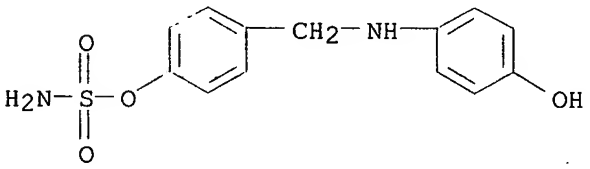
RN 319015-00-4 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



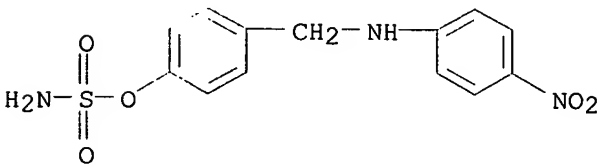
RN 319015-01-5 CAPLUS
CN Sulfamic acid, 4-[[(2-cyanophenyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



RN 319015-02-6 CAPLUS
CN Sulfamic acid, 4-[[(4-hydroxyphenyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

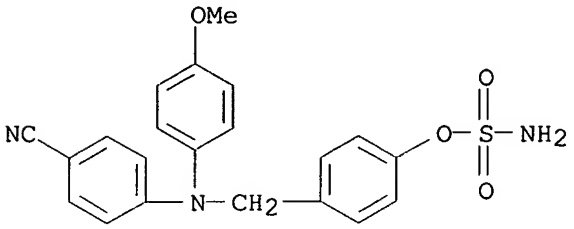


RN 319015-03-7 CAPLUS
CN Sulfamic acid, 4-[[(4-nitrophenyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

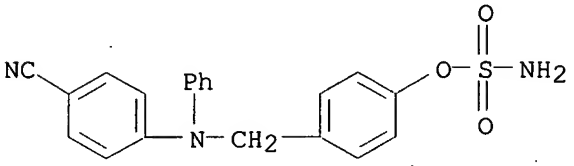


RN 319015-04-8 CAPLUS

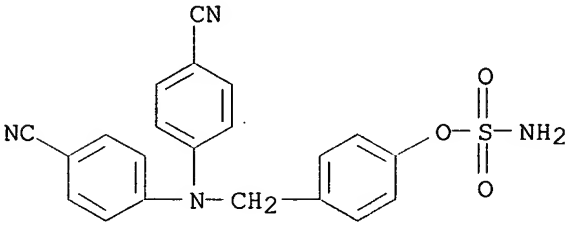
CN Sulfamic acid, 4-[[(4-cyanophenyl)(4-methoxyphenyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



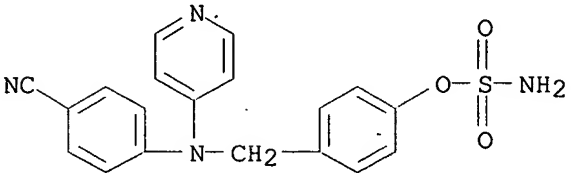
RN 319015-05-9 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)phenylamino]methyl]phenyl ester (9CI) (CA INDEX NAME)



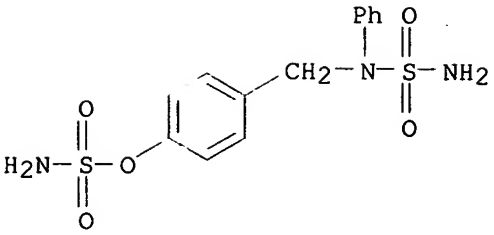
RN 319015-06-0 CAPLUS
CN Sulfamic acid, 4-[[bis(4-cyanophenyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



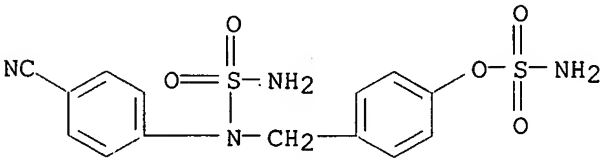
RN 319015-07-1 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)-4-pyridinylamino]methyl]phenyl ester (9CI) (CA INDEX NAME)



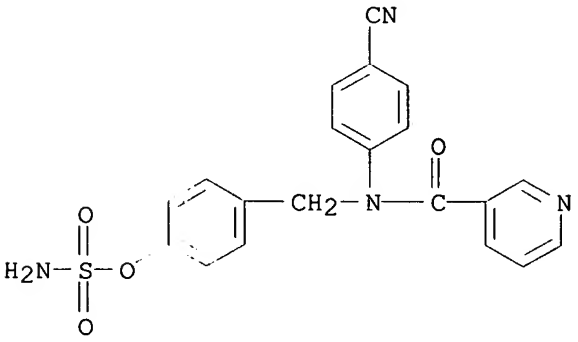
RN 319015-08-2 CAPLUS
CN Sulfamic acid, 4-[[(aminosulfonyl)phenylamino]methyl]phenyl ester (9CI) (CA INDEX NAME)



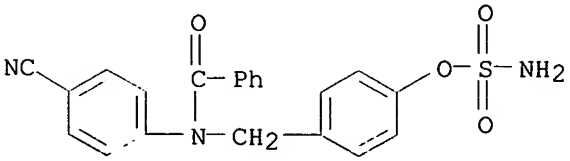
RN 319015-09-3 CAPLUS
CN Sulfamic acid, 4-[[(aminosulfonyl)(4-cyanophenyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



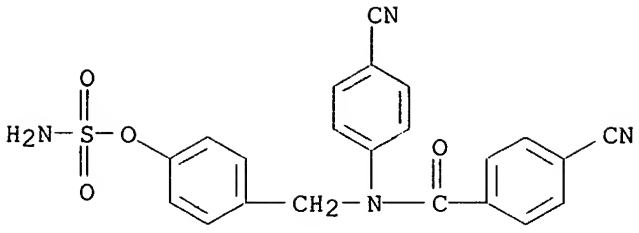
RN 319015-10-6 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)(3-pyridinylcarbonyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



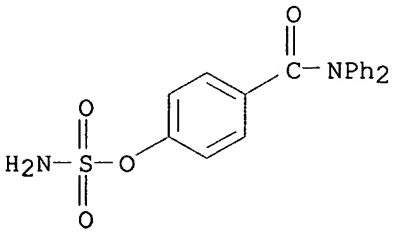
RN 319015-11-7 CAPLUS
CN Sulfamic acid, 4-[[benzoyl(4-cyanophenyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



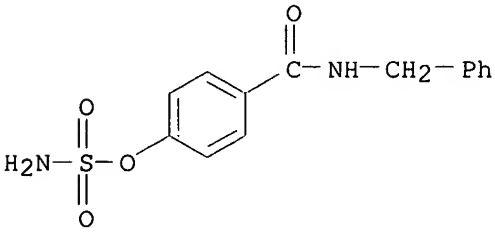
RN 319015-12-8 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanobenzoyl)(4-cyanophenyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



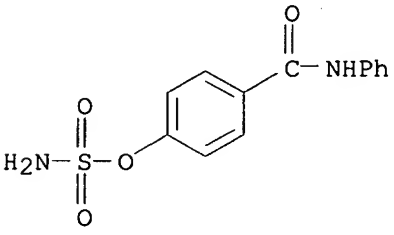
RN 319015-13-9 CAPLUS
CN Sulfamic acid, 4-[(diphenylamino)carbonyl]phenyl ester (9CI) (CA INDEX NAME)



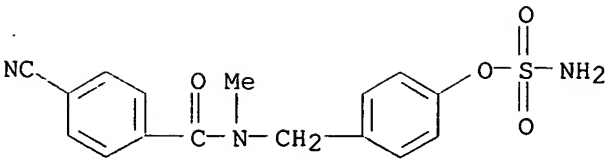
RN 319015-14-0 CAPLUS
CN Sulfamic acid, 4-[[(phenylmethyl)amino]carbonyl]phenyl ester (9CI) (CA INDEX NAME)



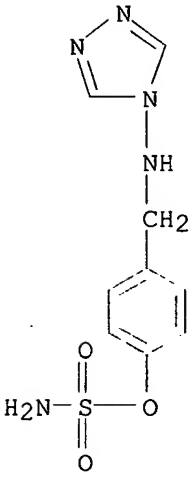
RN 319015-15-1 CAPLUS
CN Sulfamic acid, 4-[(phenylamino)carbonyl]phenyl ester (9CI) (CA INDEX NAME)



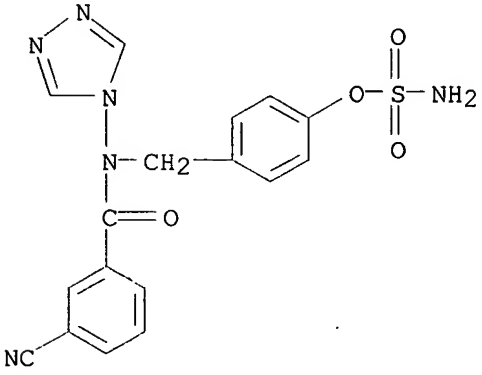
RN 319015-16-2 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanobenzoyl)methylamino]methyl]phenyl ester (9CI) (CA INDEX NAME)



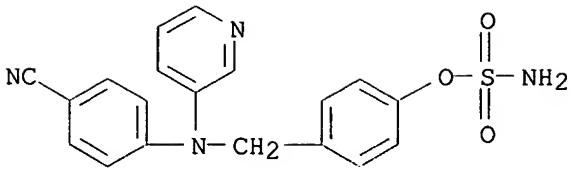
RN 319015-17-3 CAPLUS
CN Sulfamic acid, 4-[(4H-1,2,4-triazol-4-ylamino)methyl]phenyl ester (9CI) (CA INDEX NAME)



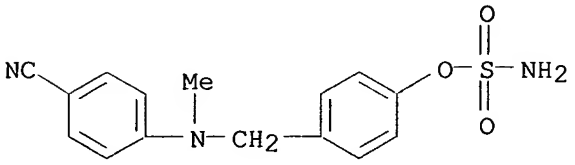
RN 319015-18-4 CAPLUS
CN Sulfamic acid, 4-[[(3-cyanobenzoyl)-4H-1,2,4-triazol-4-ylamino]methyl]phenyl ester (9CI) (CA INDEX NAME)



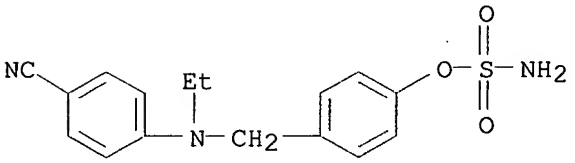
RN 319015-19-5 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)-3-pyridinylamino]methyl]phenyl ester (9CI) (CA INDEX NAME)



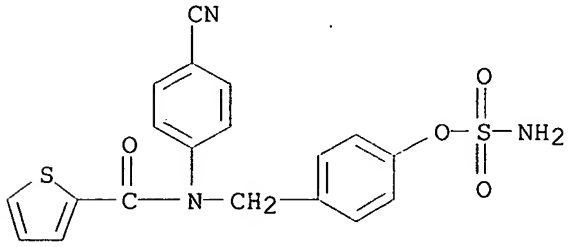
RN 319015-23-1 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)methylamino]methyl]phenyl ester (9CI) (CA INDEX NAME)



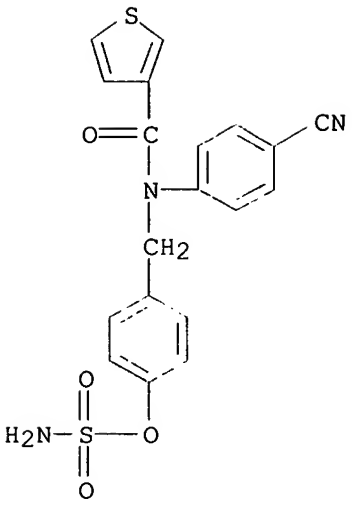
RN 319015-26-4 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)ethylamino]methyl]phenyl ester (9CI) (CA INDEX NAME)



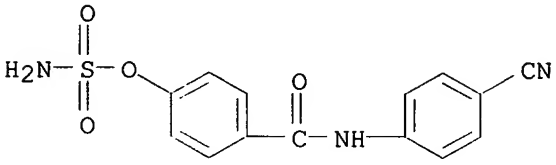
RN 319015-30-0 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)(2-thienylcarbonyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



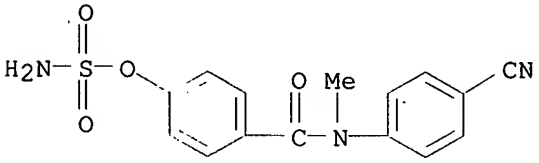
RN 319015-34-4 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)(3-thienylcarbonyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



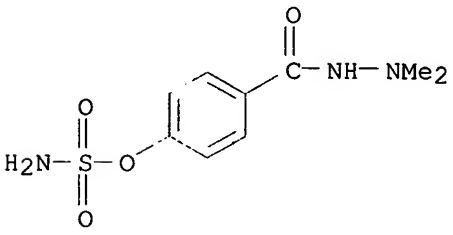
RN 319015-36-6 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)amino]carbonyl]phenyl ester (9CI) (CA INDEX NAME)



RN 319015-38-8 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)methylamino]carbonyl]phenyl ester (9CI) (CA INDEX NAME)

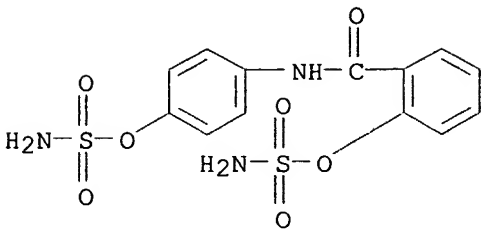


RN 319015-39-9 CAPLUS
CN Benzoic acid, 4-[(aminosulfonyl)oxy]-, 2,2-dimethylhydrazide (9CI) (CA INDEX NAME)

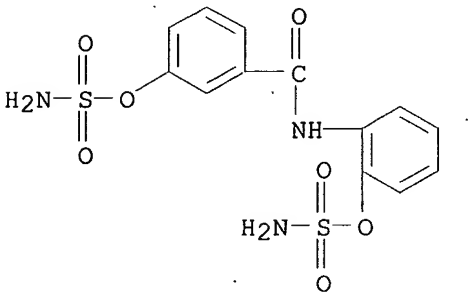


RN 319015-40-2 CAPLUS

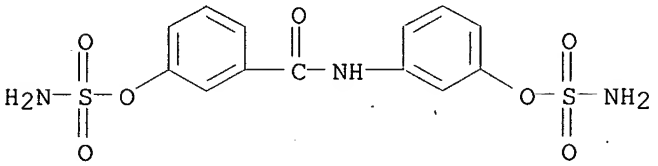
CN Sulfamic acid, 4-[[2-[(aminosulfonyl)oxy]benzoyl]amino]phenyl ester (9CI) (CA INDEX NAME)



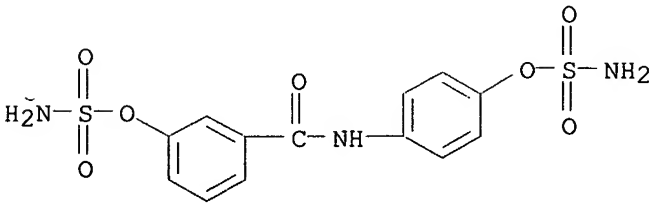
RN 319015-42-4 CAPLUS
CN Sulfamic acid, 2-[[3-[(aminosulfonyl)oxy]benzoyl]amino]phenyl ester (9CI) (CA INDEX NAME)



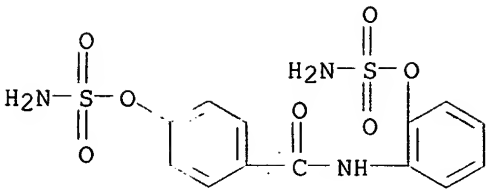
RN 319015-43-5 CAPLUS
CN Sulfamic acid, 3-[[3-[(aminosulfonyl)oxy]benzoyl]amino]phenyl ester (9CI) (CA INDEX NAME)



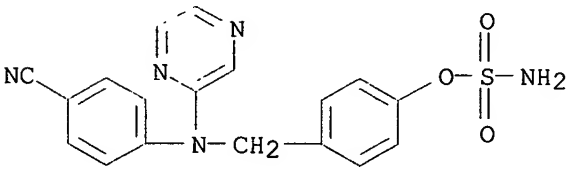
RN 319015-45-7 CAPLUS
CN Sulfamic acid, 4-[[3-[(aminosulfonyl)oxy]benzoyl]amino]phenyl ester (9CI) (CA INDEX NAME)



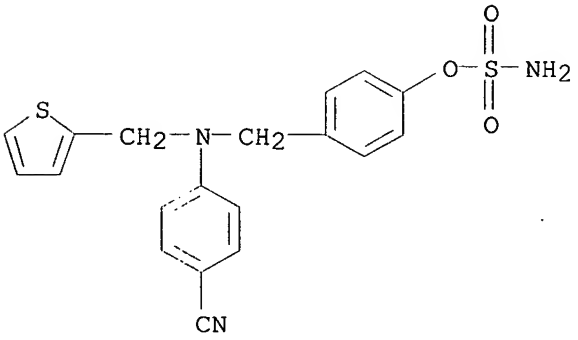
RN 319015-46-8 CAPLUS
CN Sulfamic acid, 2-[[4-[(aminosulfonyl)oxy]benzoyl]amino]phenyl ester (9CI) (CA INDEX NAME)



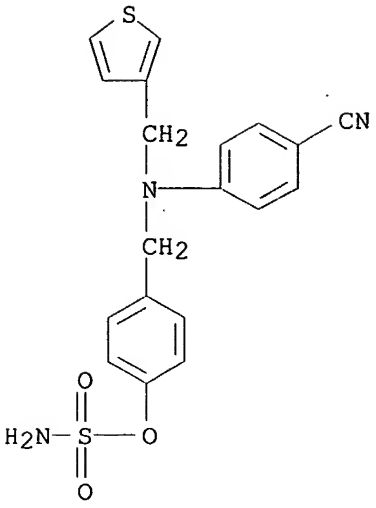
RN 319015-48-0 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)pyrazinylamino]methyl]phenyl ester (9CI) (CA INDEX NAME)



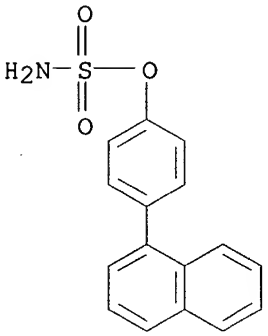
RN 319015-50-4 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)(2-thienylmethyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



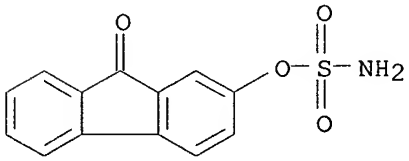
RN 319015-52-6 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)(3-thienylmethyl)amino]methyl]phenyl ester (9CI) (CA INDEX NAME)



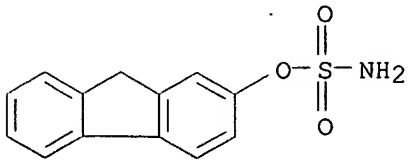
RN 319015-53-7 CAPLUS
CN Sulfamic acid, 4-(1-naphthalenyl)phenyl ester (9CI) (CA INDEX NAME)



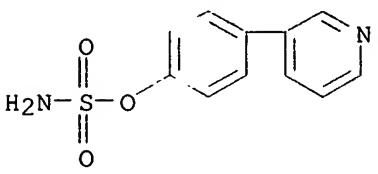
RN 319015-54-8 CAPLUS
CN Sulfamic acid, 9-oxo-9H-fluoren-2-yl ester (9CI) (CA INDEX NAME)



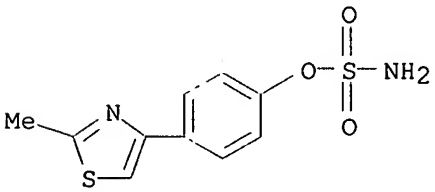
RN 319015-55-9 CAPLUS
CN Sulfamic acid, 9H-fluoren-2-yl ester (9CI) (CA INDEX NAME)



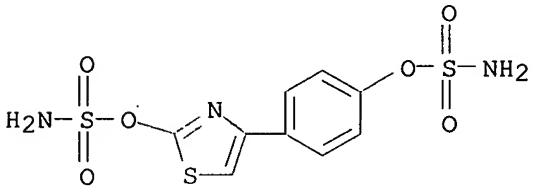
RN 319015-56-0 CAPLUS
CN Sulfamic acid, 4-(3-pyridinyl)phenyl ester (9CI) (CA INDEX NAME)



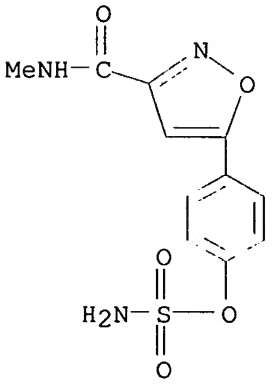
RN 319015-57-1 CAPLUS
CN Sulfamic acid, 4-(2-methyl-4-thiazolyl)phenyl ester (9CI) (CA INDEX NAME)



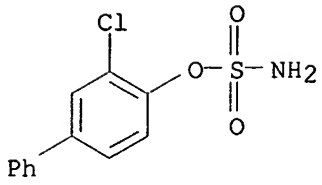
RN 319015-58-2 CAPLUS
CN Sulfamic acid, 4-[4-[(aminosulfonyl)oxy]phenyl]-2-thiazolyl ester (9CI) (CA INDEX NAME)



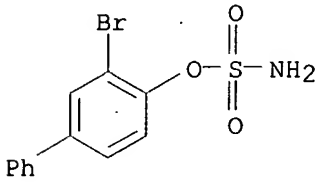
RN 319015-60-6 CAPLUS
CN Sulfamic acid, 4-[3-[(methylamino)carbonyl]-5-isoxazolyl]phenyl ester (9CI) (CA INDEX NAME)



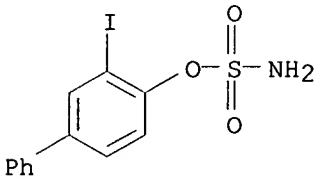
RN 319015-61-7 CAPLUS
CN Sulfamic acid, 3-chloro[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



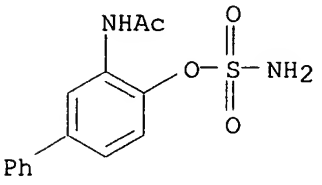
RN 319015-62-8 CAPLUS
CN Sulfamic acid, 3-bromo[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



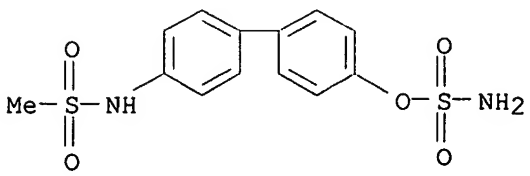
RN 319015-63-9 CAPLUS
CN Sulfamic acid, 3-iodo[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



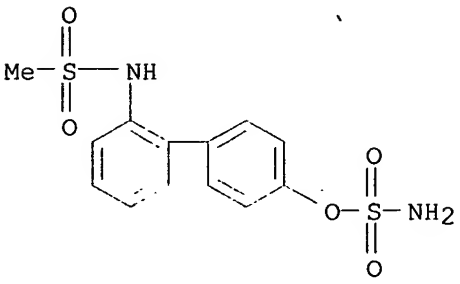
RN 319015-64-0 CAPLUS
CN Sulfamic acid, 3-(acetylamino)[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



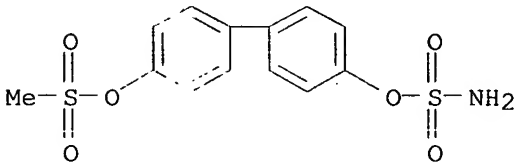
RN 319015-66-2 CAPLUS
CN Sulfamic acid, 4'-[(methylsulfonyl)amino][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



RN 319015-68-4 CAPLUS
CN Sulfamic acid, 2'-[(methylsulfonyl)amino][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)

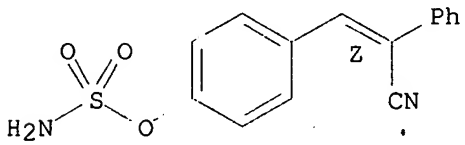


RN 319015-70-8 CAPLUS
CN Sulfamic acid, 4'-[(methylsulfonyl)oxy][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



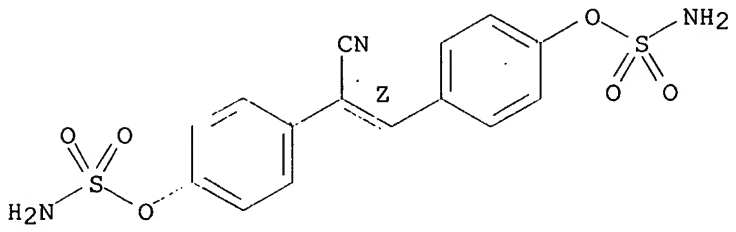
RN 319015-72-0 CAPLUS
CN Sulfamic acid, 4-[(1Z)-2-cyano-2-phenylethenyl]phenyl ester, (.alpha.Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



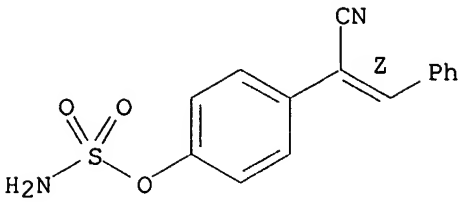
RN 319015-74-2 CAPLUS
CN Sulfamic acid, [(1Z)-1-cyano-1,2-ethendiyl]di-4,1-phenylene ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

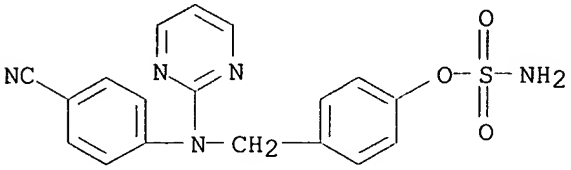


RN 319015-76-4 CAPLUS
CN Sulfamic acid, 4-[(1Z)-1-cyano-2-phenylethenyl]phenyl ester (9CI) (CA INDEX NAME)

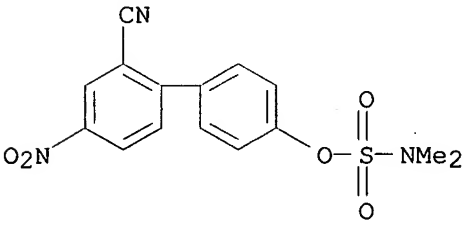
Double bond geometry as shown.



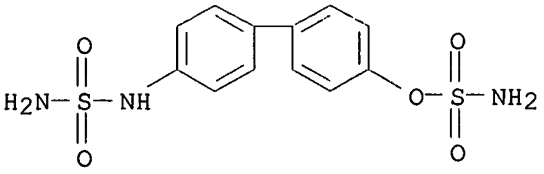
RN 319015-78-6 CAPLUS
CN Sulfamic acid, 4-[[(4-cyanophenyl)-2-pyrimidinylamino]methyl]phenyl ester (9CI) (CA INDEX NAME)



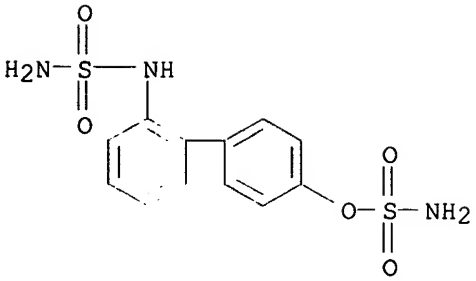
RN 319015-79-7 CAPLUS
CN Sulfamic acid, dimethyl-, 2'-cyano-4'-nitro[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



RN 319015-80-0 CAPLUS
CN Sulfamic acid, 4'-[(aminosulfonyl)amino][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)

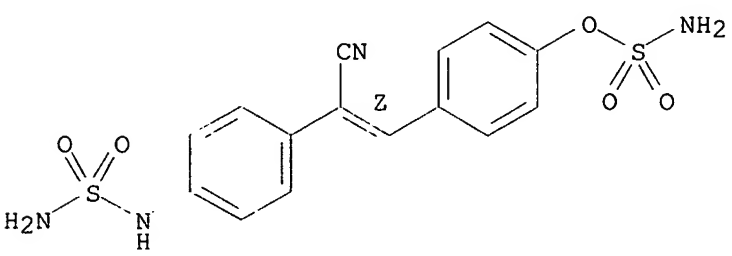


RN 319015-81-1 CAPLUS
CN Sulfamic acid, 2'-[(aminosulfonyl)amino][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



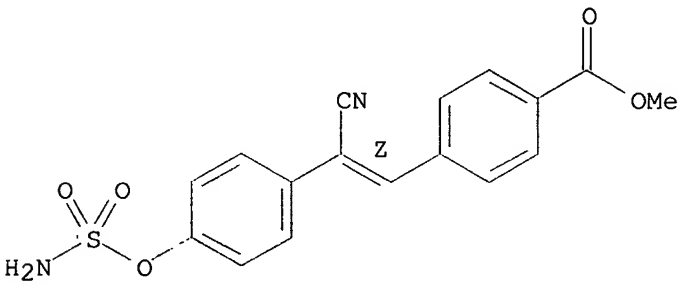
RN 319015-83-3 CAPLUS
CN Sulfamic acid, 4-[(1Z)-2-[4-[(aminosulfonyl)amino]phenyl]-2-cyanoethenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

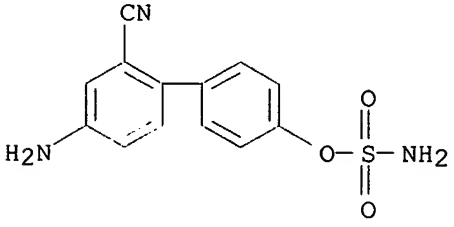


RN 319015-85-5 CAPLUS
CN Benzoic acid, 4-[(1Z)-2-[4-[(aminosulfonyl)oxy]phenyl]-2-cyanoethenyl]-, methyl ester (9CI) (CA INDEX NAME)

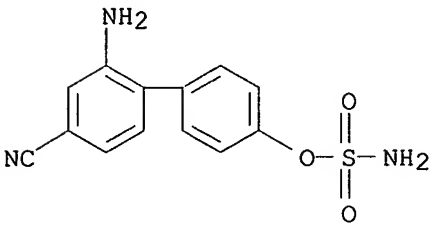
Double bond geometry as shown.



RN 319015-86-6 CAPLUS
CN Sulfamic acid, 4'-amino-2'-cyano[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)

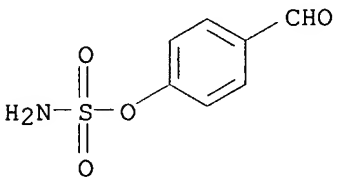


RN 319015-87-7 CAPLUS
CN Sulfamic acid, 2'-amino-4'-cyano[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



IT **9025-62-1, Steroid sulfatase**
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(prepn. of Ph sulfamate derivs. as **steroid sulfatase inhibitors** and drugs)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **319014-98-7P**, 4-Formylphenyl sulfamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of Ph sulfamate derivs. as **steroid sulfatase inhibitors** and drugs)
RN 319014-98-7 CAPLUS
CN Sulfamic acid, 4-formylphenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:875743 CAPLUS
DOCUMENT NUMBER: 134:29611
TITLE: Preparation of O-sulfamoylphenols for pharmaceutical use as **steroid sulfatase inhibitors**
INVENTOR(S): Reed, Michael John; Potter, Barry Victor Lloyd
PATENT ASSIGNEE(S): Sterix Limited, UK
SOURCE: U.S., 56 pp., Cont.-in-part of U.S. 6,011,024.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6159960	A	20001212	US 1998-193969	19981118
EP 921130	A2	19990609	EP 1998-204340	19920828

EP 921130	A3	20010905			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE					
EP 928609	A2	19990714	EP 1998-204337	19920828	
EP 928609	A3	20011107			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE					
JP 2000038341	A2	20000208	JP 1999-211413	19920828	
EP 982032	A2	20000301	EP 1999-203449	19920828	
EP 982032	A3	20020320			
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JP 2000355598	A2	20001226	JP 2000-163411	19920828	
EP 1099706	A2	20010516	EP 2000-204525	19920828	
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US 5830886	A	19981103	US 1995-458352	19950602	
US 6011024	A	20000104	US 1998-111927	19980708	
AU 9910077	A1	19990304	AU 1999-10077	19990111	
AU 717116	B2	20000316			
AU 726811	B2	20001123	AU 2000-10130	20000106	

PRIORITY APPLN. INFO.:

GB 1991-18478	A	19910829
US 1995-458352	A2	19950602
US 1998-111927	A2	19980708
EP 1992-918285	A3	19920828
EP 1998-204340	A3	19920828
JP 1993-505032	A3	19920828
US 1994-196192	A3	19941227
WO 1997-GB444	A2	19970217
WO 1997-GB600	A2	19970304
WO 1997-GB3352	A2	19971204
AU 1998-71952	A3	19980618
AU 1999-10077	A	19990111

OTHER SOURCE(S): MARPAT 134:29611

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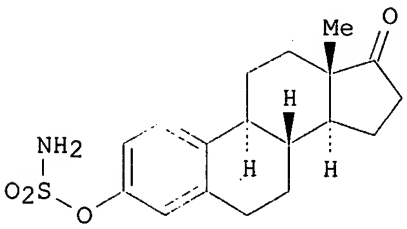

I

AB O-sulfamoylphenols, R1R2N-SO2-OR [R = aryl bonded through a benzene subunit, such as Ph, estra-1,3,5(10)-trien-3-yl, coumarinyl, flavonyl, flavanyl, isoflavonyl; R1, R2 = H, alkyl, alkenyl, cycloalkyl, aryl], were prepd. for use as steroid sulfatase inhibitors for the treatment of diseases, such as breast cancer. Thus, osterone was reacted with sulfamoyl chloride using NaH in DMF to give sulfamate I. The prepd. sulfamates were tested for inhibiting activity against steroid sulfatase enzyme (E.C.3.1.6.2).

IT **136167-05-0P 148672-09-7P 148672-10-0P**
148672-11-1P 175694-72-1P 175694-73-2P
175694-74-3P 185910-34-3P 196815-14-2P
196815-17-5P 196815-21-1P 196815-29-9P
196815-32-4P 196815-35-7P 196815-37-9P
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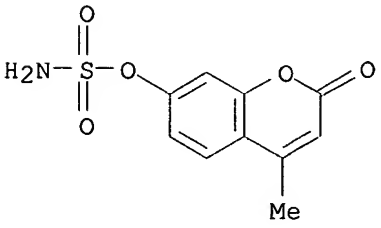
208924-83-8P 208924-84-9P 208924-85-0P
208924-86-1P 208924-87-2P 208924-88-3P
243129-60-4P 243129-61-5P 253601-93-3P
253601-94-4P

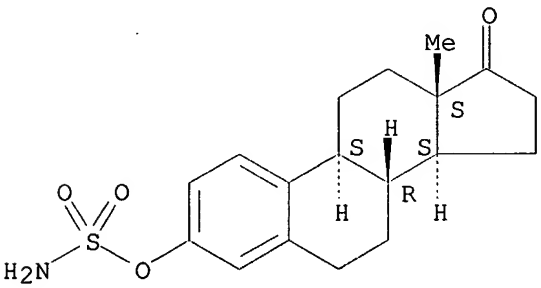
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of O-sulfamoylphenols for pharmaceutical use as **steroid sulfatase inhibitors**)

RN 136167-05-0 CAPLUS
CN Sulfamic acid, 4-methyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



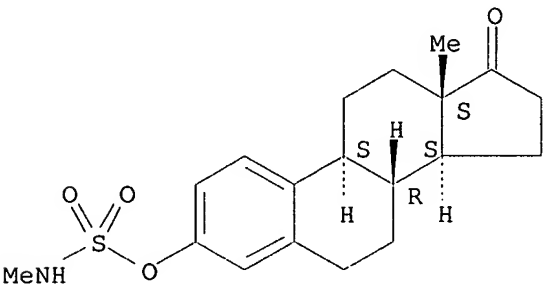
RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



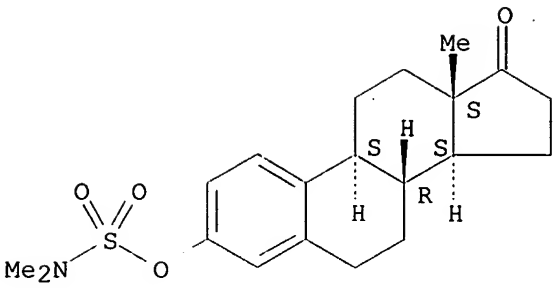
RN 148672-10-0 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[[(methylamino)sulfonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

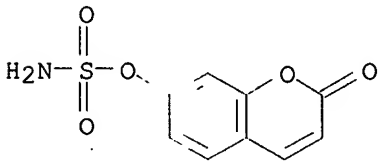


RN 148672-11-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[[(dimethylamino)sulfonyl]oxy]- (9CI) (CA INDEX NAME)

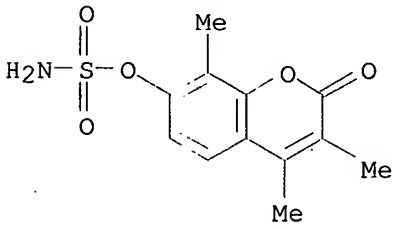
Absolute stereochemistry.



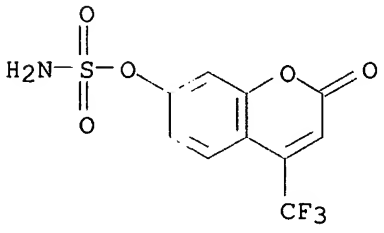
RN 175694-72-1 CAPLUS
CN Sulfamic acid, 2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



RN 175694-73-2 CAPLUS
CN Sulfamic acid, 3,4,8-trimethyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)

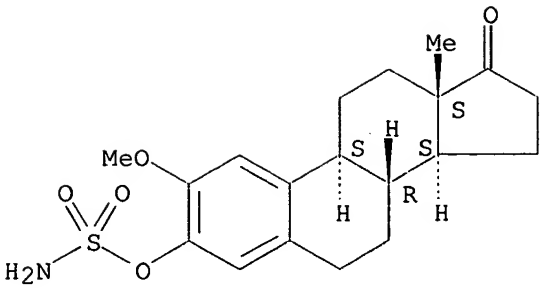


RN 175694-74-3 CAPLUS
CN Sulfamic acid, 2-oxo-4-(trifluoromethyl)-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)

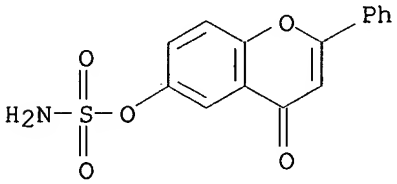


RN 185910-34-3 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-methoxy- (9CI) (CA INDEX NAME)

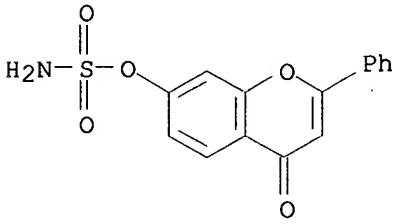
Absolute stereochemistry. Rotation (+).



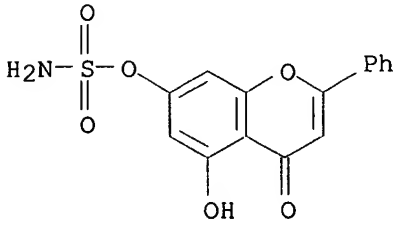
RN 196815-14-2 CAPLUS
CN Sulfamic acid, 4-oxo-2-phenyl-4H-1-benzopyran-6-yl ester (9CI) (CA INDEX NAME)



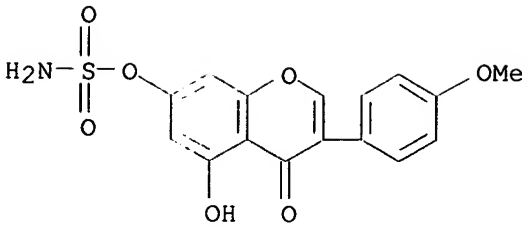
RN 196815-17-5 CAPLUS
CN Sulfamic acid, 4-oxo-2-phenyl-4H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



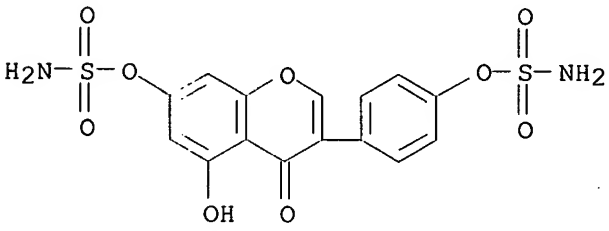
RN 196815-21-1 CAPLUS
CN Sulfamic acid, 5-hydroxy-4-oxo-2-phenyl-4H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



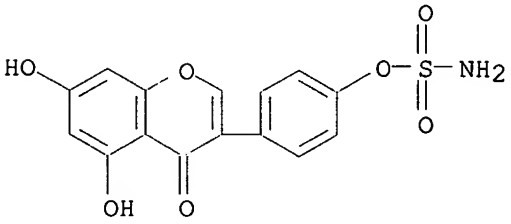
RN 196815-29-9 CAPLUS
CN Sulfamic acid, 5-hydroxy-3-(4-methoxyphenyl)-4-oxo-4H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



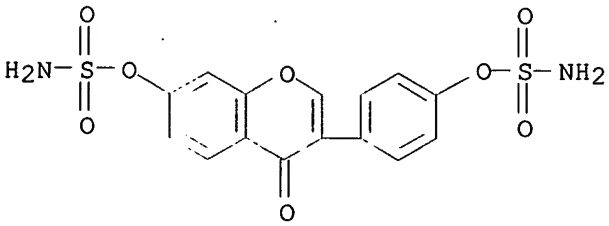
RN 196815-32-4 CAPLUS
CN Sulfamic acid, 4-[7-[(aminosulfonyl)oxy]-5-hydroxy-4-oxo-4H-1-benzopyran-3-yl]phenyl ester (9CI) (CA INDEX NAME)



RN 196815-35-7 CAPLUS
CN Sulfamic acid, 4-(5,7-hydroxy-4-oxo-4H-1-benzopyran-3-yl)phenyl ester (9CI) (CA INDEX NAME)

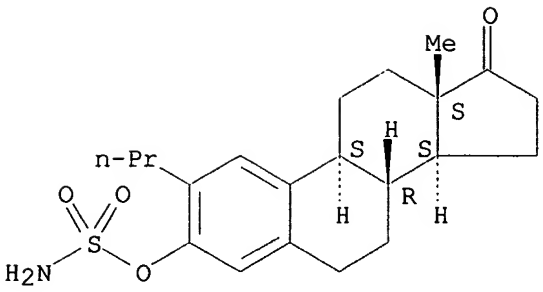


RN 196815-37-9 CAPLUS
CN Sulfamic acid, 4-[7-[(aminosulfonyl)oxy]-4-oxo-4H-1-benzopyran-3-yl]phenyl ester (9CI) (CA INDEX NAME)



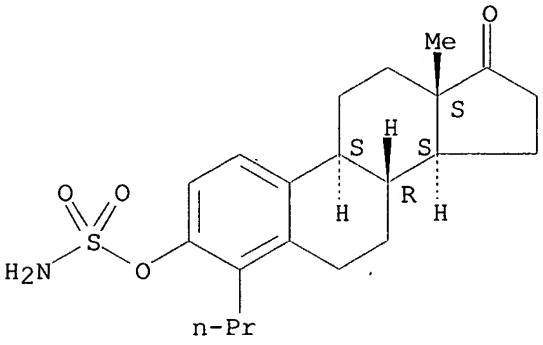
RN 208924-80-5 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



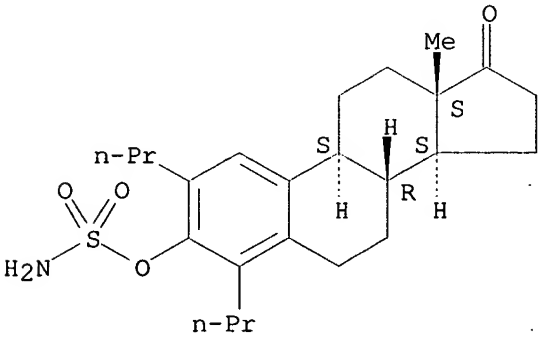
RN 208924-81-6 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-4-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



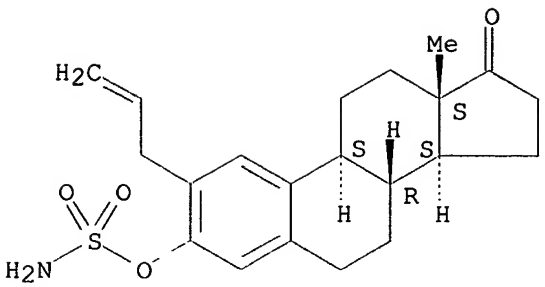
RN 208924-82-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2,4-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



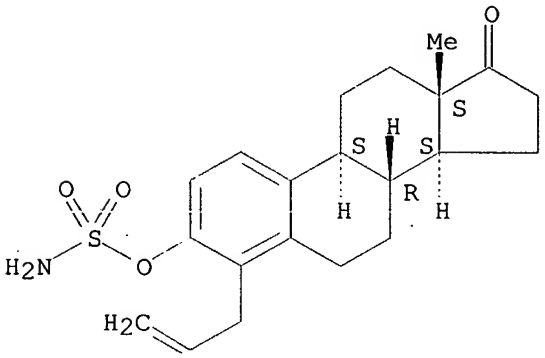
RN 208924-83-8 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



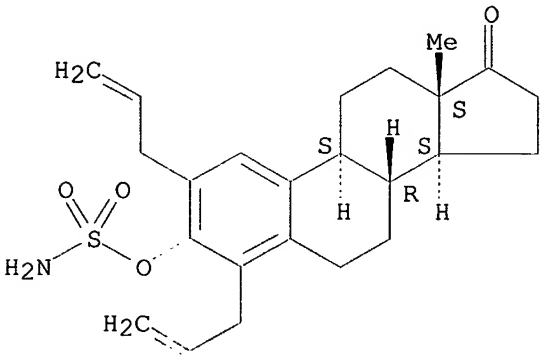
RN 208924-84-9 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-4-(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



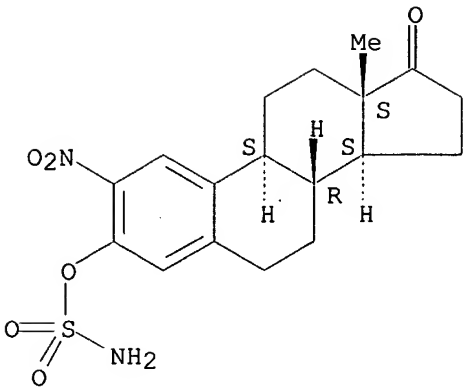
RN 208924-85-0 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2,4-bis(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



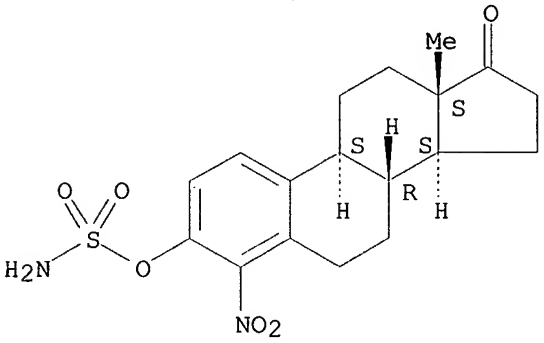
RN 208924-86-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



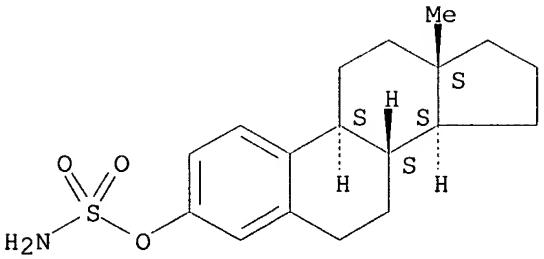
RN 208924-87-2 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

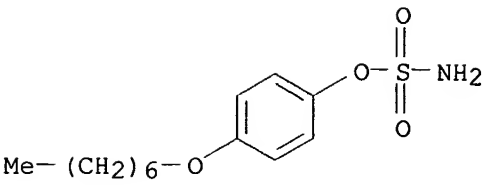


RN 208924-88-3 CAPLUS
CN Estra-1,3,5(10)-trien-3-ol, sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

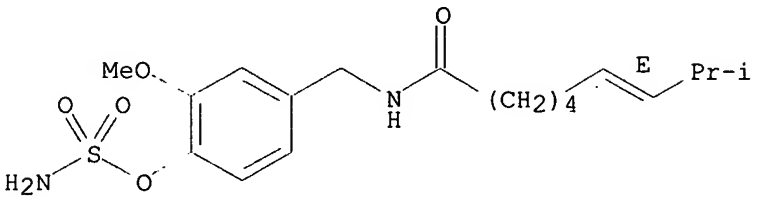


RN 243129-60-4 CAPLUS
CN Sulfamic acid, 4-(heptyloxy)phenyl ester (9CI) (CA INDEX NAME)

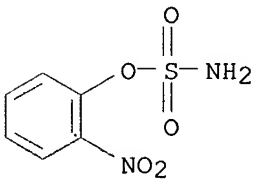


RN 243129-61-5 CAPLUS
CN Sulfamic acid, 2-methoxy-4-[[[(6E)-8-methyl-1-oxo-6-nonenyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

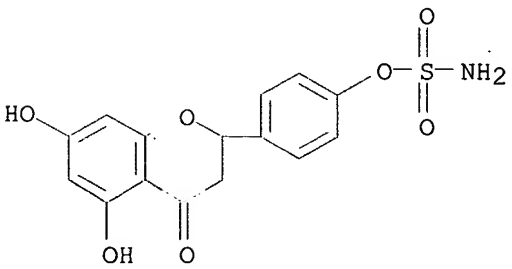
Double bond geometry as shown.



RN 253601-93-3 CAPLUS
CN Sulfamic acid, 2-nitrophenyl ester (9CI) (CA INDEX NAME)



RN 253601-94-4 CAPLUS
CN Sulfamic acid, 4-(3,4-dihydro-5,7-dihydroxy-4-oxo-2H-1-benzopyran-2-yl)phenyl ester (9CI) (CA INDEX NAME)

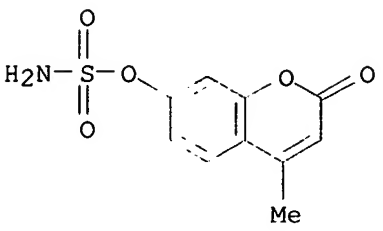


IT **9025-62-1**, E.C.3.1.6.2
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. of O-sulfamoylphenols for pharmaceutical use as **steroid sulfatase inhibitors**)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

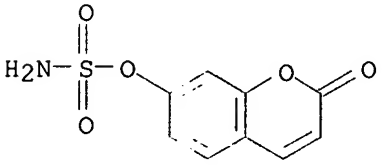
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:801144 CAPLUS
DOCUMENT NUMBER: 134:95125
TITLE: Potent active site-directed **inhibition** of **steroid sulfatase** by tricyclic coumarin-based sulfamates
AUTHOR(S): Woo, L. W. Lawrence; Purohit, Atul; Malini, Bindu; Reed, Michael J.; Potter, Barry V. L.
CORPORATE SOURCE: Department of Pharmacy and Pharmacology and Sterix Ltd., University of Bath, Bath, BA2 7AY, UK
SOURCE: Chemistry & Biology (2000), 7(10), 773-791
CODEN: CBOLE2; ISSN: 1074-5521
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Background: There is now abundant evidence that inhibition of steroid sulfatase alone or in conjunction with inhibition of aromatase may enhance the response of postmenopausal patients with hormone-dependent breast cancer to this type of endocrine therapy. Addnl., sulfatase inhibition has been proposed to be of potential therapeutic benefit in the immune system and for neuro-degenerative diseases. After the finding that the authors first highly potent active site-directed steroid sulfatase inhibitor, estrone-3-O-sulfamate (EMATE), was highly estrogenic, the authors proposed non-steroidal coumarin sulfamates such as 4-methylcoumarin-7-O-sulfamate (COUMATE) as alternative non-steroidal steroid sulfatase inhibitors. In this work, the authors describe how tricyclic coumarin-based sulfamates have been developed which are even more potent than COUMATE, are non-estrogenic and orally active. The authors also discuss potential mechanisms of action. Results: 4-Ethyl-, 4-(n-propyl)-, 3-ethyl-4-methyl-, 4-methyl-3-(n-propyl)coumarin-7-O-sulfamate; the tricyclic derivs. 665COUMATE, 666COUMATE, 667COUMATE, 668COUMATE and the tricyclic oxepin sulfamate were synthesized. In a placental microsome prepn., all of these analogs were more active than COUMATE in the inhibition of estrone sulfatase, with the most potent inhibitor being 667COUMATE which has an IC50 of 8 nM, some 3-fold lower than that for EMATE (25 nM). In addn., 667COUMATE was also found to inhibit DHEA-sulfatase some 25-fold more potently than EMATE in a placental microsome prepn. Like EMATE, 667COUMATE acts in a time- and concn.-dependent manner, suggesting that it is an active site-directed inhibitor. However, in contrast to EMATE, 667COUMATE has the important advantage of not being estrogenic. In addn., the authors propose several diverse mechanisms of action for this active site-directed steroid sulfatase inhibitor in the light of recent publications on the crystal structures of human arylsulfatases A and B and the catalytic site topol. for the hydrolysis of a sulfate ester. Conclusions: A highly potent non-steroidal, non-estrogenic and irreversible steroid sulfatase inhibitor has been developed. Several mechanisms of action for an active site-directed steroid sulfatase inhibitor are proposed. With 667COUMATE now in pre-clin. development for clin. trial, this should allow the biol. and/or clin. significance of steroid sulfatase inhibitors in the treatment of postmenopausal women with hormone-dependent breast cancer and other therapeutic indications to be fully evaluated.
IT **136167-05-0 175694-72-1 203389-00-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(potent active site-directed **inhibition** of **steroid sulfatase** by tricyclic coumarin-based sulfamates in relation to structure and breast cancer treatment and estrogenic activity)
RN 136167-05-0 CAPLUS

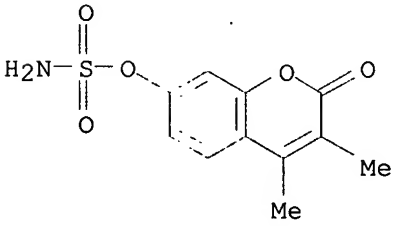
CN Sulfamic acid, 4-methyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



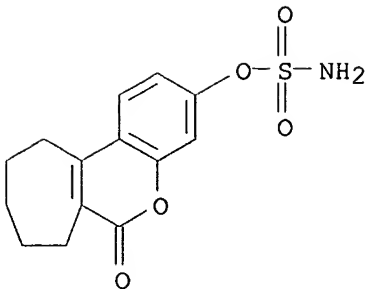
RN 175694-72-1 CAPLUS
CN Sulfamic acid, 2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



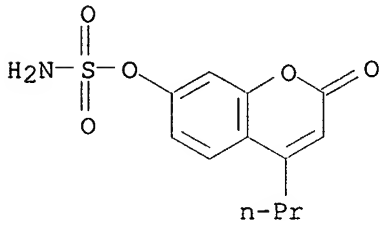
RN 203389-00-8 CAPLUS
CN Sulfamic acid, 3,4-dimethyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



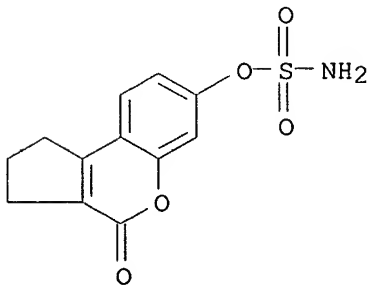
IT **288628-05-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(potent active site-directed **inhibition** of **steroid sulfatase** by tricyclic coumarin-based sulfamates in relation to structure and breast cancer treatment and estrogenic activity)
RN 288628-05-7 CAPLUS
CN Sulfamic acid, 6,7,8,9,10,11-hexahydro-6-oxobenzo[b]cyclohepta[d]pyran-3-yl ester (9CI) (CA INDEX NAME)



IT **319929-08-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(potent active site-directed **inhibition** of **steroid sulfatase** by tricyclic coumarin-based sulfamates in relation to structure and breast cancer treatment and estrogenic activity)
RN 319929-08-3 CAPLUS
CN Sulfamic acid, 2-oxo-4-propyl-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)

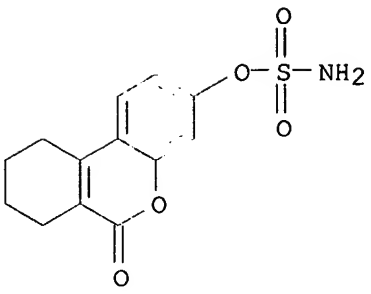


IT **288628-03-5P 288628-04-6P 288628-06-8P 288628-07-9P 319929-07-2P 319929-09-4P 319929-10-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(potent active site-directed **inhibition** of **steroid sulfatase** by tricyclic coumarin-based sulfamates in relation to structure and breast cancer treatment and estrogenic activity)
RN 288628-03-5 CAPLUS
CN Sulfamic acid, 1,2,3,4-tetrahydro-4-oxocyclopenta[c][1]benzopyran-7-yl ester (9CI) (CA INDEX NAME)

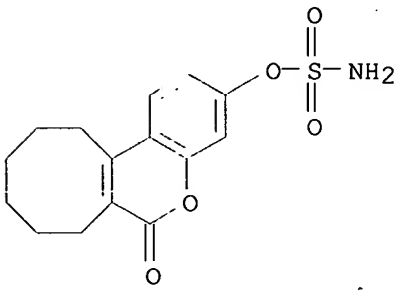


RN 288628-04-6 CAPLUS
CN Sulfamic acid, 7,8,9,10-tetrahydro-6-oxo-6H-dibenzo[b,d]pyran-3-yl ester

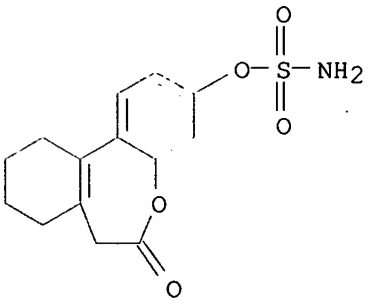
(9CI) (CA INDEX NAME)



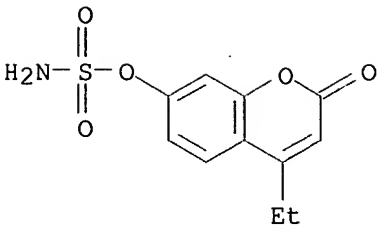
RN 288628-06-8 CAPLUS
CN Sulfamic acid, 7,8,9,10,11,12-hexahydro-6-oxo-6H-benzo[b]cycloocta[d]pyran-3-yl ester (9CI) (CA INDEX NAME)



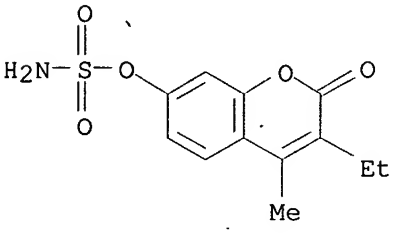
RN 288628-07-9 CAPLUS
CN Sulfamic acid, 6,7,8,9,10,11-hexahydro-6-oxodibenz[b,d]oxepin-3-yl ester (9CI) (CA INDEX NAME)



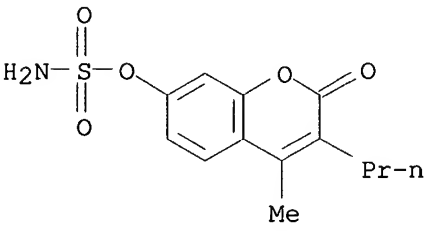
RN 319929-07-2 CAPLUS
CN Sulfamic acid, 4-ethyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



RN 319929-09-4 CAPLUS
CN Sulfamic acid, 3-ethyl-4-methyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



RN 319929-10-7 CAPLUS
CN Sulfamic acid, 4-methyl-2-oxo-3-propyl-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



IT **9025-62-1**, Oestrone sulphatase
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(potent active site-directed **inhibition** of **steroid sulfatase** by tricyclic coumarin-based sulfamates in relation to structure and breast cancer treatment and estrogenic activity)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:791407 CAPLUS
DOCUMENT NUMBER: 134:13162
TITLE: Stimulation of MCF-7 breast cancer cell proliferation by estrone sulfate and dehydroepiandrosterone sulfate: **inhibition** by novel non-steroidal **steroid sulfatase inhibitors**
AUTHOR(S): Billich, Andreas; Nussbaumer, Peter; Lehr, Philipp
CORPORATE SOURCE: Novartis Research Institute Vienna, Vienna, A-1235,

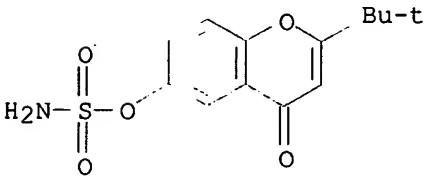
Austria
SOURCE: Journal of Steroid Biochemistry and Molecular Biology (2000), 73(5), 225-235
CODEN: JSBBEZ; ISSN: 0960-0760
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Steroid sulfatase (STS) regulates the formation of active steroids from systemic precursors, such as estrone sulfate and dehydroepiandrosterone sulfate (DHEAS). In breast tissues, this pathway is a source for local prodn. of estrogens, which support the growth of endocrine-dependent tumors. Therefore, inhibitors of STS could have therapeutic potential. In this study, the authors report on substituted chromenone sulfamates as a novel class of non-steroidal irreversible inhibitors of STS. The compds. are substantially more potent (6- to 80-fold) than previously described types of non-steroidal inhibitors when tested against purified STS. In MCF-7 breast cancer cells, they inhibit STS activity with IC50 below 100 pM. Importantly, the compds. also potently block estrone sulfate-stimulated growth of MCF-7 cells, again with IC50 below 100 pM. For one compd., the authors also obsd. a lack of any estrogenic effect at high concns. (1 .mu.M). The authors also demonstrate for the first time that STS inhibitors can block the DHEAS-stimulated growth of MCF-7 cells. Interestingly, this cannot be achieved with specific inhibitors of the aromatase, suggesting that stimulation of MCF-7 cell growth by DHEAS follows an aromatase-independent pathway. This gives further justification to consider steroid sulfatase inhibitors as potential drugs in the therapy of breast cancer.

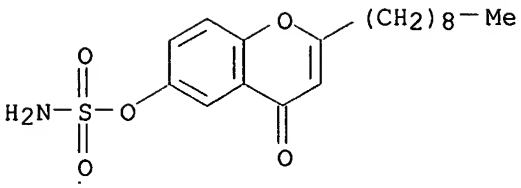
IT **9025-62-1, Steroid sulfatase**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(**inhibitors**; non-steroidal **steroid sulfatase inhibitors** effect on MCF-7 breast cancer cell proliferation stimulated by estrone sulfate and dehydroepiandrosterone sulfate)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

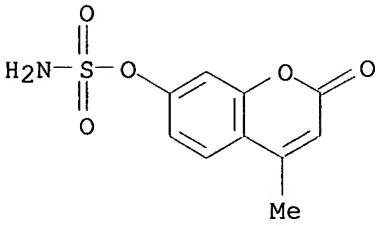
IT **247069-99-4P 247070-10-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(non-steroidal **steroid sulfatase inhibitors** effect on MCF-7 breast cancer cell proliferation stimulated by estrone sulfate and dehydroepiandrosterone sulfate)
RN 247069-99-4 CAPLUS
CN Sulfamic acid, 2-(1,1-dimethylethyl)-4-oxo-4H-1-benzopyran-6-yl ester (9CI) (CA INDEX NAME)



RN 247070-10-6 CAPLUS
CN Sulfamic acid, 2-nonyl-4-oxo-4H-1-benzopyran-6-yl ester (9CI) (CA INDEX NAME)

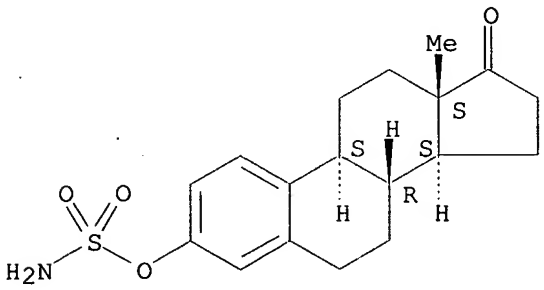


IT **136167-05-0 148672-09-7**, Estrone-3-O-sulfamate
186303-55-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(non-steroidal **steroid sulfatase inhibitors**
effect on MCF-7 breast cancer cell proliferation stimulated by estrone sulfate and dehydroepiandrosterone sulfate)
RN 136167-05-0 CAPLUS
CN Sulfamic acid, 4-methyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)

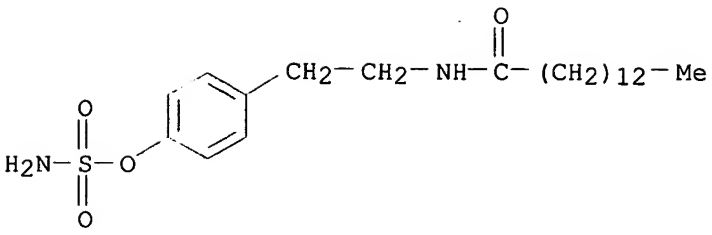


RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 186303-55-9 CAPLUS
CN Sulfamic acid, 4-[2-[(1-oxotetradecyl)amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



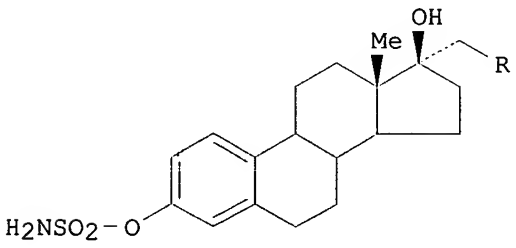
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:646026 CAPLUS
DOCUMENT NUMBER: 133:222880
TITLE: Preparation of novel estradiol derivatives as **steroid sulfatase inhibitors**
INVENTOR(S): Jinbo, Yoshikazu; Inoue, Yoshimasa
PATENT ASSIGNEE(S): Nippon Organon K. K., Japan
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053620	A1	20000914	WO 2000-JP1410	20000308

W: JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: JP 1999-62921 A 19990310
OTHER SOURCE(S): MARPAT 133:222880
GI



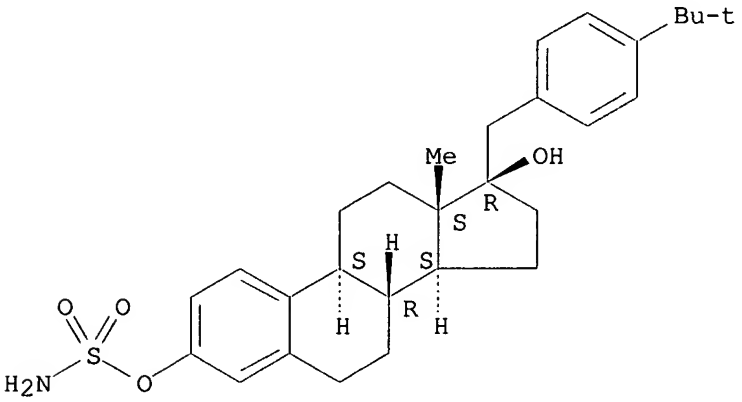
I

AB Estradiol derivs. represented by general formula (I; wherein R is optionally substituted phenyl) are prepd. These derivs. I act as steroid sulfatase inhibitors which suppress the prodn. of estrogens and thus are efficacious against estrone-dependent diseases such as mammary cancer, **endometrial** cancer, **endometriosis**, uterus myoma and so on. Thus, 6.00 g 17.alpha.-(4-tert-butylbenzyl)-17.beta.-hydroxyestra-1,3,5(10)-trien-3-ol was stirred with 636 mg 60% NaH in DMF at room temp. for 1 h, followed by adding 3.32 g sulfamoyl chloride with stirring under ice-cooling, and the resulting mixt. was stirred for 2 h 10 min under ice-cooling to give 1.97 g I (4-tert-butylphenyl) (II). II and I (4-isopropylphenyl) showed IC50 of 0.04.+-.0.01 and 0.03.+-.0.01 .mu.g/mL, resp., against steroid sulfatase.

IT **234779-16-9P 291307-86-3P 291307-87-4P**
291307-90-9P 291307-93-2P 291307-95-4P
291307-98-7P 291308-01-5P 291308-04-8P
291308-08-2P 291308-11-7P 291308-14-0P
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel estradiol derivs. as **steroid sulfatase inhibitors** for therapeutics)

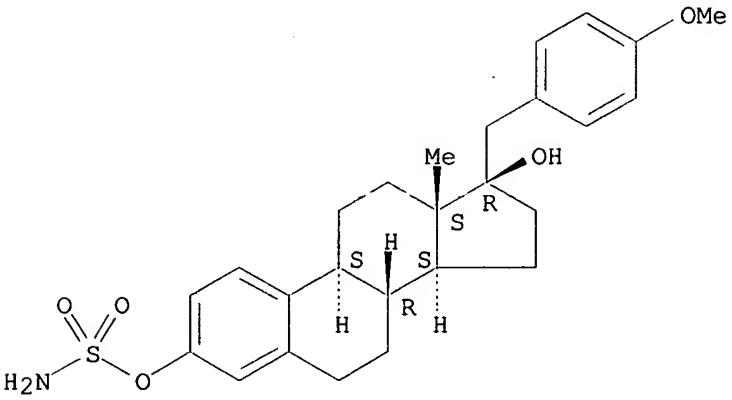
RN 234779-16-9 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[[4-(1,1-dimethylethyl)phenyl]methyl]-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



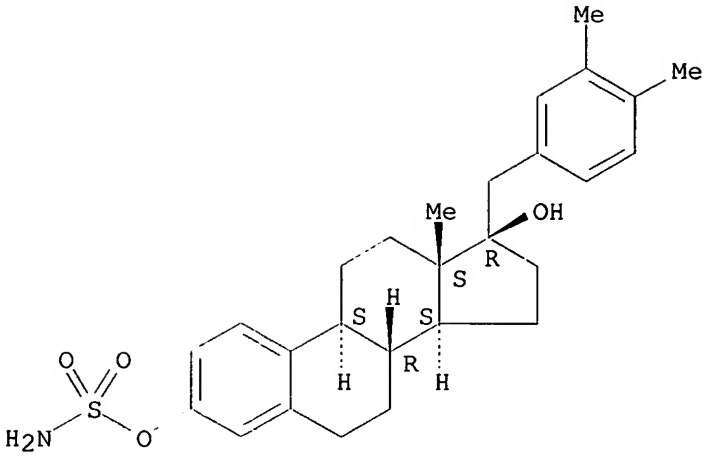
RN 291307-86-3 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[(4-methoxyphenyl)methyl]-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



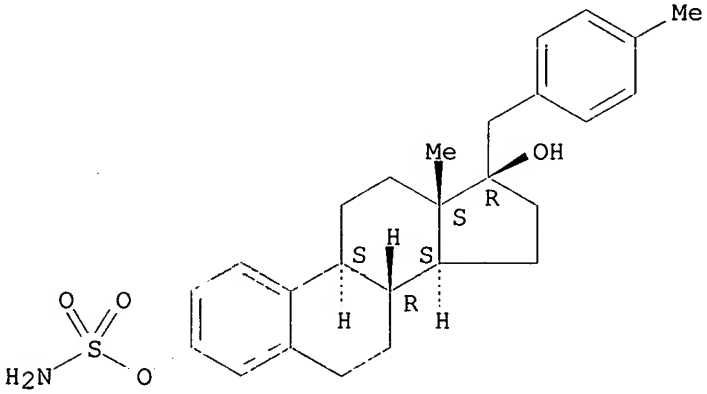
RN 291307-87-4 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[(3,4-dimethylphenyl)methyl]-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



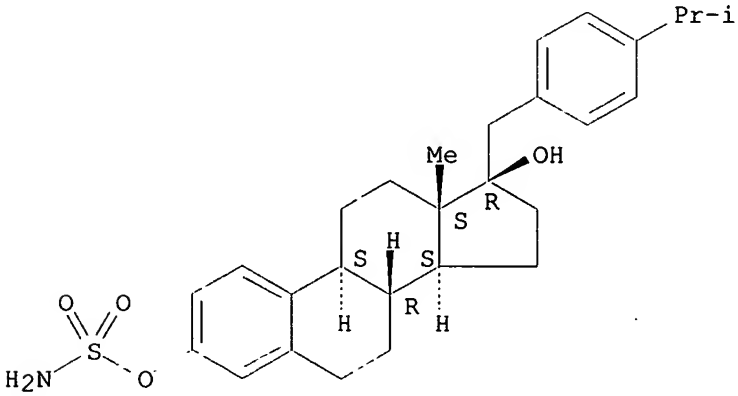
RN 291307-90-9 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[(4-methylphenyl)methyl]-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



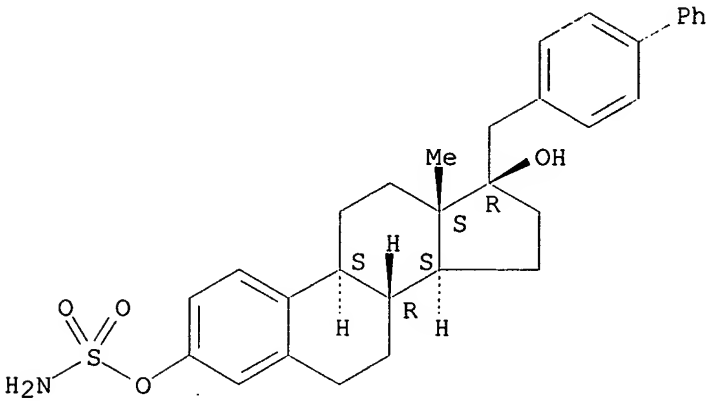
RN 291307-93-2 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[[4-(1-methylethyl)phenyl]methyl]-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



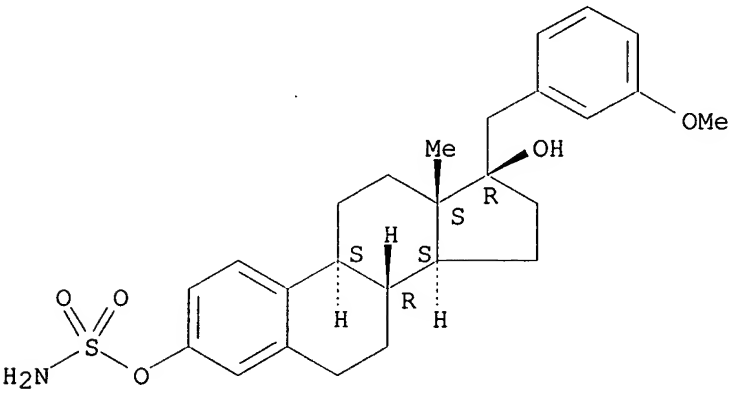
RN 291307-95-4 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-([1,1'-biphenyl]-4-ylmethyl)-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



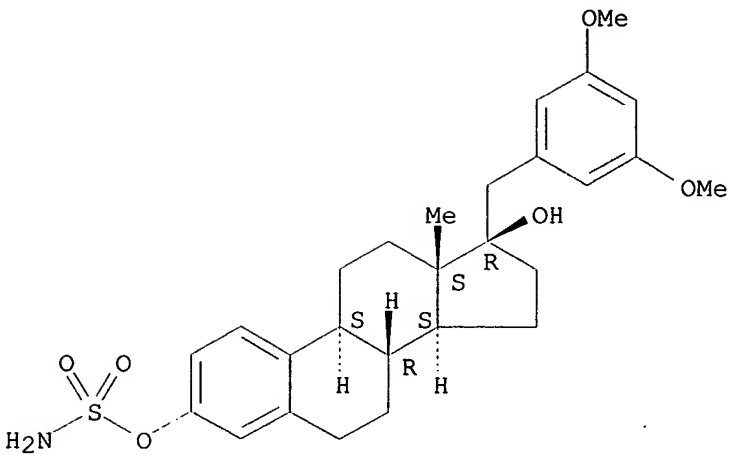
RN 291307-98-7 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[(3-methoxyphenyl)methyl]-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



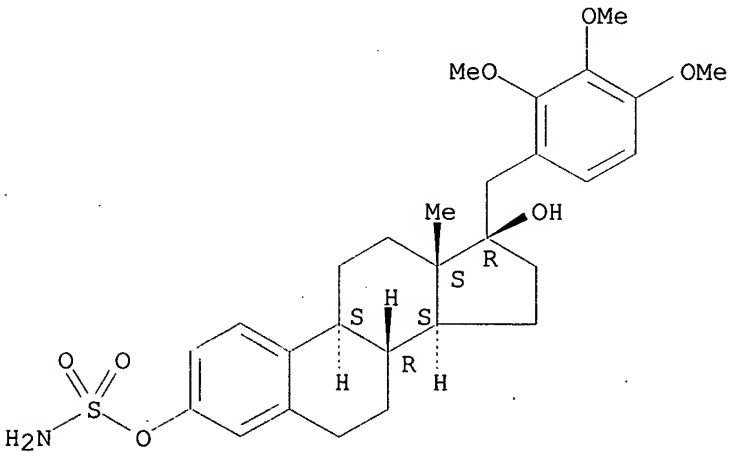
RN 291308-01-5 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[(3,5-dimethoxyphenyl)methyl]-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



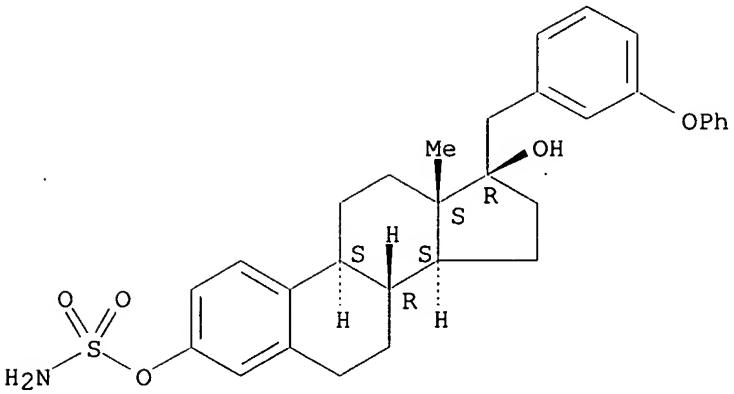
RN 291308-04-8 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[(2,3,4-trimethoxyphenyl)methyl]-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



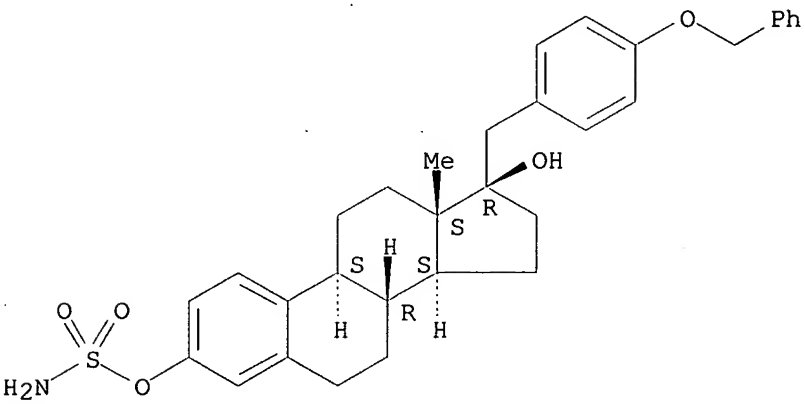
RN 291308-08-2 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[(3-phenoxyphenyl)methyl]-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



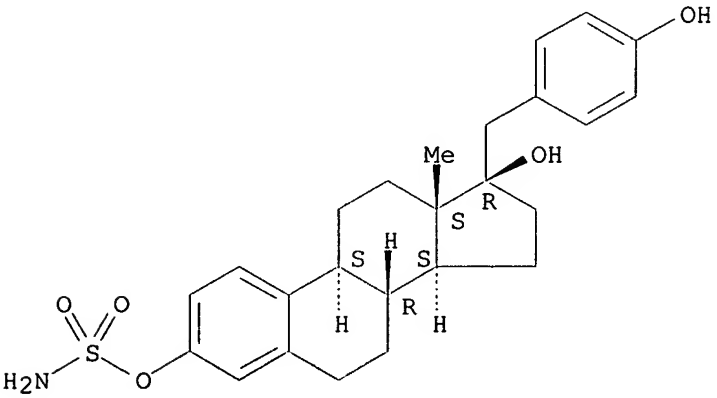
RN 291308-11-7 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[[4-(phenylmethoxy)phenyl]methyl]-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 291308-14-0 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 17-[(4-hydroxyphenyl)methyl]-, 3-sulfamate, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9025-62-1, Steroid sulfatase**
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC

(Miscellaneous); BIOL (Biological study); PROC (Process)
(prepn. of novel estradiol derivs. as **steroid sulfatase inhibitors** for therapeutics)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

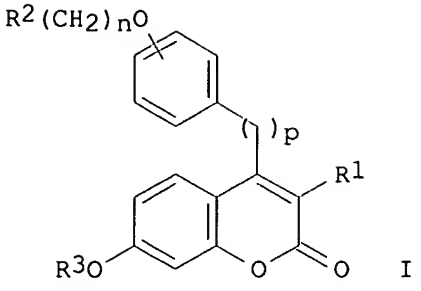
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 22 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:457061 CAPLUS
DOCUMENT NUMBER: 133:73934
TITLE: Preparation of arylcoumarins which modulate gene expression through the estrogen receptor
INVENTOR(S): Stein, Bernd M.; Anderson, David W.; Gayo, Leah M.; Sutherland, May S.; Doubleday, Mary; Shevlin, Graziella I.; Kois, Adam; Khammungkhune, Sak; Jalluri, Ravi Kumar; Bhagwat, Shripad S.; McKie, Jeffrey A.
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039120	A2	20000706	WO 1999-US31290	19991230
WO 2000039120	A3	20001026		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140889	A2	20011010	EP 1999-968578	19991230
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6291456	B1	20010918	US 2000-492939	20000127
US 6331562	B1	20011218	US 2000-611156	20000706
US 6372739	B1	20020416	US 2001-897048	20010702

PRIORITY APPLN. INFO.:
US 1998-114472P P 19981230
US 1999-475776 B2 19991230
WO 1999-US31290 W 19991230
US 2000-492939 A2 20000127

OTHER SOURCE(S): MARPAT 133:73934
GI



I

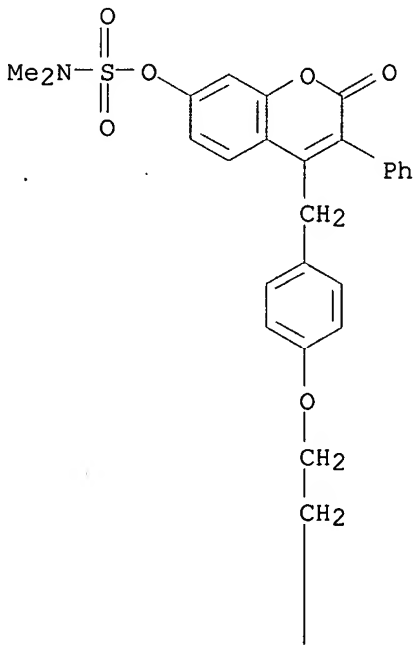
AB Title compds. [I; n = 0-4; p = 0-2; R1 = (substituted) aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R2 = NRaRb, (substituted) heterocyclyl; Ra, Rb = H, (substituted) alkyl, aryl, heterocyclyl; R3 = H, R4, COR4, CO2R4, CONHR4, etc.; R4 = (substituted) alkyl, aryl, aralkyl, heterocyclyl], were prepd. Thus, 3-phenyl-4-(4-hydroxybenzyl)-7-methoxycoumarin (prepn. given) was refluxed with N-(2-chloroethyl)piperidine and K2CO3 in acetone to give 3-phenyl-4-[4-[2-(piperidin-1-yl)]ethoxy]benzyl-7-methoxycoumarin. This was refluxed with HBr in HOAc to give 3-phenyl-4-(4-hydroxybenzyl)-7-hydroxycoumarin, which bound to ER-.alpha. receptors with Ki = 1.4 nM.

IT **280137-99-7P 280138-12-7P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylcoumarins which modulate gene expression through the estrogen receptor)

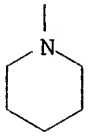
RN 280137-99-7 CAPLUS

CN Sulfamic acid, dimethyl-, 2-oxo-3-phenyl-4-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A

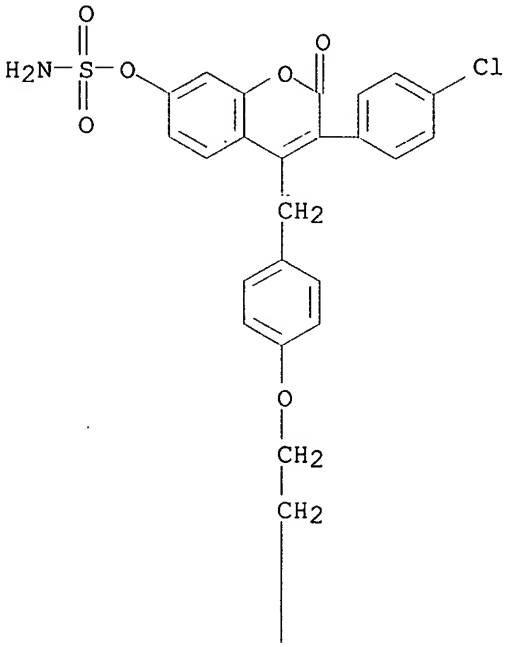


PAGE 2-A

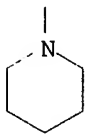


RN 280138-12-7 CAPLUS
CN Sulfamic acid, 3-(4-chlorophenyl)-2-oxo-4-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L54 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:10623 CAPLUS
DOCUMENT NUMBER: 132:78747
TITLE: Preparation and formulation of **steroid sulphatase inhibitors** for use in cancer treatment

Searched by Barb O'Bryen, STIC 308-4291

INVENTOR(S): Reed, Michael John; Potter, Barry Victor Lloyd
PATENT ASSIGNEE(S): Imperial College of Science Technology and Medicine, UK
SOURCE: U.S., 56 pp., Cont.-in-part of U.S. 5,830,886.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6011024	A	20000104	US 1998-111927	19980708
EP 921130	A2	19990609	EP 1998-204340	19920828
EP 921130	A3	20010905		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE				
EP 928609	A2	19990714	EP 1998-204337	19920828
EP 928609	A3	20011107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE				
JP 2000038341	A2	20000208	JP 1999-211413	19920828
EP 982032	A2	20000301	EP 1999-203449	19920828
EP 982032	A3	20020320		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE				
JP 2000355542	A2	20001226	JP 2000-163410	19920828
JP 2000355598	A2	20001226	JP 2000-163411	19920828
EP 1099706	A2	20010516	EP 2000-204525	19920828
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US 5616574	A	19970401	US 1994-196192	19941227
US 5830886	A	19981103	US 1995-458352	19950602
WO 9730041	A1	19970821	WO 1997-GB444	19970217
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 9732872	A1	19970912	WO 1997-GB600	19970304
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WO 9824802	A2	19980611	WO 1997-GB3352	19971204
WO 9824802	A3	19980827		
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US 6159960	A	20001212	US 1998-193969	19981118
AU 9910077	A1	19990304	AU 1999-10077	19990111
AU 717116	B2	20000316		
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PRIORITY APPLN. INFO.:

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EP	1998-204340	A3 19920828
JP	1993-505032	A3 19920828
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GB	1996-3325	A 19960216
GB	1996-4709	A 19960305
GB	1996-5725	A 19960319
GB	1996-25334	A 19961205
AU	1998-71952	A3 19980618
US	1998-111927	A2 19980708
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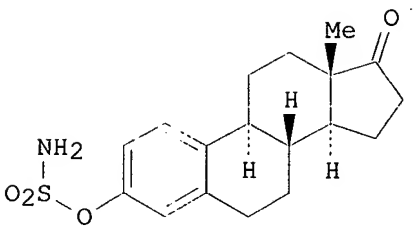
US	1999-238345	A3 19990127
US	2000-579163	A3 20000525

OTHER SOURCE(S): MARPAT 132:78747

GI



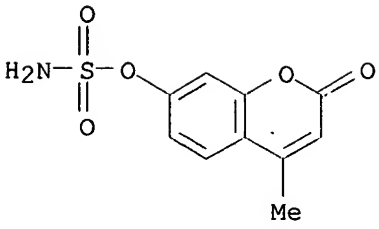
I

AB Steroid sulfatase inhibitors, R1R2NSO2OR [R = arom. ring, such as Ph, estra-1,3,5(10)-trien-3-yl, coumarinyl, flavonoid; R1, R2 = H, alkyl, alkenyl, cycloalkyl, aryl; R1R2 = alkylene], were prepd. for use in the treatment of estrogen dependent tumors. Thus, sulfamate I was prepd. by sulfamoylation of oestrone with sulfamoyl chloride. The prepd. compds. were tested for steroid sulfatase enzyme, E.C. 3.1.6.2, and aromatase inhibiting activity.

IT **136167-05-0P 148672-09-7P 148672-10-0P**
148672-11-1P 175694-72-1P 175694-73-2P
175694-74-3P 185910-34-3P 196815-14-2P
196815-17-5P 196815-21-1P 196815-29-9P
196815-32-4P 196815-35-7P 196815-37-9P
208924-81-6P 208924-82-7P 208924-83-8P
208924-84-9P 208924-85-0P 208924-86-1P
208924-87-2P 208924-88-3P 243129-60-4P
243129-61-5P 253601-93-3P 253601-94-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of **steroid sulfatase inhibitors** for use in treatment of cancer)

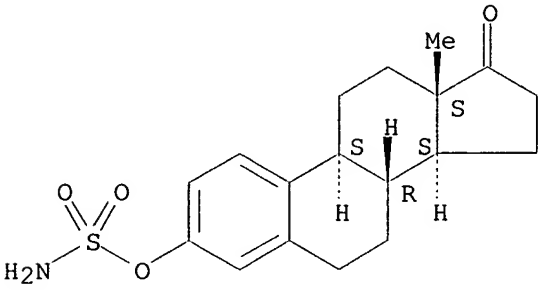
RN 136167-05-0 CAPLUS

CN Sulfamic acid, 4-methyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



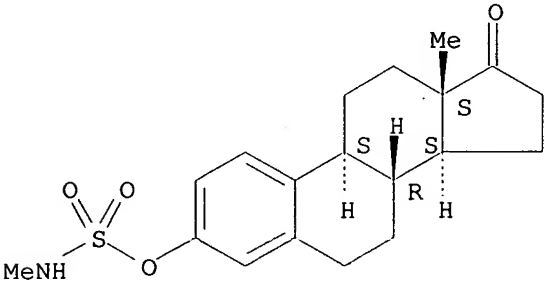
RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



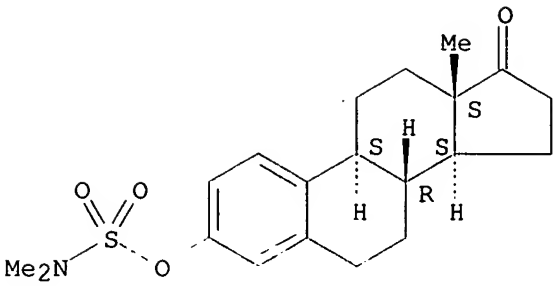
RN 148672-10-0 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[[(methylamino)sulfonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

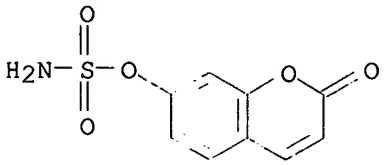


RN 148672-11-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[[(dimethylamino)sulfonyl]oxy]- (9CI) (CA INDEX NAME)

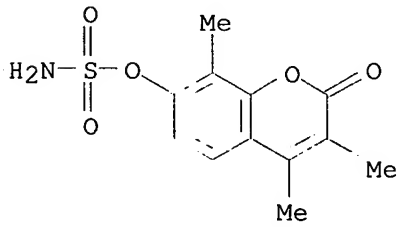
Absolute stereochemistry.



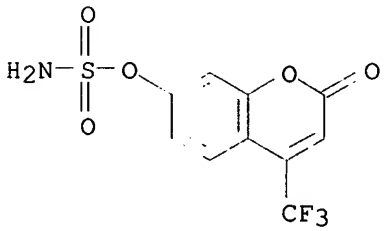
RN 175694-72-1 CAPLUS
CN Sulfamic acid, 2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



RN 175694-73-2 CAPLUS
CN Sulfamic acid, 3,4,8-trimethyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)

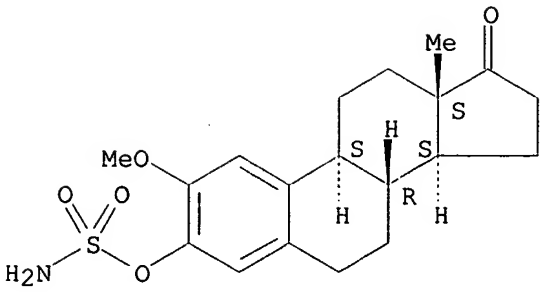


RN 175694-74-3 CAPLUS
CN Sulfamic acid, 2-oxo-4-(trifluoromethyl)-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)

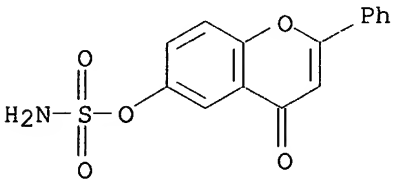


RN 185910-34-3 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-methoxy- (9CI) (CA INDEX NAME)

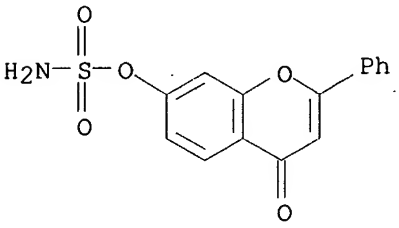
Absolute stereochemistry. Rotation (+).



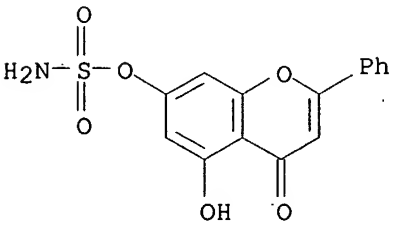
RN 196815-14-2 CAPLUS
CN Sulfamic acid, 4-oxo-2-phenyl-4H-1-benzopyran-6-yl ester (9CI) (CA INDEX NAME)



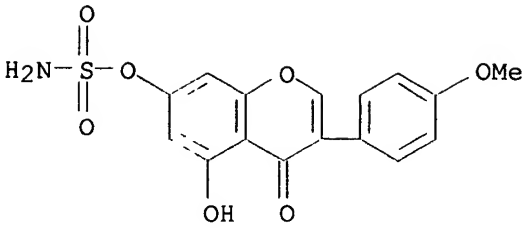
RN 196815-17-5 CAPLUS
CN Sulfamic acid, 4-oxo-2-phenyl-4H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



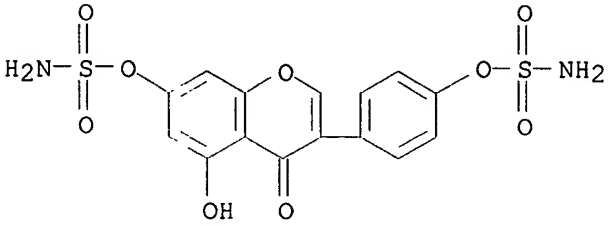
RN 196815-21-1 CAPLUS
CN Sulfamic acid, 5-hydroxy-4-oxo-2-phenyl-4H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



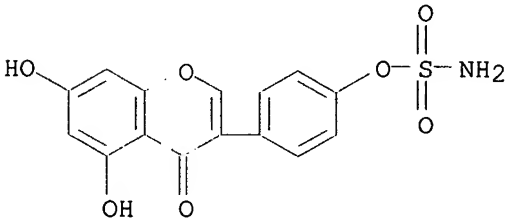
RN 196815-29-9 CAPLUS
CN Sulfamic acid, 5-hydroxy-3-(4-methoxyphenyl)-4-oxo-4H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



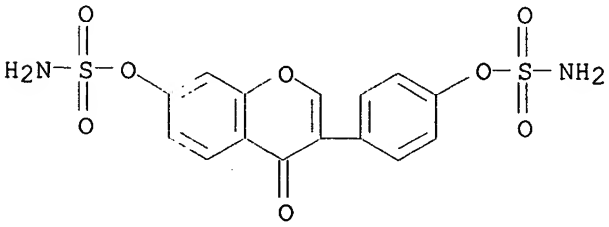
RN 196815-32-4 CAPLUS
CN Sulfamic acid, 4-[7-[(aminosulfonyl)oxy]-5-hydroxy-4-oxo-4H-1-benzopyran-3-yl]phenyl ester (9CI) (CA INDEX NAME)



RN 196815-35-7 CAPLUS
CN Sulfamic acid, 4-(5,7-hydroxy-4-oxo-4H-1-benzopyran-3-yl)phenyl ester (9CI) (CA INDEX NAME)

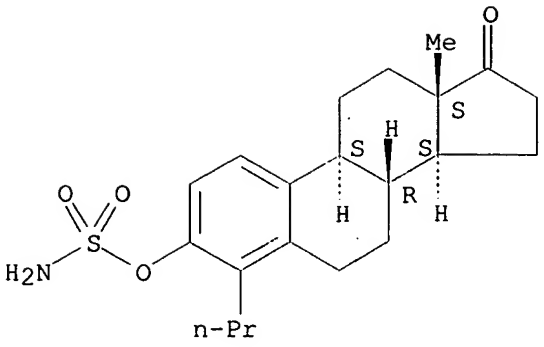


RN 196815-37-9 CAPLUS
CN Sulfamic acid, 4-[7-[(aminosulfonyl)oxy]-4-oxo-4H-1-benzopyran-3-yl]phenyl ester (9CI) (CA INDEX NAME)



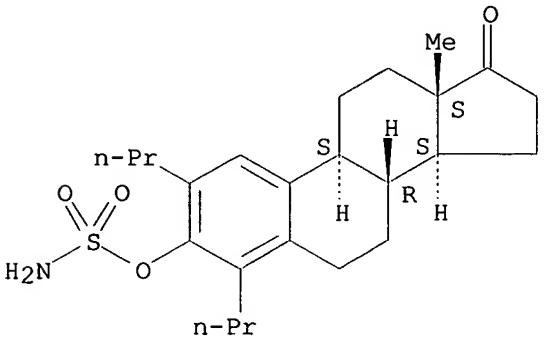
RN 208924-81-6 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-4-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



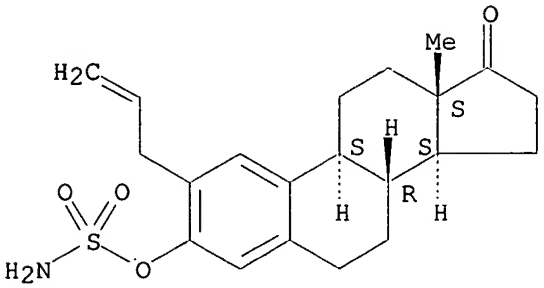
RN 208924-82-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2,4-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



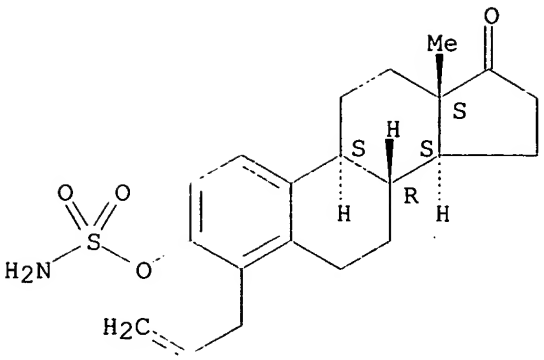
RN 208924-83-8 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



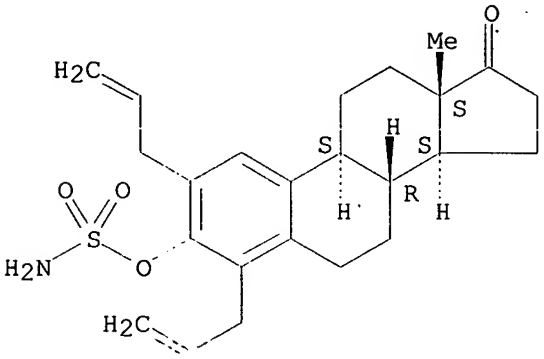
RN 208924-84-9 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-4-(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



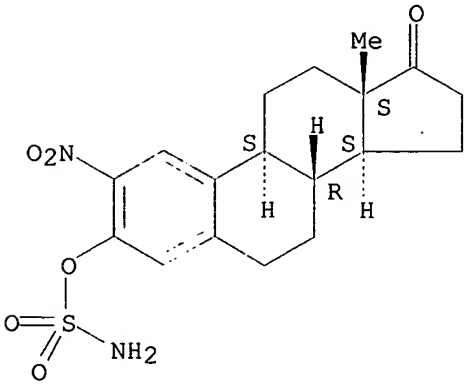
RN 208924-85-0 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2,4-bis(2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



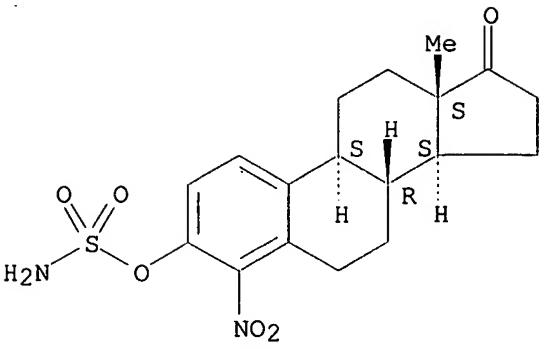
RN 208924-86-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



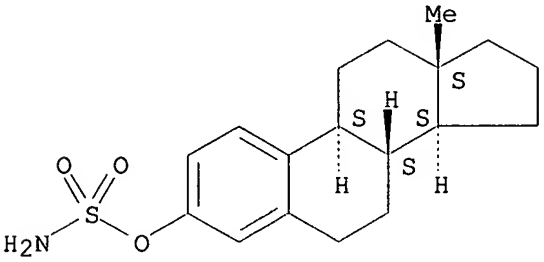
RN 208924-87-2 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

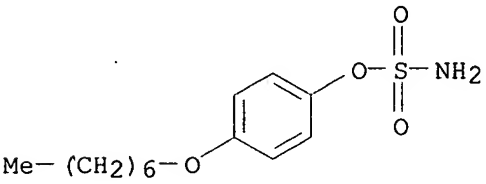


RN 208924-88-3 CAPLUS
CN Estra-1,3,5(10)-trien-3-ol, sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

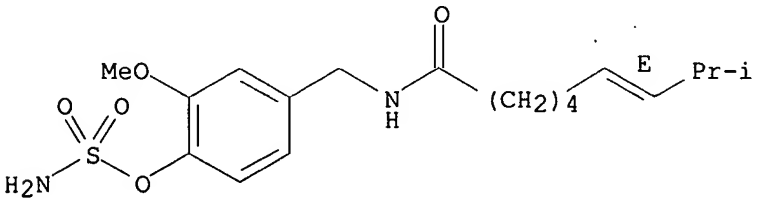


RN 243129-60-4 CAPLUS
CN Sulfamic acid, 4-(heptyloxy)phenyl ester (9CI) (CA INDEX NAME)

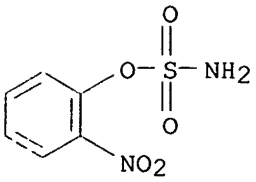


RN 243129-61-5 CAPLUS
CN Sulfamic acid, 2-methoxy-4-[[[(6E)-8-methyl-1-oxo-6-nonenyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

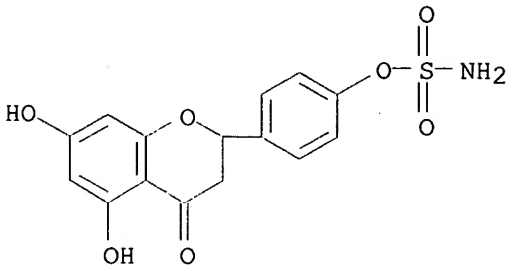
Double bond geometry as shown.



RN 253601-93-3 CAPLUS
CN Sulfamic acid, 2-nitrophenyl ester (9CI) (CA INDEX NAME)



RN 253601-94-4 CAPLUS
CN Sulfamic acid, 4-(3,4-dihydro-5,7-dihydroxy-4-oxo-2H-1-benzopyran-2-yl)phenyl ester (9CI) (CA INDEX NAME)



IT **9025-62-1**, E.C. 3.1.6.2
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. and formulation of **steroid sulfatase inhibitors** for use in treatment of cancer)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:795665 CAPLUS
DOCUMENT NUMBER: 132:30824
TITLE: Pharmaceutical composition with tumor necrosis factor-.alpha. or other biological response modifier and 2-methoxyestrone-3-O-sulphamate for inhibition of estrone sulphatase and treatment of cancer
INVENTOR(S): Reed, Michael John; Potter, Barry Victor Lloyd
PATENT ASSIGNEE(S): Sterix Limited, UK
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9964013	A1	19991216	WO 1999-GB1835	19990610

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,

MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9942807	A1	19991230	AU 1999-42807	19990610
EP 1085876	A1	20010328	EP 1999-955428	19990610

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

PRIORITY APPLN. INFO.:	GB 1998-12535	A	19980610
	GB 1999-10167	A	19990430
	WO 1999-GB1835	W	19990610

OTHER SOURCE(S): MARPAT 132:30824

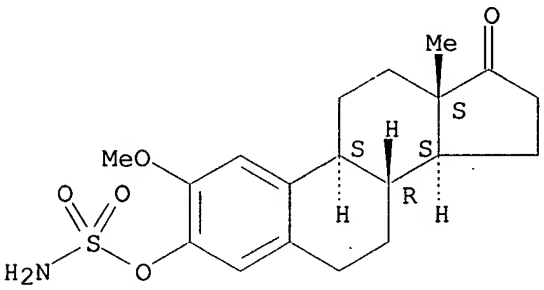
AB The compn. comprises a sulfamate compd., e.g. 2-methoxyestrone-3-O-sulfamate, and a biol. response modifier, e.g., TNF. The compn. is useful for the prevention and/or treatment of cancer.

IT **185910-34-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methoxyestrone sulfamate and TNF or other biol. response modifier for inhibition of estrone sulfatase and treatment of cancer)

RN 185910-34-3 CAPLUS

CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

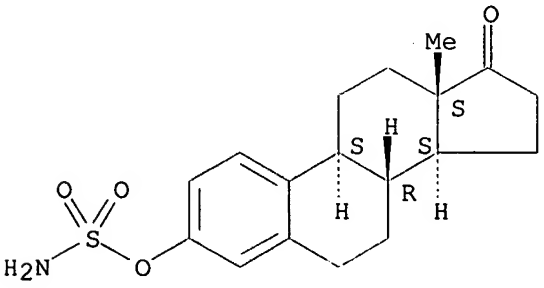


IT **148672-09-7**, Estrone-3-sulfamate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methoxyestrone sulfamate and TNF or other biol. response modifier for inhibition of estrone sulfatase and treatment of cancer)

RN 148672-09-7 CAPLUS

CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

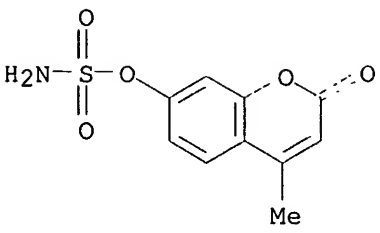


IT **9025-62-1**, E.C. 3.1.6.2
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(methoxyestrone sulfamate and TNF or other biol. response modifier for **inhibition** of estrone sulfatase and treatment of cancer)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

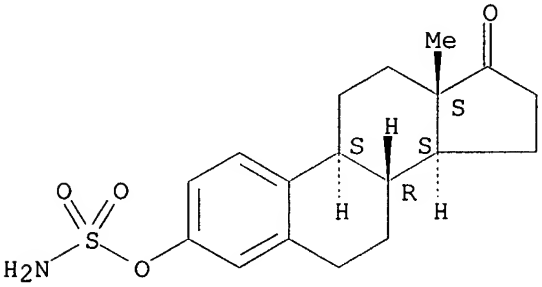
L54 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:437570 CAPLUS
DOCUMENT NUMBER: 131:208593
TITLE: Recent advances in the development of **steroid sulfatase inhibitors**
AUTHOR(S): Purohit, A.; Hejaz, H. A. M.; Woo, L. W. L.; Van Strien, A. E.; Potter, B. V. L.; Reed, M. J.
CORPORATE SOURCE: Endocrinology and Metabolic Medicine, Imperial College School of Medicine, St Mary's Hospital, London, W2 1NY, UK
SOURCE: Journal of Steroid Biochemistry and Molecular Biology (1999), 69(1-6), 227-238
CODEN: JSBBEZ; ISSN: 0960-0760
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Inhibition of steroid sulfatase is now an important target for the development of new drugs for the treatment of women with endocrine-dependent breast tumors. The first potent sulfatase inhibitor identified, estrone-3-O-sulfamate (EMATE) proved, unexpectedly, to be estrogenic. A no. of strategies have therefore been adopted to design and synthesize a nonoestrogenic inhibitor. For this, a no. of modifications have been made to the A and D rings of the estrone nucleus. Methoxyestrone-3-O-sulfamate, while having similar in vitro and in vivo sulfatase inhibitory potency to that of EMATE, was devoid of estrogenic activity when tested at 2 mg/kg in an ovariectomized rat uterine wt. gain assay. 17-Deoxyestrone-3-O-sulfamate was also a potent steroid sulfatase inhibitor and while it was devoid of estrogenic activity when tested at 0.1 mg/kg, did stimulate uterine growth at 1.0 mg/kg. As an alternative approach to the use of steroid-based inhibitors a no. of single ring, bicyclic non-fused ring, and two fused ring sulfamate analogs were designed, synthesized and tested for their ability to inhibit steroid sulfatase activity. In general, although the single ring and bicyclic non-fused ring sulfamate analogs could inhibit sulfatase activity, they were considerably less potent than EMATE. The mono- and bis-sulfamate derivs. of 5,7-dihydroxyisoflavone were relatively potent, inhibiting in vivo steroid sulfatase activity by 62 and 81%, resp., at a single oral dose of 10 mg/kg. A study of the structure-activity relationship of a series of coumarin-based sulfamates has led to the development of a no. of potent non-steroidal inhibitors, one of which has a similar potency to that of EMATE. The identification of potent steroid- and non-steroid-based sulfatase inhibitors will enable the therapeutic value of this therapy to be examd. in the near future.
IT **136167-05-0 148672-09-7**, Estrone-3-O-sulfamate **185910-34-3 196815-32-4 196815-35-7 208924-88-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(prepn. and structure-activity relationship of **steroid sulfatase inhibitors**)
RN 136167-05-0 CAPLUS

CN Sulfamic acid, 4-methyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



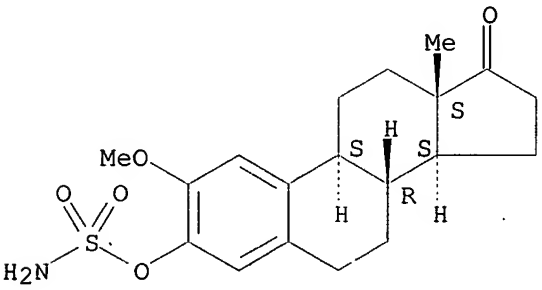
RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

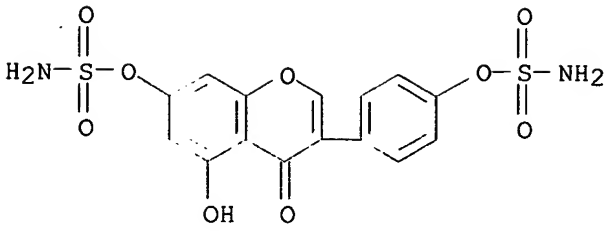


RN 185910-34-3 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-2-methoxy- (9CI) (CA INDEX NAME)

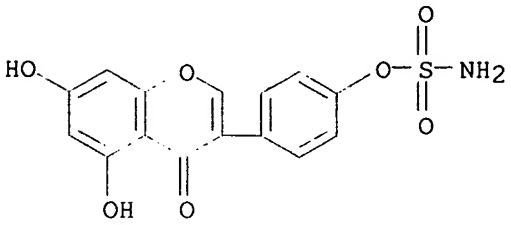
Absolute stereochemistry. Rotation (+).



RN 196815-32-4 CAPLUS
CN Sulfamic acid, 4-[7-[(aminosulfonyl)oxy]-5-hydroxy-4-oxo-4H-1-benzopyran-3-yl]phenyl ester (9CI) (CA INDEX NAME)

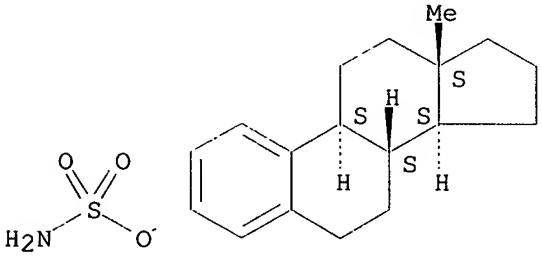


RN 196815-35-7 CAPLUS
CN Sulfamic acid, 4-(5,7-hydroxy-4-oxo-4H-1-benzopyran-3-yl)phenyl ester (9CI) (CA INDEX NAME)

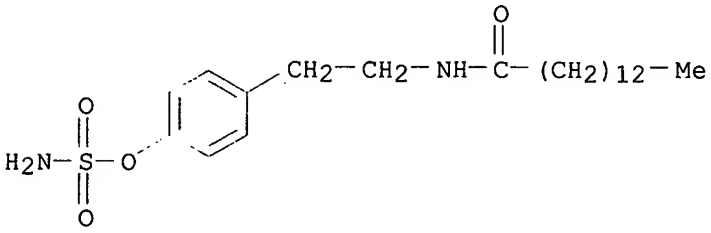


RN 208924-88-3 CAPLUS
CN Estra-1,3,5(10)-trien-3-ol, sulfamate (9CI) (CA INDEX NAME)

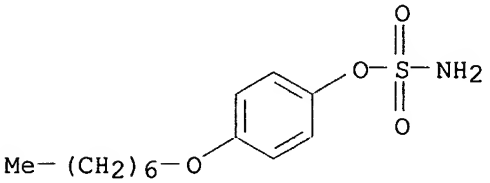
Absolute stereochemistry.



IT **186303-55-9P 243129-60-4P 243129-61-5P**
243129-65-9P 243129-67-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and structure-activity relationship of **steroid sulfatase inhibitors**)
RN 186303-55-9 CAPLUS
CN Sulfamic acid, 4-[2-[(1-oxotetradecyl)amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

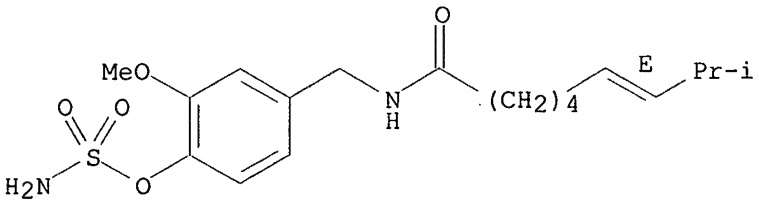


RN 243129-60-4 CAPLUS
CN Sulfamic acid, 4-(heptyloxy)phenyl ester (9CI) (CA INDEX NAME)

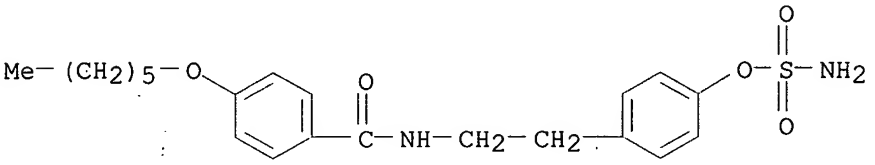


RN 243129-61-5 CAPLUS
CN Sulfamic acid, 2-methoxy-4-[[[(6E)-8-methyl-1-oxo-6-nonenyl]amino]methyl]phenyl ester (9CI) (CA INDEX NAME)

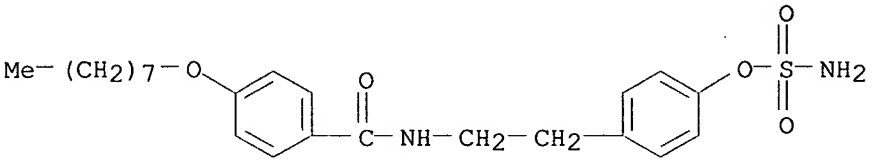
Double bond geometry as shown.



RN 243129-65-9 CAPLUS
CN Sulfamic acid, 4-[2-[[4-(hexyloxy)benzoyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



RN 243129-67-1 CAPLUS
CN Sulfamic acid, 4-[2-[[4-(octyloxy)benzoyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



IT **9025-62-1, Steroid sulfatase**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. and structure-activity relationship of **steroid sulfatase inhibitors**)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

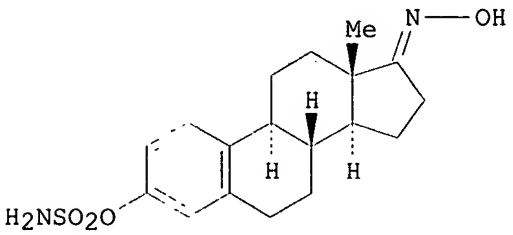
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 26 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:375409 CAPLUS
DOCUMENT NUMBER: 131:19185
TITLE: synthesis and inhibitory activity of estratriene sulfamate derivatives as inhibitors of estrone sulfatase
INVENTOR(S): Reed, Michael John; Potter, Barry Victor Lloyd
PATENT ASSIGNEE(S): Imperial College of Science, Technology and Medicine, UK; University of Bath
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9927936	A1	19990610	WO 1998-GB3620	19981203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
GB 2331987	A1	19990609	GB 1997-25749	19971204
AU 9913458	A1	19990616	AU 1999-13458	19981203
EP 1051178	A1	20001115	EP 1998-957034	19981203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001524525	T2	20011204	JP 2000-522921	19981203

PRIORITY APPLN. INFO.: GB 1997-25749 A 19971204
WO 1998-GB3620 W 19981203

OTHER SOURCE(S): MARPAT 131:19185
GI



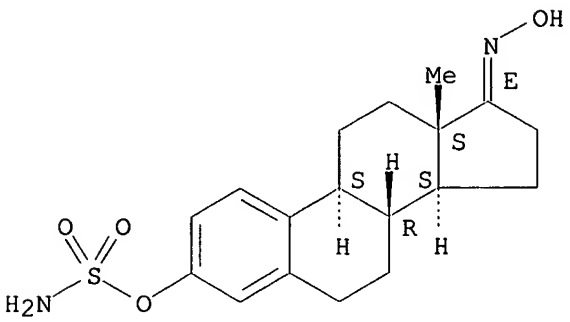
I

AB Synthesis of an estratriene sulfamate oxime (I) suitable for use as an inhibitor of estrone sulfatase (E.C.3.1.6.2) is described. Thus, I is prepd. by oximation of estrone with hydroxylamine hydrochloride to give the anti-oxime. which is reacted with sulfamyl chloride to give I in 25% yield. I shows a 99% inhibition of MCF-7 cells at 10.mu.M.

IT **226701-16-2P**, Estrone 17-anti-oxime 3-O-sulfamate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and inhibitory activity of estratriene sulfamate derivs. as

inhibitors of estrone sulfatase)
RN 226701-16-2 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]-, oxime, (17E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



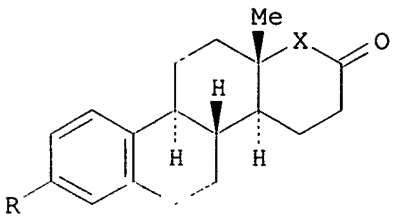
IT **9025-62-1**, Oestrone sulphatase
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(synthesis and **inhibitory** activity of estratriene sulfamate derivs. as **inhibitors** of estrone sulfatase)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:375408 CAPLUS
DOCUMENT NUMBER: 131:19184
TITLE: synthesis and inhibitory activity of estratriene sulfamate derivatives as inhibitors of estrone sulfatase
INVENTOR(S): Reed, Michael John; Potter, Barry Victor Lloyd
PATENT ASSIGNEE(S): Imperial College of Science, Technology and Medicine, UK; University of Bath
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9927935	A1	19990610	WO 1998-GB3616	19981203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
GB 2331988	A1	19990609	GB 1997-25750	19971204
AU 9913456	A1	19990616	AU 1999-13456	19981203
EP 1051177	A1	20001115	EP 1998-957031	19981203

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
JP 2001524524 T2 20011204 JP 2000-522920 19981203
PRIORITY APPLN. INFO.: GB 1997-25750 A 19971204
WO 1998-GB3616 W 19981203
OTHER SOURCE(S): MARPAT 131:19184
GI



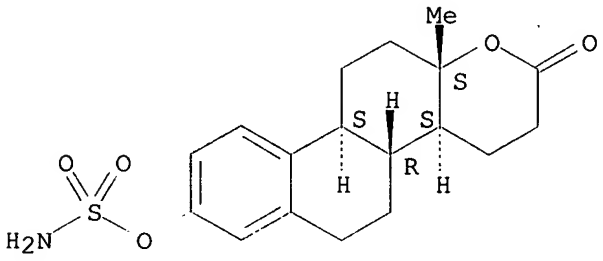
I

AB Synthesis of an estratriene sulfamate compd. (I) [X = O, NH; R = (un)substituted H2NSO3] suitable for use as an inhibitor of estrone sulfatase (E.C.3.1.6.2) is described. Thus, I (X = NH, R = H2NSO3) (II) is prepd. by oximation of estrone followed by treatment of the oxime with thionyl chloride in dioxane to give the lactam which is reacted with sulfamyl chloride to give II in 64% yield. II shows a 97.3% inhibition of MCF-7 cells at 10.mu.M.

IT **205118-78-1P 205118-80-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and inhibitory activity of estratriene sulfamate derivs. as inhibitors of estrone sulfatase)

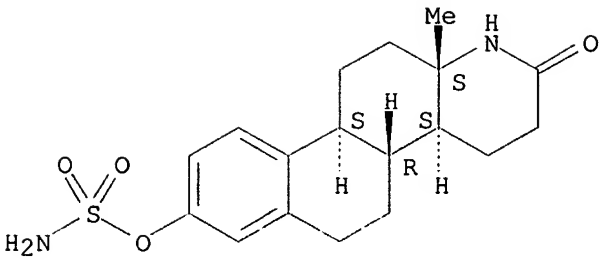
RN 205118-78-1 CAPLUS
CN Sulfamic acid, (4aS,4bR,10bS,12aS)-3,4,4a,4b,5,6,10b,11,12,12a-decahydro-12a-methyl-2-oxo-2H-phenanthro[2,1-b]pyran-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 205118-80-5 CAPLUS
CN Sulfamic acid, (4aS,4bR,10bS,12aS)-1,2,3,4,4a,4b,5,6,10b,11,12,12a-dodecahydro-12a-methyl-2-oxonaphtho[2,1-f]quinolin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9025-62-1**, Oestrone sulphatase
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(synthesis and **inhibitory** activity of estratriene sulfamate derivs. as **inhibitors** of estrone sulfatase)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

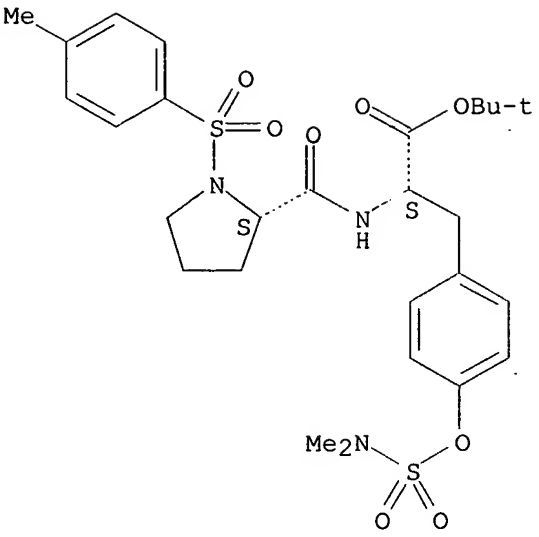
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:113666 CAPLUS
DOCUMENT NUMBER: 130:182768
TITLE: Preparation of N-sulfonyl O-carbamoyltyrosine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Thorsett, Eugene D.; Semko, Christopher M.; Sarantakis, Dimitrios; Pleiss, Michael A.; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Ashwell, Susan; Baudy, Reinhardt Bernhard; Lombardo, Louis John
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 386 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906390	A1	19990211	WO 1998-US15324	19980731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9806830	A	20000502	ZA 1998-6830	19980730
AU 9885849	A1	19990222	AU 1998-85849	19980731
AU 740681	B2	20011108		
EP 1000051	A1	20000517	EP 1998-937052	19980731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9811598	A	20001003	BR 1998-11598	19980731
JP 2001512114	T2	20010821	JP 2000-505149	19980731

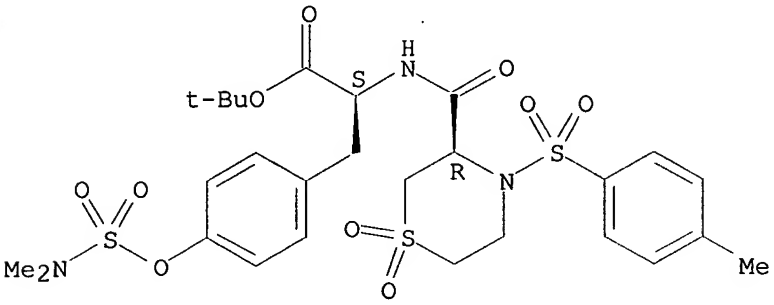
US 2002039745 A1 20020404 US 1998-127364 19980731
PRIORITY APPLN. INFO.: US 1997-904424 A1 19970731
US 1997-54453P P 19970801
WO 1998-US15324 W 19980731
OTHER SOURCE(S): MARPAT 130:182768
AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = OZNR8R8', OZR12; R8, R8' = independently H, (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R12 = (un)substituted heterocyclyl; Z = CO, SO2; Ar = (un)substituted aryl or heteroaryl; x = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z'; R11 = alkyl; Z' = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, **Alzheimer**'s disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, carbamoylation of Ts-Pro-Tyr-OEt (Ts = tosyl) with Me2NCOCl in the presence of Et3N and DMAP gave 99% desired title compd. Ts-Pro-Tyr(CONMe2)-OEt (I). Sapon. of I gave the corresponding free acid Ts-Pro-Tyr(CONMe2)-OH. All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.
IT **220544-11-6P 220544-28-5P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of N-sulfonyl O-carbamoyltyrosine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)
RN 220544-11-6 CAPLUS
CN L-Tyrosine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-, 1,1-dimethylethyl ester, dimethylsulfamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



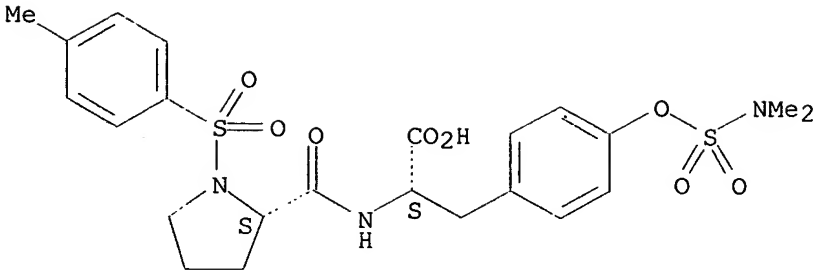
RN 220544-28-5 CAPLUS
CN L-Tyrosine, N-[[(3R)-4-[(4-methylphenyl)sulfonyl]-1,1-dioxido-3-thiomorpholinyl]carbonyl]-, 1,1-dimethylethyl ester, dimethylsulfamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



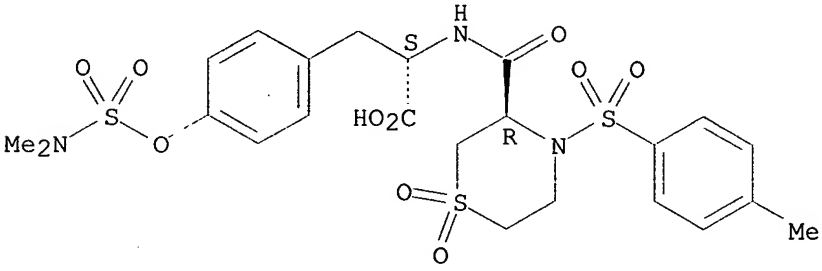
IT **220544-12-7P 220544-49-0P 220545-02-8P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonyl O-carbamoyltyrosine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)
RN 220544-12-7 CAPLUS
CN L-Tyrosine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-, dimethylsulfamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



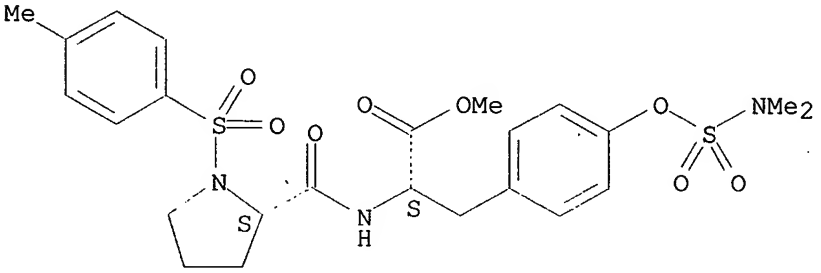
RN 220544-49-0 CAPLUS
CN L-Tyrosine, N-[[(3R)-4-[(4-methylphenyl)sulfonyl]-1,1-dioxido-3-thiomorpholinyl]carbonyl]-, dimethylsulfamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220545-02-8 CAPLUS
CN L-Tyrosine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-, methyl ester, dimethylsulfamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:77582 CAPLUS
DOCUMENT NUMBER: 130:139509
TITLE: Preparation of **steroid sulfatase inhibitors** for the treatment of estrogen dependent illnesses
INVENTOR(S): Li, Pui-Kai; Selcer, Kyle W.
PATENT ASSIGNEE(S): Duquesne University of the Holy Ghost, USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2

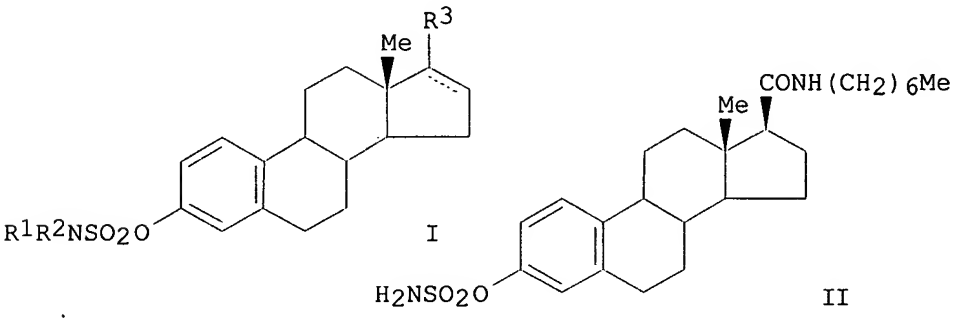
Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9903876	A1	19990128	WO 1998-US14206	19980708
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 5880115	A	19990309	US 1997-897247	19970718
AU 9885687	A1	19990210	AU 1998-85687	19980708
AU 729325	B2	20010201		
EP 1009755	A1	20000621	EP 1998-936825	19980708
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001510200	T2	20010731	JP 2000-503098	19980708

PRIORITY APPLN. INFO.: US 1997-897247 A 19970718
WO 1998-US14206 W 19980708

OTHER SOURCE(S): MARPAT 130:139509
GI



AB Sulfatase inhibitor compds. of formula I [R1, R2 = H, alkyl; R3 = CONH(CH2)mCH3, NHCO(CH2)mCH3; m = 3-13], useful in the treatment of estrogen dependent illnesses, are prepd. Methods for synthesizing these compds. and using them in the therapeutic and/or prophylactic treatment of a patient are also disclosed. Thus, II was prepd. from estrone and heptylamine in 5 steps and significantly inhibited estrone sulfatase in in vitro assays.

IT **9025-62-1, Steroid sulfatase**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(inhibitors; prepn. of **steroid sulfatase inhibitors)**

RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

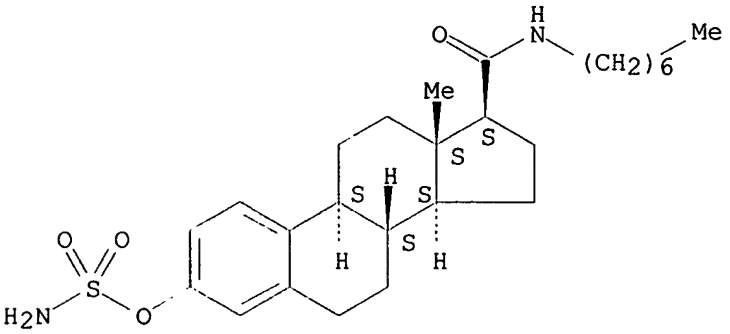
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **211057-33-9P 211057-36-2P 211057-43-1P 211057-44-2P 211057-45-3P 211057-49-7P**

211057-50-0P 211057-51-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **steroid sulfatase inhibitors**)

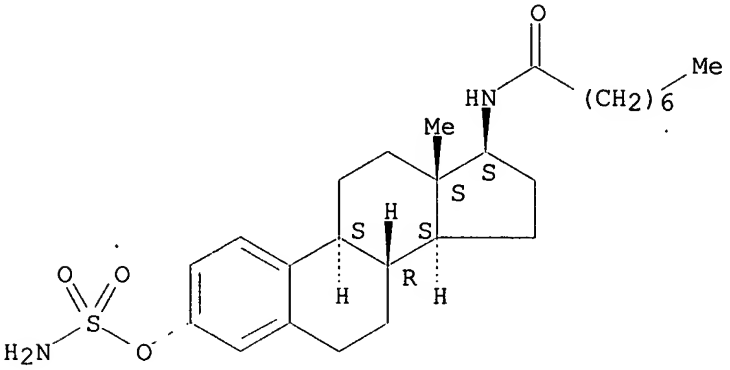
RN 211057-33-9 CAPLUS
CN Estra-1,3,5(10)-triene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-heptyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



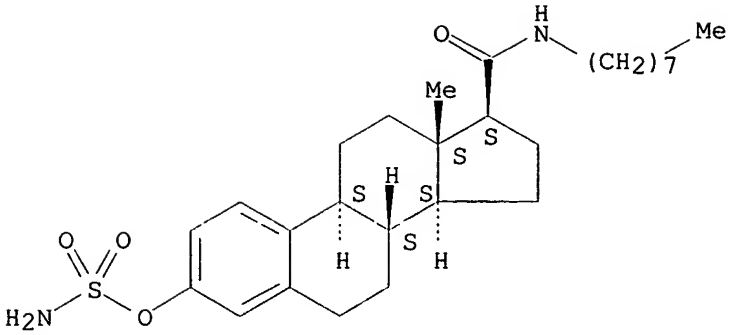
RN 211057-36-2 CAPLUS
CN Sulfamic acid, (17.beta.)-17-[(1-oxooctyl)amino]estra-1,3,5(10)-trien-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



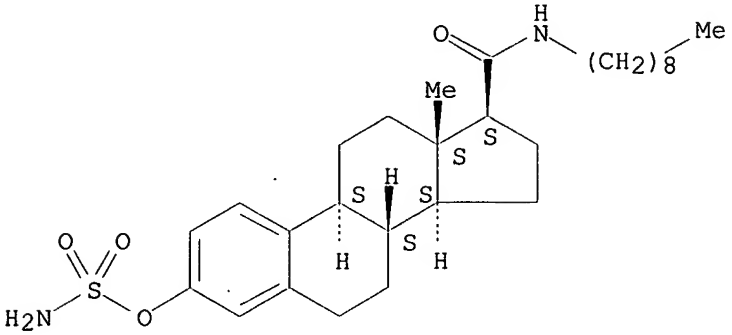
RN 211057-43-1 CAPLUS
CN Estra-1,3,5(10)-triene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-octyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



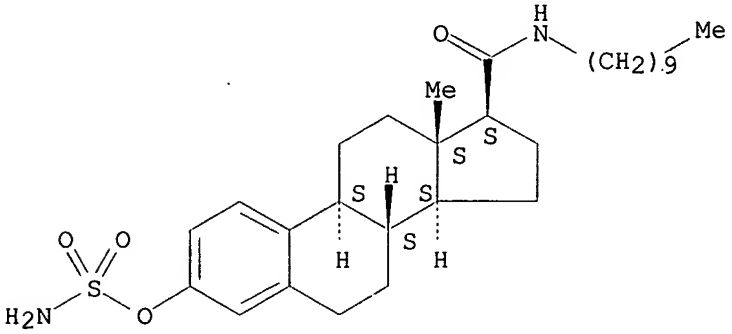
RN 211057-44-2 CAPLUS
CN Estra-1,3,5(10)-triene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-nonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



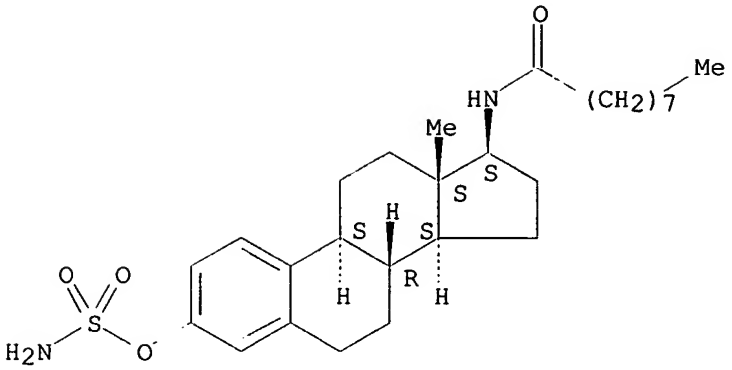
RN 211057-45-3 CAPLUS
CN Estra-1,3,5(10)-triene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-decyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



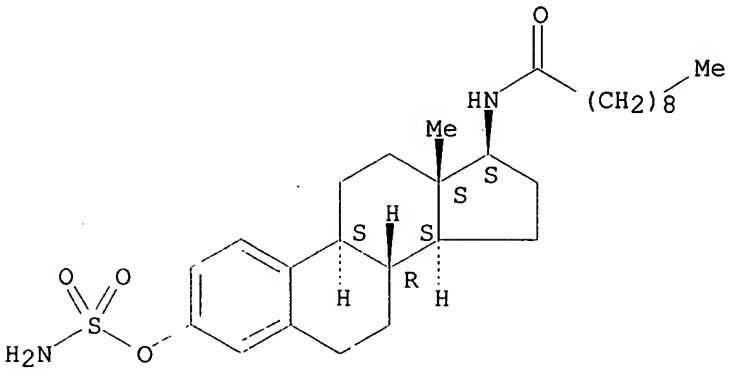
RN 211057-49-7 CAPLUS
CN Nonanamide, N-[(17.beta.)-3-[(aminosulfonyl)oxy]estra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



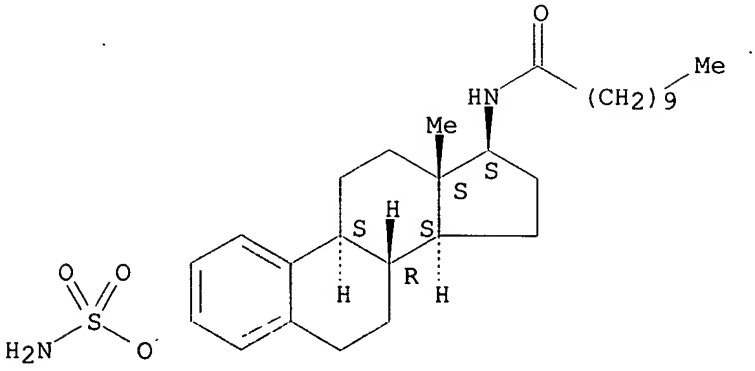
RN 211057-50-0 CAPLUS
CN Decanamide, N-[(17.beta.)-3-[(aminosulfonyl)oxy]estra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 211057-51-1 CAPLUS
CN Undecanamide, N-[(17.beta.)-3-[(aminosulfonyl)oxy]estra-1,3,5(10)-trien-17-yl]- (9CI) (CA INDEX NAME)

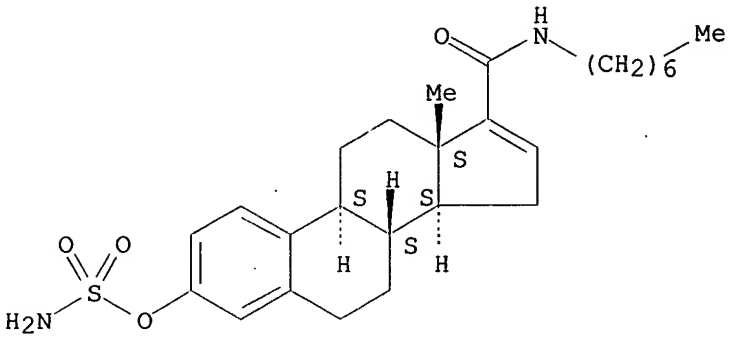
Absolute stereochemistry.



IT **211057-32-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **steroid sulfatase inhibitors**)
RN 211057-32-8 CAPLUS

CN Estra-1,3,5(10),16-tetraene-17-carboxamide, 3-[(aminosulfonyl)oxy]-N-heptyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

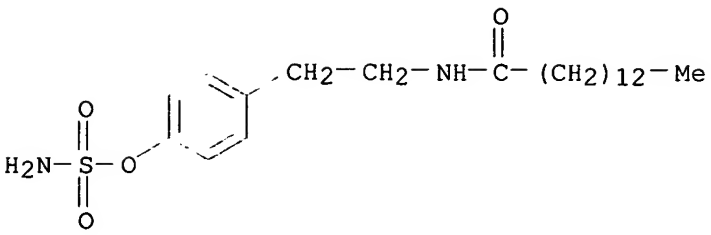
L54 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:397795 CAPLUS
DOCUMENT NUMBER: 129:49671
TITLE: Methods for effecting memory enhancement mediated by non-steroidal sulfatase inhibitors
INVENTOR(S): Johnson, David A.; Li, Pui-Kai
PATENT ASSIGNEE(S): Duguesne University of the Holy Ghost, USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5763492	A	19980609	US 1996-722740	19961001

AB This invention discloses a method for enhancing memory in a patient comprising administering a compd. of formula 4-R1R2NO2SOC6H4(CH2)mNHCO(CH2)nMe [I, R1, R2 = H, alkyl; m = 0-4; n = 5-14], optionally in conjunction with the naturally occurring neurosteroids dehydroepiandrosterone sulfate (DHEAS) and/or pregnenolone sulfate (PS). The method is used to treat patients with amnesia, **Alzheimer**'s disease, head injury or various dementias. I [R1, R2 = H, m = 2, n = 12] was prepd. by acylating tyramine with tridecanoyl chloride followed by treatment with ClSO2NH2. I [R1, R2 = H, m = 2, n = 12] reversed scopolamine-induced amnesia and inhibited both liver and brain sulfatase activity.

IT **186303-55-9P**
RL: **BAC (Biological activity or effector, except adverse)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and memory enhancing effects of alkanoyltyramine sulfamates)

RN 186303-55-9 CAPLUS
CN Sulfamic acid, 4-[2-[(1-oxotetradecyl)amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



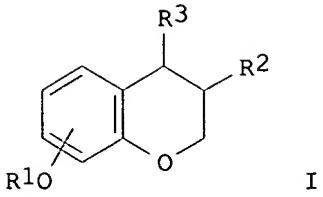
L54 ANSWER 31 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:293484 CAPLUS
DOCUMENT NUMBER: 129:4579
TITLE: Preparation of novel cis-3,4-chroman derivatives useful in the prevention or treatment of estrogen related diseases or syndromes
INVENTOR(S): Jacobsen, Poul; Treppendahl, Svend; Bury, Paul Stanley; Kanstrup, Anders; Christiansen, Lise Brown
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818773	A1	19980507	WO 1997-DK480	19971028
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6043269	A	20000328	US 1997-958019	19971027
ZA 9709642	A	19980428	ZA 1997-9642	19971028
AU 9747000	A1	19980522	AU 1997-47000	19971028
EP 937058	A1	19990825	EP 1997-909217	19971028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001502705	T2	20010227	JP 1998-519939	19971028
NO 9902010	A	19990625	NO 1999-2010	19990427

PRIORITY APPLN. INFO.:

DK 1996-1200	A	19961028
US 1996-31239P	P	19961112
WO 1997-DK480	W	19971028

OTHER SOURCE(S): MARPAT 129:4579
GI



I

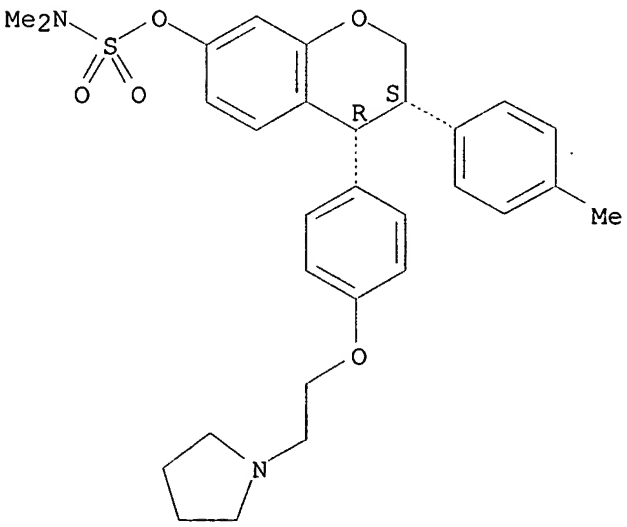
AB The title compds. [cis-I; R1 = COR4, CONHR4, SO2NHR4, etc.; R2 = (un)substituted Ph; R3 = Ph substituted with X(CH2)nY (wherein X = a bond, O, S; n = 1-12; Y = H, halo, OH, etc.), (CH2)nY, Ph fused to a C3-7 heterocyclic ring, (un)satd., (un)substituted, contg. 1-2 heteroatoms selected from O, S, and N; R4 = C1-6 alkyl], useful in the prevention or treatment of bone loss, osteoporosis, cardiovascular diseases, cognitive disorders, senile dementia-**Alzheimer**'s type, menopausal symptoms, estrogen-dependent cancers, etc., were prepd. and formulated. Thus, reaction of (.+-.)-cis-7-hydroxy-4-[4-(2-pyrrolidinoethoxy)phenyl]-3-[4-(trifluoromethyl)phenyl]chromane with 2,2-dimethylpropanoyl chloride in the presence of Et3N in THF afforded 64% (.+-.)-cis-I [R1 = COtBu; R2 = 4-CF3C6H4; R3 = 4-(2-pyrrolidinoethoxy)phenyl]. Compds. I are effective at 10-100 mg/day when administered to patients, e.g. humans.

IT **207345-42-4P**
RL: **BAC (Biological activity or effector, except adverse)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel cis-3,4-chroman derivs. useful in the prevention or treatment of estrogen related diseases or syndromes)

RN 207345-42-4 CAPLUS

CN Sulfamic acid, dimethyl-, (3R,4S)-3,4-dihydro-3-(4-methylphenyl)-4-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-2H-1-benzopyran-7-yl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

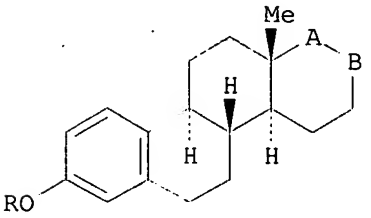


L54 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:183931 CAPLUS
DOCUMENT NUMBER: 128:257603
TITLE: Preparation of 3-substituted D-homo-1,3,5,(10)-

estratriene derivatives
INVENTOR(S): Koizumi, Naoyuki; Takegawa, Shigehiro; Iwashita, Shigeki; Kawachi, Tomoko; Mieda, Mamoru; Fujii, Tomohito
PATENT ASSIGNEE(S): Teikoku Hormone Mfg. Co., Ltd., Japan; Koizumi, Naoyuki; Takegawa, Shigehiro; Iwashita, Shigeki; Kawachi, Tomoko; Mieda, Mamoru; Fujii, Tomohito
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811124	A1	19980319	WO 1997-JP3188	19970910
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9742191	A1	19980402	AU 1997-42191	19970910
AU 713341	B2	19991202		
EP 934949	A1	19990811	EP 1997-940331	19970910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1230194	A	19990929	CN 1997-197863	19970910
KR 2000035979	A	20000626	KR 1999-701895	19990305
US 6087347	A	20000711	US 1999-254734	19990312

PRIORITY APPLN. INFO.: JP 1996-262332 A 19960912
WO 1997-JP3188 W 19970910
OTHER SOURCE(S): MARPAT 128:257603
GI



I

AB The title compds. [I; when one of A and B = CO or CH2 and the other = O or NH; R = SO2-NR1R2, PO(OM)2; R1, R2 = H, alkyl; M = H, alkali metal; when one of A and B = NH, the other = O], useful as antiestrogens, are prepd. Thus, Cl-SO2-NH2 was reacted with 3-hydroxy-D-homo-17-oxaestra-1,3,5(10)-trien-17a-one in DMF contg. NaH to give the title compd. D-homo-17-oxaestra-1,3,5(10)-trien-17a-one 3-sulfamate. Because of their excellent estrone sulfatase inhibitory effects, I are useful for the prevention and treatment of diseases caused by estrogens such as mammary cancer, uterus cancer, ovarian cancer, **endometriosis**, uterine adenomyosis and mastopathy.

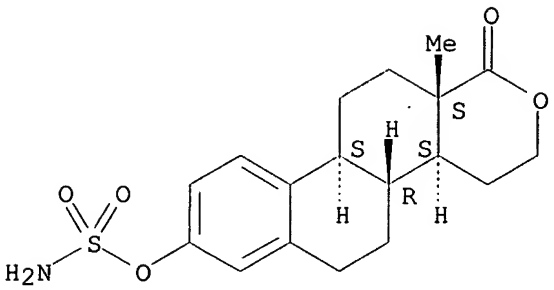
IT **205118-75-8P 205118-76-9P 205118-77-0P**
205118-78-1P 205118-79-2P 205118-80-5P
RL: **BAC (Biological activity or effector, except adverse)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-substituted D-homo-1,3,5,(10)-estratriene derivs.)

RN 205118-75-8 CAPLUS

CN Sulfamic acid, (4aS,4bR,10bS,12aS)-3,4,4a,4b,5,6,10b,11,12,12a-decahydro-12a-methyl-1-oxo-1H-phenanthro[2,1-c]pyran-8-yl ester (9CI) (CA INDEX

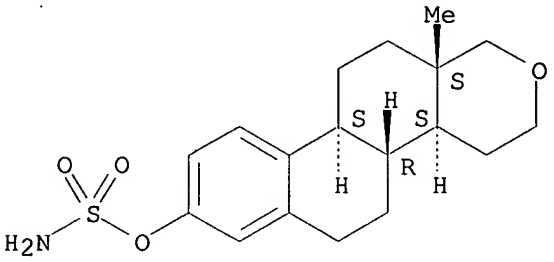
NAME)

Absolute stereochemistry.



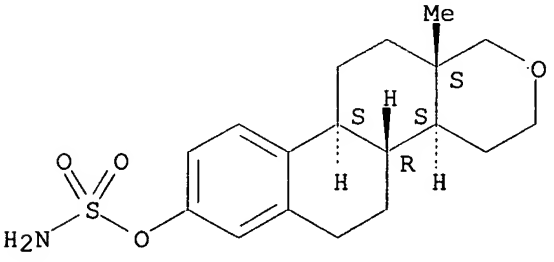
RN 205118-76-9 CAPLUS
CN Sulfamic acid, 3,4,4a,4b,5,6,10b,11,12,12a-decahydro-12a-methyl-1H-phenanthro[2,1-c]pyran-8-yl ester, [4aS-(4a.alpha.,4b.beta.,10b.alpha.,12a.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 205118-77-0 CAPLUS
CN Sulfamic acid, 3,4,4a,4b,5,6,10b,11,12,12a-decahydro-12a-methyl-1H-phenanthro[2,1-c]pyran-8-yl ester, disodium salt, [4aS-(4a.alpha.,4b.beta.,10b.alpha.,12a.beta.)]- (9CI) (CA INDEX NAME)

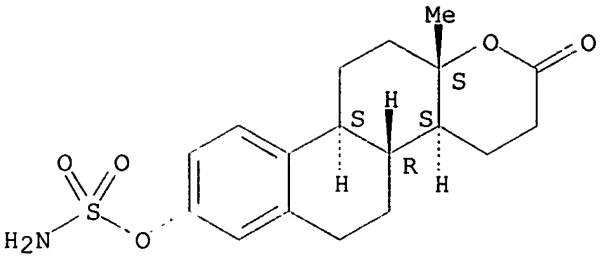
Absolute stereochemistry.



●2 Na

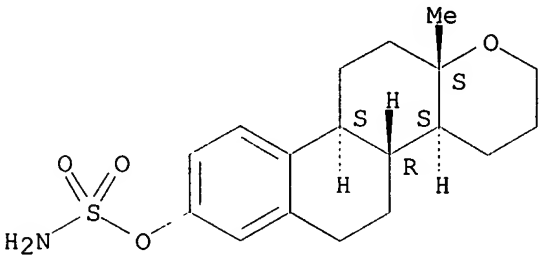
RN 205118-78-1 CAPLUS
CN Sulfamic acid, (4aS,4bR,10bS,12aS)-3,4,4a,4b,5,6,10b,11,12,12a-decahydro-12a-methyl-2-oxo-2H-phenanthro[2,1-b]pyran-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



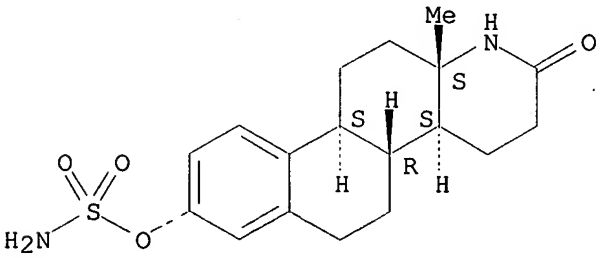
RN 205118-79-2 CAPLUS
CN Sulfamic acid, 3,4,4a,4b,5,6,10b,11,12,12a-decahydro-12a-methyl-2H-phenanthro[2,1-b]pyran-8-yl ester, [4aS-(4a.alpha.,4b.beta.,10b.alpha.,12a.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 205118-80-5 CAPLUS
CN Sulfamic acid, (4aS,4bR,10bS,12aS)-1,2,3,4,4a,4b,5,6,10b,11,12,12a-dodecahydro-12a-methyl-2-oxonaphtho[2,1-f]quinolin-8-yl ester (9CI) (CA INDEX NAME)

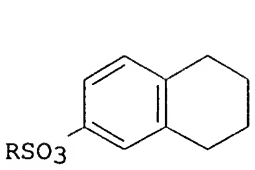
Absolute stereochemistry.



L54 ANSWER 33 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:169721 CAPLUS
DOCUMENT NUMBER: 128:180574
TITLE: Steroidal and Nonsteroidal Sulfamates As Potent **Inhibitors** of **Steroid Sulfatase**
AUTHOR(S): Woo, L. W. Lawrence; Howarth, Nicola M.; Purohit, Atul; Hejaz, Hatem A. M.; Reed, Michael J.; Potter, Barry V. L.
CORPORATE SOURCE: Department of Medicinal Chemistry School of Pharmacy and Pharmacology, University of Bath, Bath, BA2 7AY, UK
SOURCE: J. Med. Chem. (1998), 41(7), 1068-1083
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society

Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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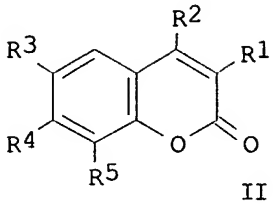

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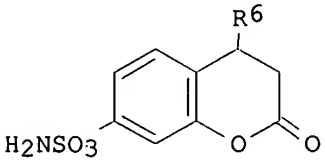
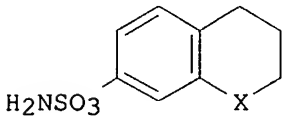


III



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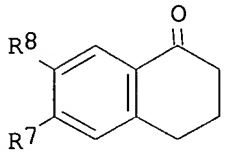

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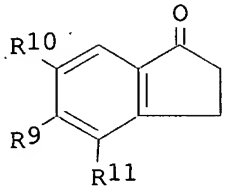


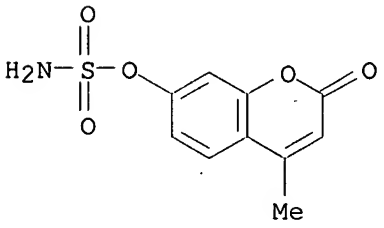
VI

AB Synthetic routes to potent steroidal and nonsteroidal sulfamate-based active site-directed inhibitors of the enzyme steroid sulfatase, a topical target in the treatment of postmenopausal women with hormone-dependent breast cancer, are described. Novel compds. were examd. for estrone sulfatase (E1-STS) inhibition in intact MCF-7 breast cancer cells and placental microsomes. Reaction of the sodium salt of estrone with sulfamoyl chloride gave estrone 3-O-sulfamate (EMATE) which inhibits E1-STS activity potently (>99% at 0.1 .mu.M in intact MCF-7 cells, IC50 = 65 pM) in a time- and concn.-dependent manner, suggesting that EMATE is an active site-directed inhibitor. EMATE is also active in vivo orally. 5,6,7,8-Tetrahydronaphthalene 2-O-sulfamate (I; R = NH2) and its N-methylated derivs. (I; R = NHMe, NMe2) were synthesized, and I (R = NH2) inhibits the E1-STS activity in intact MCF-7 cells by 79% at 10 .mu.M. 4-Methylcoumarin 7-O-sulfamate (COUMATE) and its derivs. II (R1 = R3 = R5 = H, R2 = H, CF3, R4 = OSO2NH2; R1 = R2 = R5 = Me, R2 = H, R4 = OSO2NH2) were prepd. to extend this series of nonsteroidal inhibitors, and COUMATE reduces the E1-STS activity in placental microsomes by >90% at 10 .mu.M. Although the orally active COUMATE is less potent than EMATE as an active site-directed inhibitor, it has the important advantage of being nonestrogenic. Analogs II (R1 = R4 = R5 = H, R2 = Me, R3 = OSO2NH2; R1 = R2 = R5 = H, R3 = OMe, R4 = OSO2NH2; R1 = R2 = Me, R3 = R5 = H, R4 = OSO2NH2; R1 = R5 = H, R2 = Me, R3 = OSO2NH2; R4 = OH, OSO2NH2), III (R6 = Me, H) and IV (X = O, CH2) of COUMATE were synthesized to study its structure-activity relationships, and sulfamates of tetralones V (R7 = OSO2NH2, R8 = H; R7 = H, R8 = OSO2NH2) and indanones VI (R9 = R10 = H, R11 = OSO2NH2; R9 = OSO2NH2, R10 = R11 = H; R9 = R11 = H, R10 = OSO2NH2) were also prepd. While most of these compds. were found to inhibit E1-STS activity less effectively than COUMATE, one analog, 3,4-dimethylcoumarin 3-O-sulfamate (II; R1 = R2 = Me, R3 = R5 = H, R4 = OSO2NH2), was found to

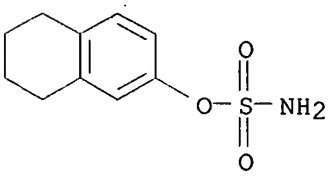
be some 12-fold more potent than COUMATE as an E1-STS inhibitor in intact MCF-7 cells [IC50 = 30 nM for II (R1 = R2 = Me, R3 = R5 = H, R4 = OSO2NH2), cf. 380 nM for COUMATE]. Hence, highly potent sulfamate-based inhibitors of steroid sulfatase, such as EMATE, COUMATE, and II (R1 = R2 = Me, R3 = R5 = H, R4 = OSO2NH2), possess therapeutic potential and will allow the importance of estrogen formation in breast tumors via the E1-STS pathway to be assessed. A pharmacophore for active site-directed sulfatase inhibition is proposed.

IT **136167-05-0P**, 7-Hydroxy-4-methylcoumarin O-sulfamate
154532-55-5P 175694-72-1P, 7-Hydroxycoumarin sulfamate
175694-73-2P, 7-Hydroxy-3,4,8-trimethylcoumarin sulfamate
175694-74-3P, 7-Hydroxy-4-(trifluoromethyl)coumarin sulfamate
203388-98-1P, 6-Hydroxy-4-methylcoumarin sulfamate
203388-99-2P, 7-Hydroxy-6-methoxycoumarin sulfamate
203389-00-8P, 3,4-Dimethyl-7-hydroxycoumarin sulfamate
203389-01-9P, 6,7-Dihydroxy-4-methylcoumarin 6-O-sulfamate
203389-02-0P, 6,7-Dihydroxy-4-methylcoumarin di-O-sulfamate
203389-03-1P, 7-Hydroxy-4-methyl-3,4-dihydrocoumarin O-sulfamate
203389-04-2P, 7-Hydroxy-3,4-dihydrocoumarin O-sulfamate
203389-08-6P, Chroman-7-ol O-sulfamate **203389-10-0P**,
1,2-Dihydronaphthalen-7-ol O-sulfamate **203389-11-1P**
203389-12-2P 203389-13-3P 203389-14-4P
203389-15-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nonsteroidal sulfamate-based active site-directed **steroid sulfatase inhibitors**)

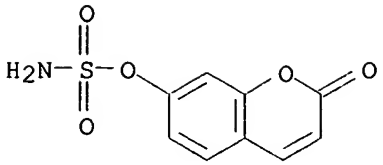
RN 136167-05-0 CAPLUS
CN Sulfamic acid, 4-methyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



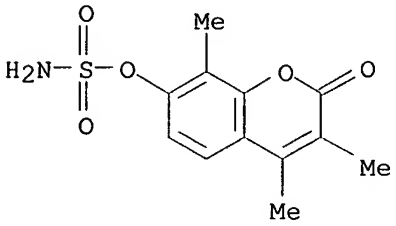
RN 154532-55-5 CAPLUS
CN Sulfamic acid, 5,6,7,8-tetrahydro-2-naphthalenyl ester (9CI) (CA INDEX NAME)



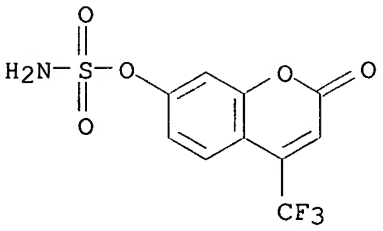
RN 175694-72-1 CAPLUS
CN Sulfamic acid, 2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



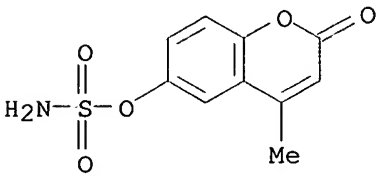
RN 175694-73-2 CAPLUS
CN Sulfamic acid, 3,4,8-trimethyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



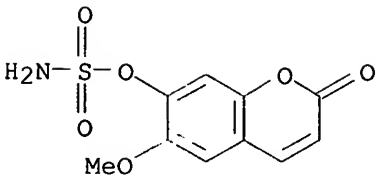
RN 175694-74-3 CAPLUS
CN Sulfamic acid, 2-oxo-4-(trifluoromethyl)-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



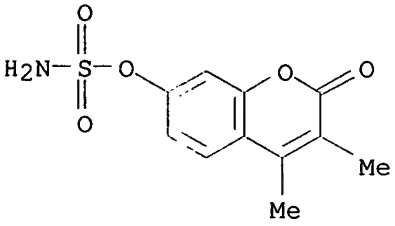
RN 203388-98-1 CAPLUS
CN Sulfamic acid, 4-methyl-2-oxo-2H-1-benzopyran-6-yl ester (9CI) (CA INDEX NAME)



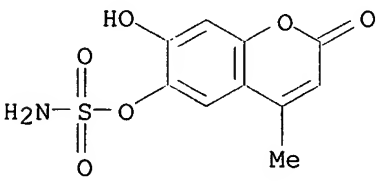
RN 203388-99-2 CAPLUS
CN Sulfamic acid, 6-methoxy-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



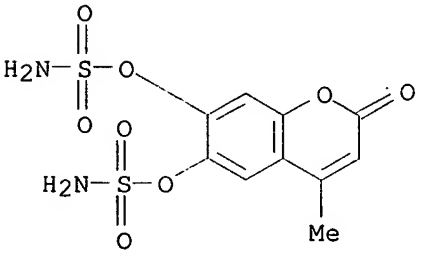
RN 203389-00-8 CAPLUS
CN Sulfamic acid, 3,4-dimethyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



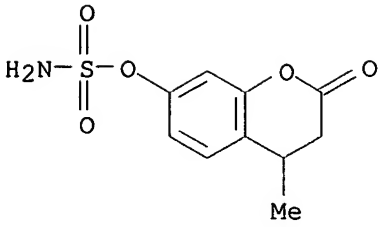
RN 203389-01-9 CAPLUS
CN Sulfamic acid, 7-hydroxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl ester (9CI) (CA INDEX NAME)



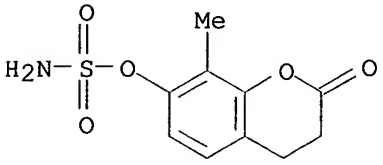
RN 203389-02-0 CAPLUS
CN Sulfamic acid, 4-methyl-2-oxo-2H-1-benzopyran-6,7-diyl ester (9CI) (CA INDEX NAME)



RN 203389-03-1 CAPLUS
CN Sulfamic acid, 3,4-dihydro-4-methyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



RN 203389-04-2 CAPLUS
CN Sulfamic acid, 3,4-dihydro-8-methyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



RN 203389-08-6 CAPLUS
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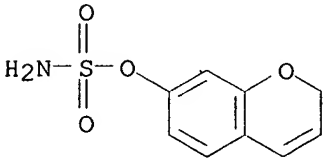
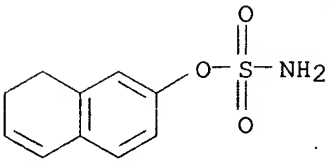
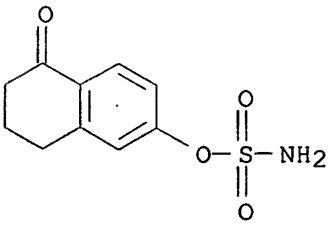


RN 203389-10-0 CAPLUS
CN Sulfamic acid, 7,8-dihydro-2-naphthalenyl ester (9CI) (CA INDEX NAME)



RN 203389-11-1 CAPLUS
CN Sulfamic acid, 5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl ester (9CI) (CA INDEX NAME)



RN 203389-12-2 CAPLUS
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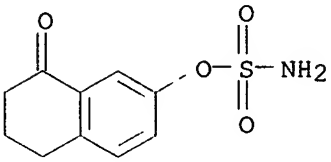

RN 203389-13-3 CAPLUS
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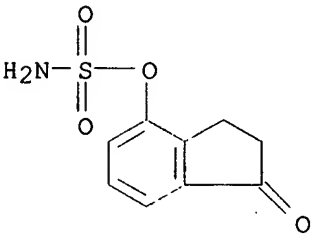

RN 203389-14-4 CAPLUS
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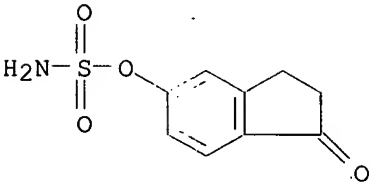
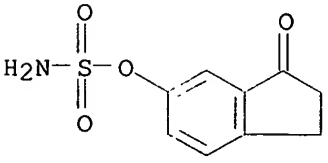


RN 203389-15-5 CAPLUS
CN Sulfamic acid, 2,3-dihydro-3-oxo-1H-inden-5-yl ester (9CI) (CA INDEX NAME)



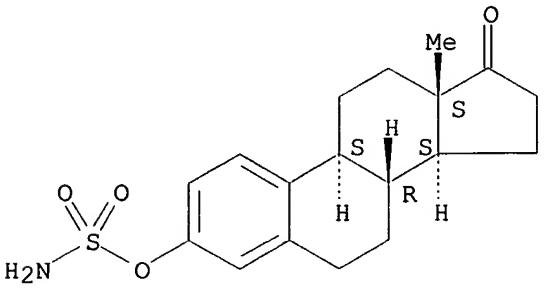
IT **9025-62-1, Steroid sulfatase**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(nonsteroidal sulfamate-based active site-directed **steroid sulfatase inhibitors**)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **148672-09-7P**, Estrone O-sulfamate **148672-10-0P**, Estrone O-(N-methylsulfamate) **148672-11-1P**, Estrone O-(N,N-dimethylsulfamate) **154532-56-6P 154532-57-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(nonsteroidal sulfamate-based active site-directed **steroid sulfatase inhibitors**)

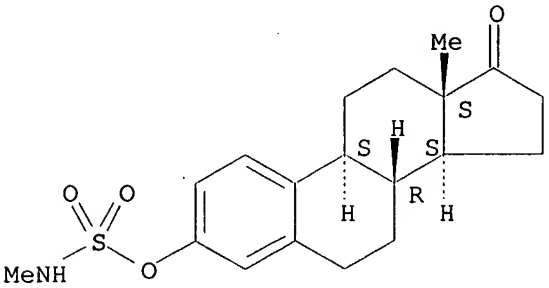
RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



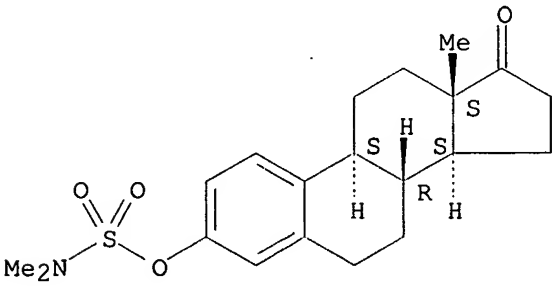
RN 148672-10-0 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[[(methylamino)sulfonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

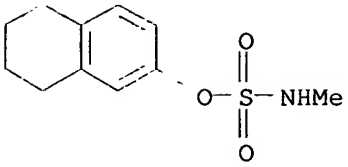


RN 148672-11-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[[(dimethylamino)sulfonyl]oxy]- (9CI) (CA INDEX NAME)

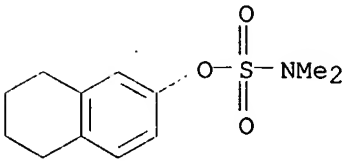
Absolute stereochemistry.



RN 154532-56-6 CAPLUS
CN Sulfamic acid, methyl-, 5,6,7,8-tetrahydro-2-naphthalenyl ester (9CI) (CA INDEX NAME)



RN 154532-57-7 CAPLUS
CN Sulfamic acid, dimethyl-, 5,6,7,8-tetrahydro-2-naphthalenyl ester (9CI) (CA INDEX NAME)



L54 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:623043 CAPLUS
DOCUMENT NUMBER: 127:243636
TITLE: Sequential estrogen/progesterone antagonist combination for hormone replacement therapy
INVENTOR(S): Chwalisz, Kristof
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany; Chwalisz, Kristof
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733589	A1	19970918	WO 1997-DE580	19970311
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19610635	A1	19970918	DE 1996-19610635	19960311
CA 2248841	AA	19970918	CA 1997-2248841	19970311
AU 9726911	A1	19971001	AU 1997-26911	19970311
EP 889727	A1	19990113	EP 1997-920535	19970311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
BR 9708162	A	19990727	BR 1997-8162	19970311
JP 2000506175	T2	20000523	JP 1997-532200	19970311
NO 9804166	A	19980910	NO 1998-4166	19980910

PRIORITY APPLN. INFO.: DE 1996-19610635 19960311
WO 1997-DE580 19970311

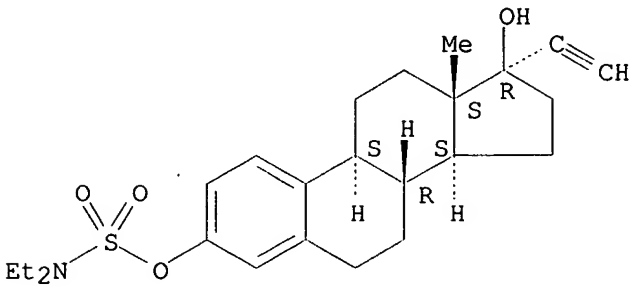
AB A combination of individual metering units of an estrogen and individual metering units of a competitive progesterone antagonist for the sep.

sequential administration thereof, and a pack contg. these units, are provided for hormone replacement therapy. Administration of the progesterone antagonist over a period subsequent to the estrogen administration inhibits the estrogen-induced **endometrial** proliferation (which may lead to **endometrial** carcinoma) and decreases the amt. of estrogen-dependent irregular bleeding, but does not interfere with the protective effect on estrogen on the bones. The estrogen is typically administered orally, transdermally, or vaginally for 28-112 days, followed by a period of progesterone antagonist administration for 4-30 days.

IT **28790-26-3 52310-88-0 55561-09-6**
55561-45-0 91490-65-2 148672-09-7
148672-11-1 172377-51-4 172377-52-5, Estradiol 3-sulfamate
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(sequential estrogen/progesterone antagonist combination for hormone replacement therapy)

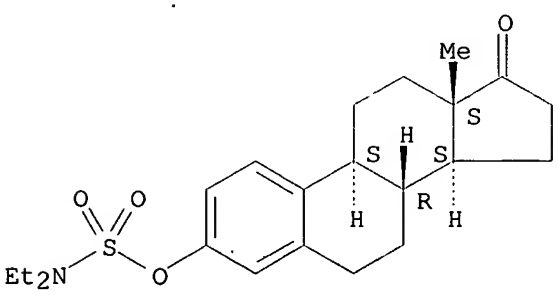
RN 28790-26-3 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-20-yne-3,17-diol, 3-(diethylsulfamate), (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



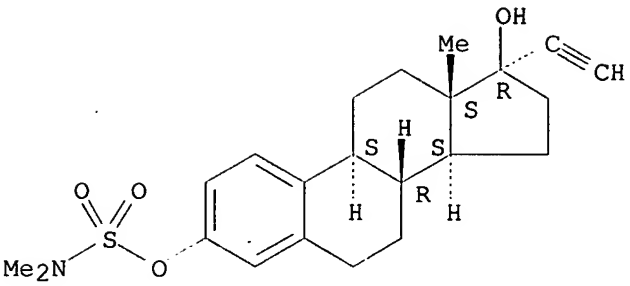
RN 52310-88-0 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[[(diethylamino)sulfonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



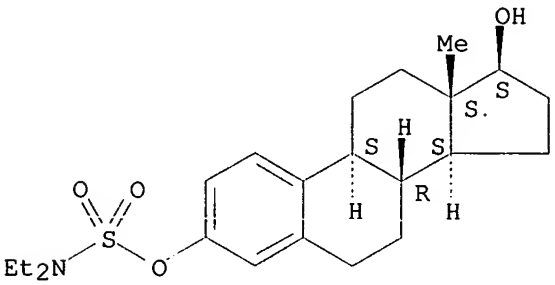
RN 55561-09-6 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-20-yne-3,17-diol, 3-(dimethylsulfamate), (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



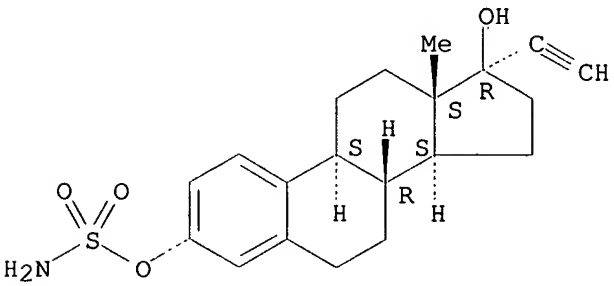
RN 55561-45-0 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 3-(diethylsulfamate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



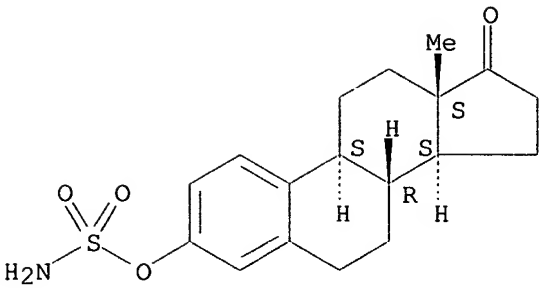
RN 91490-65-2 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-20-yne-3,17-diol, 3-sulfamate, (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



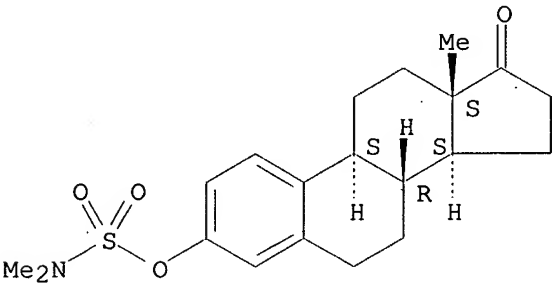
RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



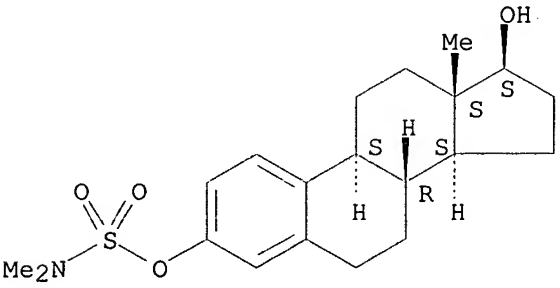
RN 148672-11-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[[(dimethylamino)sulfonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



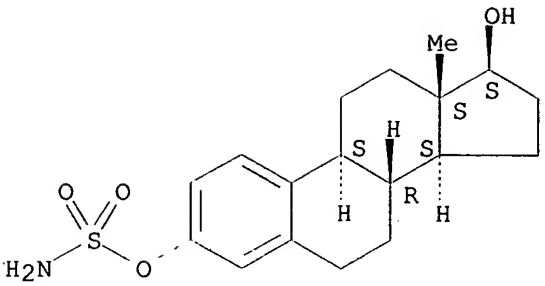
RN 172377-51-4 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 3-(dimethylsulfamate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 172377-52-5 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 3-sulfamate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L54 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:658347 CAPLUS
DOCUMENT NUMBER: 126:528
TITLE: In vivo activity of 4-methylcoumarin-7-O-sulfamate, a nonsteroidal, nonestrogenic **steroid sulfatase inhibitor**
AUTHOR(S): Purohit, Atul; Woo, Lawrence W. L.; Singh, Anita; Winterborn, Claire J.; Potter, Barry V. L.; Reed, Michael J.
CORPORATE SOURCE: Unit Metabolic Med., Imperial Coll. Sch. Med. St. Mary's, London, W2 1PG, UK
SOURCE: Cancer Res. (1996), 56(21), 4950-4955
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

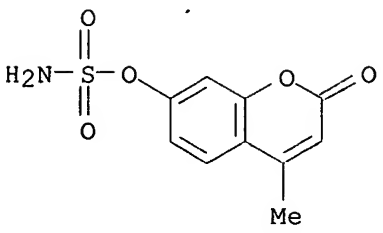
AB Because nonsteroidal steroid sulfatase inhibitors may offer some advantage for use in the treatment of breast cancer, 4-methylcoumarin-7-O-sulfamate (COUMATE) was synthesized and shown to be active in vitro. In this study, in vitro and in vivo techniques were used to confirm that COUMATE, in contrast to the steroidal steroid sulfatase inhibitor estrone-3-O-sulfamate, is devoid of estrogenic activity. COUMATE did not stimulate the growth of MCF-7 breast cancer cells or uteri of ovariectomized rats, in contrast to estrone-3-O-sulfamate. COUMATE was orally active in vivo and after multiple dosing (10 mg/kg/day for 7 days) inhibited liver estrone sulfatase activity by 85%. Seven days after single or multiple dosing with COUMATE, liver estrone sulfatase activity was almost fully restored. The measurement of estrone sulfatase activity in WBCs revealed a degree of inhibition similar to that detected in liver samples. COUMATE was able to completely block the ability of estrone sulfate to stimulate uterine growth in ovariectomized rats. The development of a potent nonsteroidal, nonestrogenic steroid sulfatase inhibitor should allow the therapeutic potential of this type of therapy to be evaluated.

IT **9025-62-1, Dehydroepiandrosterone sulfatase**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(synthesis and in vivo activity of 4-methylcoumarin-7-O-sulfamate as nonsteroidal nonestrogenic **steroid sulfatase inhibitor** and potential **neoplasm inhibitor**)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

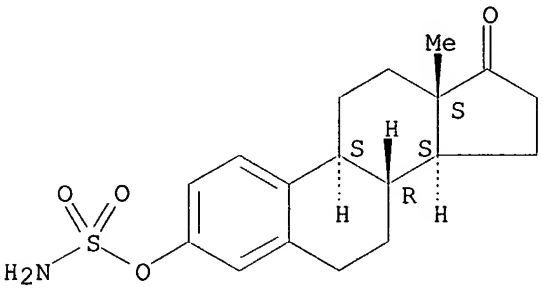
IT **136167-05-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and in vivo activity of 4-methylcoumarin-7-O-sulfamate as nonsteroidal nonestrogenic **steroid sulfatase inhibitor** and potential **neoplasm inhibitor**)
RN 136167-05-0 CAPLUS

CN Sulfamic acid, 4-methyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



IT **148672-09-7**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synthesis and in vivo activity of 4-methylcoumarin-7-O-sulfamate as nonsteroidal nonestrogenic **steroid sulfatase inhibitor** in comparison with estrone O-sulfamate)
RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L54 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:657063 CAPLUS
DOCUMENT NUMBER: 125:317391
TITLE: Nonsteroidal sulfatase inhibitor compounds and prophylactic and therapeutic use for estrogen-dependent diseases
INVENTOR(S): Li, Pui-kai
PATENT ASSIGNEE(S): Duquesne University of the Holy Ghost, USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5567831	A	19961022	US 1995-516021	19950816
CA 2229554	AA	19970227	CA 1996-2229554	19960815
WO 9706793	A1	19970227	WO 1996-US13213	19960815

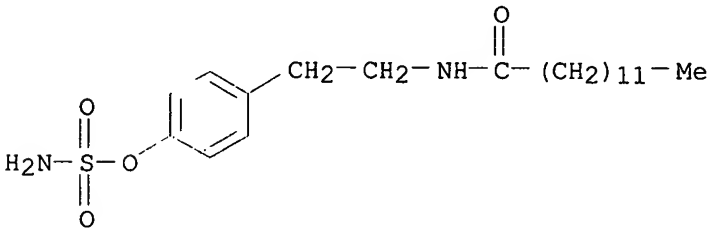
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
AU 9667247 A1 19970312 AU 1996-67247 19960815
EP 845985 A1 19980610 EP 1996-927421 19960815
EP 845985 B1 20000614
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI
JP 11510813 T2 19990921 JP 1996-509468 19960815
AT 193888 E 20000615 AT 1996-927421 19960815
PRIORITY APPLN. INFO.: US 1995-516021 A 19950816
WO 1996-US13213 W 19960815
OTHER SOURCE(S): CASREACT 125:317391; MARPAT 125:317391

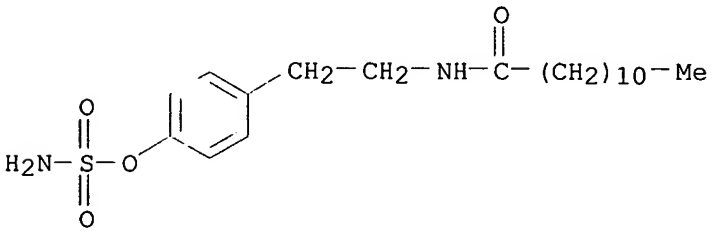
AB Compds. are disclosed which are useful as nonsteroidal sulfatase inhibitors. The compds. comprise p-[(R1)(R2)NO2SO]Ph(CH2)mNHC(O)(CH2)nCH3 (R1, R2 = H, C1-6 alkyl; m = 0-4; n = 5-14). Also disclosed are methods of treating a patient prophylactically to provide protection as an estrogen-depleting agent for estrogen-dependent illnesses and treating a patient therapeutically for estrogen-dependent diseases. A method of making the nonsteroidal sulfatase inhibitors is also disclosed.

IT **183560-60-3P 183560-61-4P 183560-62-5P**
183560-63-6DP, N-alkanoyl derivs. **183560-64-7P**
183560-65-8P 183560-66-9P 183560-67-0P
183560-68-1P 183560-69-2P
RL: **BAC (Biological activity or effector, except adverse)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(nonsteroidal sulfatase inhibitor prepn. and prophylactic and therapeutic use for estrogen-dependent diseases)

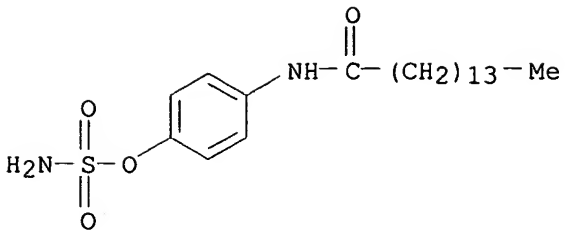
RN 183560-60-3 CAPLUS
CN Sulfamic acid, 4-[2-[(1-oxotridecyl)amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



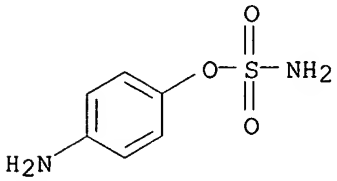
RN 183560-61-4 CAPLUS
CN Sulfamic acid, 4-[2-[(1-oxododecyl)amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



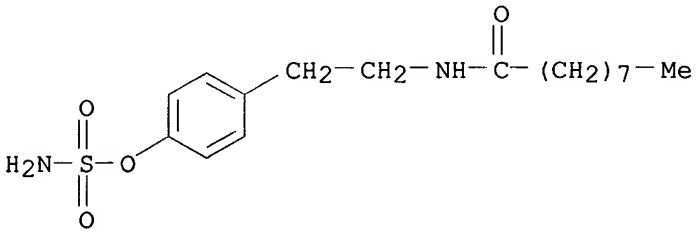
RN 183560-62-5 CAPLUS
CN Sulfamic acid, 4-[(1-oxopentadecyl)amino]phenyl ester (9CI) (CA INDEX NAME)



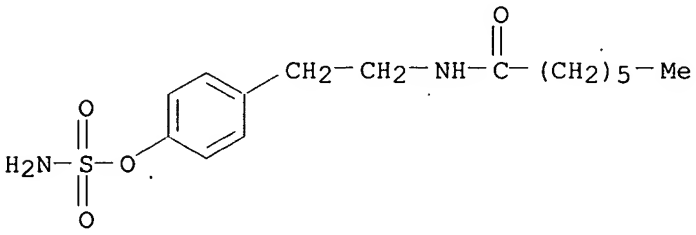
RN 183560-63-6 CAPLUS
CN Sulfamic acid, 4-aminophenyl ester (9CI) (CA INDEX NAME)



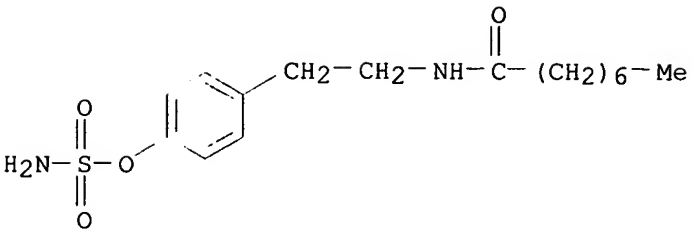
RN 183560-64-7 CAPLUS
CN Sulfamic acid, 4-[2-[(1-oxononyl)amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



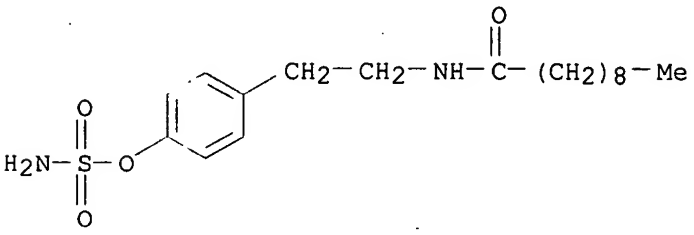
RN 183560-65-8 CAPLUS
CN Sulfamic acid, 4-[2-[(1-oxoheptyl)amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



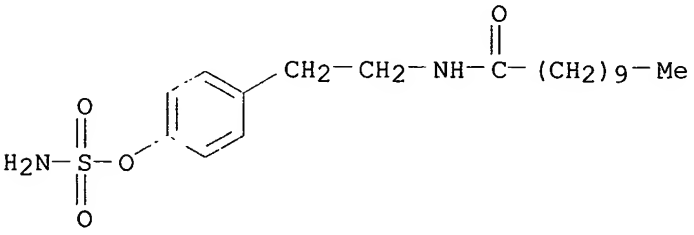
RN 183560-66-9 CAPLUS
CN Sulfamic acid, 4-[2-[(1-oxooctyl)amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



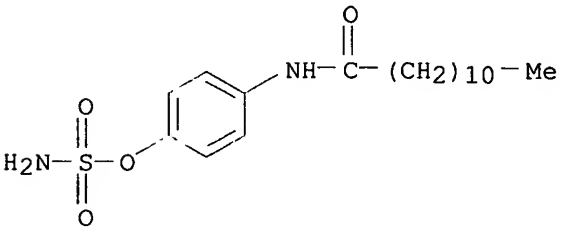
RN 183560-67-0 CAPLUS
CN Sulfamic acid, 4-[2-[(1-oxodecyl)amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



RN 183560-68-1 CAPLUS
CN Sulfamic acid, 4-[2-[(1-oxoundecyl)amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)



RN 183560-69-2 CAPLUS
CN Sulfamic acid, 4-[(1-oxododecyl)amino]phenyl ester (9CI) (CA INDEX NAME)



L54 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:590907 CAPLUS
DOCUMENT NUMBER: 125:294030
TITLE: Methods of effecting memory enhancement mediated by **steroid sulfatase inhibitors**
INVENTOR(S): Johnson, David A.; Li, Pui-kai; Rhodes, Michael E.
PATENT ASSIGNEE(S): Duquesne University of the Holy Ghost, USA

Searched by Barb O'Bryen, STIC 308-4291

SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5556847	A	19960917	US 1994-330534	19941027

OTHER SOURCE(S): MARPAT 125:294030

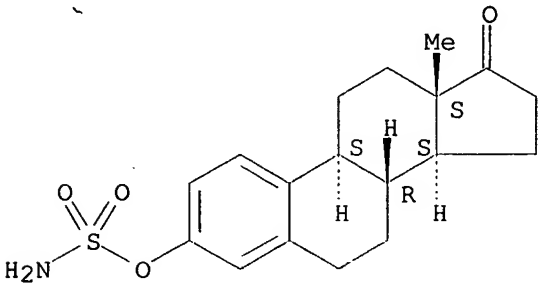
AB This invention discloses a method for treating a patient for an illness selected from the group consisting of amnesia, head injuries, **Alzheimer**'s disease, epileptic dementia, presenile dementia, post-traumatic dementia, senile dementia, vascular dementia and post-stroke dementia or individuals otherwise seeking memory enhancement. The method comprises providing derivs. of estrone, dehydroepiandrosterone, estradiol, estradiol ester, and pregnenolone. The invention also discloses the enhancement of memory by the steroid sulfatase inhibitors acting synergistically with the naturally occurring neuro-steroids dehydroepiandrosterone sulfate (DHEAS) and pregnenolone sulfate. Estrone-3-sulfamate (I) was prepd. and tested with rats to det. its activity in enhancing memory; the daily effective dosage was 10 mg/kg. I was also tested to show its potentiating effect in the reversal of scopolamine-induced amnesia by DHEAS.

IT **9025-62-1, Steroid sulfatase**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(**inhibitors; steroid sulfatase inhibitors** for memory enhancement)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **148672-09-7P**, Estrone-3-sulfamate
RL: **BAC (Biological activity or effector, except adverse)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(**steroid sulfatase inhibitors** for memory enhancement)
RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L54 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:446820 CAPLUS
DOCUMENT NUMBER: 125:80528
TITLE: Steroid sulfatase assay
INVENTOR(S): Reed, Michael John; Purohit, Atul

Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Imperial College of Science Technology and Medicine, UK
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9615257	A2	19960523	WO 1995-GB2638	19951110
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9538510	A1	19960606	AU 1995-38510	19951110
EP 791073	A2	19970827	EP 1995-936656	19951110
EP 791073	B1	20010404		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 200306	E	20010415	AT 1995-936656	19951110
ES 2159324	T3	20011001	ES 1995-936656	19951110
US 5891620	A	19990406	US 1997-836302	19970602

PRIORITY APPLN. INFO.: GB 1994-22777 A 19941111
WO 1995-GB2638 W 19951110

AB An assay is described that comprises detg. the absence or presence of steroid sulfatase activity. In a preferred embodiment, the assay uses white blood cells. The assay can be used to det. if an agent is an in vitro and/or in vivo steroid sulfatase inhibitor.

IT **9025-62-1, Steroid sulfatase**
RL: ANT (Analyte); BPR (Biological process); ANST (Analytical study); BIOL (Biological study); PROC (Process)
(**steroid sulfatase** activity detn. and **inhibitor** identification)

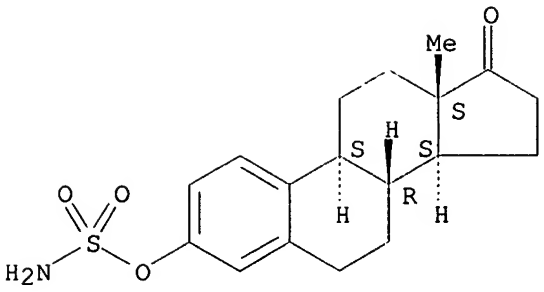
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **148672-09-7**, Estrone 3-O-sulfamate **148672-11-1**, Estrone-3-N,N-dimethylsulfamate
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(**steroid sulfatase** activity detn. and **inhibitor** identification)

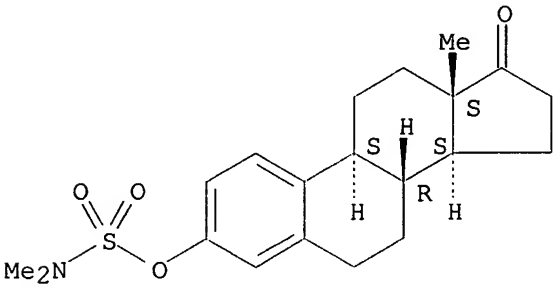
RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 148672-11-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[[(dimethylamino)sulfonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



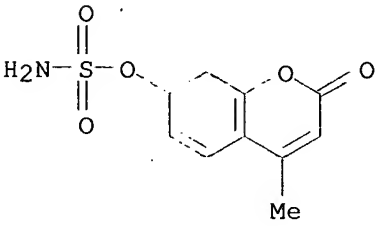
L54 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:148347 CAPLUS
DOCUMENT NUMBER: 124:278187
TITLE: Active Site Directed Inhibition of Estrone Sulfatase by Nonsteroidal Coumarin Sulfamates
AUTHOR(S): Woo, L. W. Lawrence; Purohit, Atul; Reed, Michael J.; Potter, Barry V. L.
CORPORATE SOURCE: School of Pharmacy and Pharmacology, University of Bath, Bath, BA2 7AY, UK
SOURCE: J. Med. Chem. (1996), 39(7), 1349-51
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Estrogens are the major mitogens involved in promoting the growth of tumors in endocrine-dependent tissues, such as the breast and **endometrium**. There is now convincing evidence that the hydrolysis of estrone sulfate to estrone by estrone sulfatase (E1-STS) is the major source of estrogen in breast and **endometrial** tumors. Estrone 3-O-sulfamate is the most potent active site-directed inactivator of E1-STS synthesized to date. However, recent studies have shown, unexpectedly, that this powerful inhibitor and its estradiol congener are highly estrogenic; and that there is a strong likelihood of estrone being released during sulfatase inhibition by EMATE. This prompted the authors to develop non-steroidal EMATE-like inhibitors and the sulfamates of 7-hydroxycoumarin and its analogs proved to be the best candidates. While all free parent coumarins were devoid of E1-STS inhibitory activity, their sulfamates inhibited the enzyme in intact MCF-7 cells in a dose-dependent manner with similar potencies. The best inhibitor in this series, 4-methylcoumarin-7-O-sulfamate inhibited E1-STS by 93.3% at 10 .mu.M with an IC50 of 380 nM in intact MCF-7 breast cancer cells. This inactivation was shown to be time- and concn.-dependent as for EMATE. It also

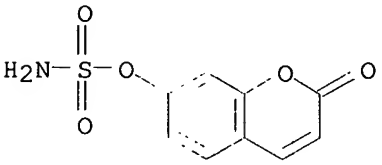
inhibited placental microsomal dehydroepiandrosterone sulfatase by 93.6% at 10 .mu.M. 4-Methylcoumarin-7-O-sulfamate is not estrogenic as indicated by the lack of any significant increase in the uterine wt. in treated ovariectomized rats and preliminary data also demonstrate its potent oral activity in rats. Coumarin sulfamates thus represent key lead compds. for the optimization of non-steroidal sulfatase inhibition. Further development of these inhibitors may be useful for treatment of endocrine-dependent cancers and other conditions such as autoimmune diseases.

IT **136167-05-0P 175694-72-1P 175694-73-2P 175694-74-3P**
RL: **BAC (Biological activity or effector, except adverse)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(active site directed inhibition of estrone sulfatase by nonsteroidal coumarin sulfamates without estrogenic activity)

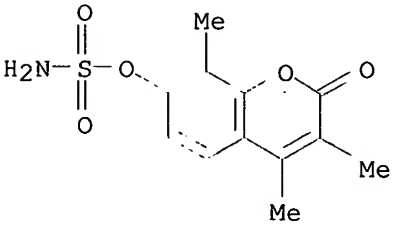
RN 136167-05-0 CAPLUS
CN Sulfamic acid, 4-methyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



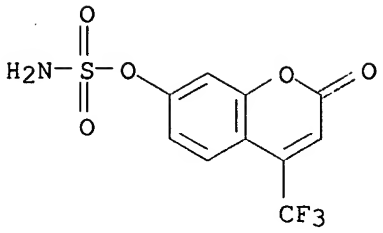
RN 175694-72-1 CAPLUS
CN Sulfamic acid, 2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



RN 175694-73-2 CAPLUS
CN Sulfamic acid, 3,4,8-trimethyl-2-oxo-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



RN 175694-74-3 CAPLUS
CN Sulfamic acid, 2-oxo-4-(trifluoromethyl)-2H-1-benzopyran-7-yl ester (9CI) (CA INDEX NAME)



L54 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:967424 CAPLUS
DOCUMENT NUMBER: 124:1572
TITLE: Use of estrone derivatives as **steroid sulfatase inhibitors**
INVENTOR(S): Foulkes, Roland; Emtage, John Spencer; Bodmer, Mark William; Wales, Martin Rae; Rook, Graham Arthur William
PATENT ASSIGNEE(S): Celltech Therapeutics Ltd., UK; University College London
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9526717	A1	19951012	WO 1995-GB780	19950405
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9521129	A1	19951023	AU 1995-21129	19950405
EP 758230	A1	19970219	EP 1995-913919	19950405
R: DE, ES, FR, GB, IT				
US 6013642	A	20000111	US 1997-721987	19970414

PRIORITY APPLN. INFO.:
GB 1994-6715 19940405
GB 1994-10621 19940526
GB 1994-25759 19941220
WO 1995-GB780 19950405

AB A therapeutic method for revealing an endogenous glucocorticoid-like effect in human which comprises the administration of estrone 3-O-(N,N-dimethyl)sulfamate, estrone 3-methylphosphonate, and estrone 3-O-sulfamate as steroid sulfatase inhibitors which prevent the normal physiol. effect of DHEA on immune and(or) inflammatory responses is disclosed. These estrone derivs. were useful as immunosuppressants and inflammation inhibitors.

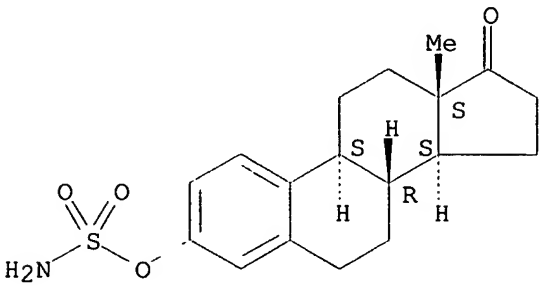
IT **9025-62-1, Steroid sulfatase**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(estrone derivs. as **steroid sulfatase inhibitors** in immunosuppression)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **148672-09-7**, Estrone 3-O-sulfamate **148672-11-1**, Estrone 3-O-(N,N-dimethyl)sulfamate

RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(estrone derivs. as **steroid sulfatase inhibitors** in immunosuppression)

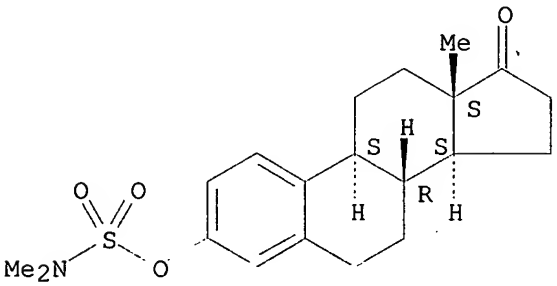
RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 148672-11-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[[(dimethylamino)sulfonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



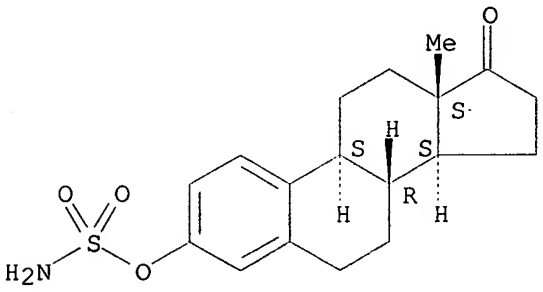
L54 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:938956 CAPLUS
DOCUMENT NUMBER: 124:45948
TITLE: In vivo **inhibition** of estrone sulfatase and **dehydroepiandrosterone sulfatase** by estrone-3-O-sulfamate
AUTHOR(S): Purohit, A.; Williams, G. J.; Roberts, C. J.; Potter, B. V. L.; Reed, M. J.
CORPORATE SOURCE: Imperial College Science, St. Mary's Hospital Medical School, London, W2 1PG, UK
SOURCE: Int. J. Cancer (1995), 63(1), 106-11
CODEN: IJCNAW; ISSN: 0020-7136
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Many tumors in endocrine-sensitive tissues, such as the breast and **endometrium**, are hormone-dependent and the hydrolysis of estrone sulfate (EIS) to estrone by estrone sulfatase (E1-STS) is a major source of estrogen in such tumors. Estrone-3-O-sulfamate (EMATE) has been shown to be a potent E1-STS inhibitor in vitro, and in this study its ability to inhibit enzyme activity in vivo was examd. EMATE was initially administered to female rats for 7 days, after which liver E1-STS activity was measured. As EMATE also inhibits a related sulfatase in vitro, dehydroepiandrosterone sulfatase (DHA-STS), its effect on the activity of

this enzyme in vivo was also investigated. DHA-STS has a pivotal role in regulating the synthesis of another steroid with potent estrogenic properties, androstenediol. Administration of EMATE almost completely inhibited liver E1-STS (99%) and DHA-STS (99%) activities and was active when given by the oral or s.c. routes. After a single dose of EMATE or following the cessation of multiple doses for 10 days, liver E1-STS activity remained inhibited (>95%) for up to 7 and 10 days, resp. Other compds., such as 4-hydroxytamoxifen and the "pure" anti-estrogen ICI 182,780, which are reported to inhibited E1-STS activity in vitro, did not inhibit activity in vivo. In a preliminary study, EMATE, when injected over a 12-day period, effectively reduced the growth of E1S-stimulated nitrosomethyl-urea-induced mammary tumors in ovariectomized rats and inhibited tumor sulfatase activity in treated animals.

IT **148672-09-7**, Estrone-3-O-sulfamate
RL: **BAC (Biological activity or effector, except adverse)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(estrone sulfamate **inhibition** of estrone sulfatase and **dehydroepiandrosterone sulfatase** in relation to mammary and other tumor treatment)
RN 148672-09-7 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT **9025-62-1, Dehydroepiandrosterone sulfatase**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(estrone sulfamate **inhibition** of estrone sulfatase and **dehydroepiandrosterone sulfatase** in relation to mammary and other tumor treatment)
RN 9025-62-1 CAPLUS
CN Sulfatase, sterol (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L54 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:534139 CAPLUS
DOCUMENT NUMBER: 121:134139
TITLE: Preparation of pharmaceutically active bicyclic-heterocyclic amines
INVENTOR(S): Ayer, Donald E.; Bundy, Gordon L.; Jacobsen, Eric Jon
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

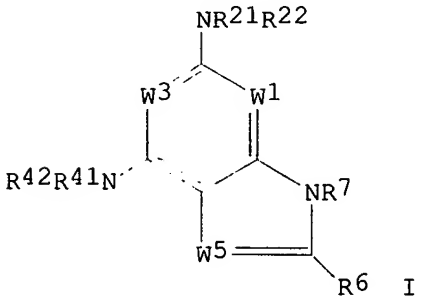
WO 9320078		A1	19931014	WO 1993-US2188	19930316
	W:	AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN			
	RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG			
AU 9339174		A1	19931108	AU 1993-39174	19930316
AU 675932		B2	19970227		
EP 633886		A1	19950118	EP 1993-908303	19930316
EP 633886		B1	20001018		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
HU 70954		A2	19951128	HU 1994-2829	19930316
JP 08502721		T2	19960326	JP 1993-517457	19930316
RU 2103272		C1	19980127	RU 1994-42466	19930316
PL 175347		B1	19981231	PL 1993-305430	19930316
PL 175327		B1	19981231	PL 1993-317810	19930316
AT 197051		E	20001115	AT 1993-908303	19930316
ES 2150941		T3	20001216	ES 1993-908303	19930316
NO 9403655		A	19941205	NO 1994-3655	19940930
FI 9404602		A	19941003	FI 1994-4602	19941003
US 5502187		A	19960326	US 1994-317934	19941003

PRIORITY APPLN. INFO.:

US 1992-863646	A2	19920403
WO 1993-US2188	A	19930316
US 1993-128957	B1	19930929
US 1994-222995	B1	19940405

OTHER SOURCE(S): MARPAT 121:134139

GI



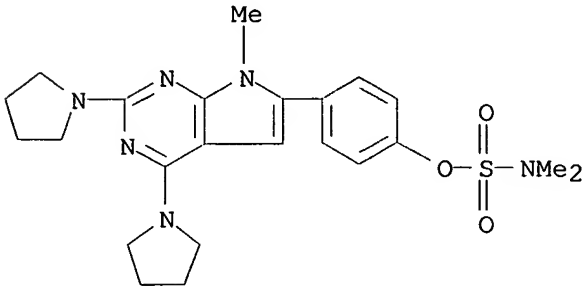
I

AB Title compds. [I; W1, W3 = N, CH; W5 = N, CR5; R5, R6, R7 = H, (substituted) alkyl, cycloalkyl; R21, R22, R41, R42 = H, alkyl; R21R22N, R41R42N = (substituted) pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, aziridinyl, azetidinyl, imidazolyl, pyrazolyl, triazolyl, tetrazolyl, thiomorpholinyl, thiazolidinyl, etc.], were prepd. for treating/preventing spinal trauma, head injury, subarachnoid hemmorhage, stroke, asthma, mucous formation/secretion, muscular dystrophy, adriamycin cardiac toxicity, parkinsonism, **Alzheimer**'s disease, multiple sclerosis, reperfusion damage, shock, burns, inflammatory disease, atherosclerosis, emphysema, lupus, cancer, ulcers, colitis, Crohn's disease, myocardial infarctions, ischemia, migraine, etc. (no data). I may be used similarly to glucocorticoids for treating the above conditions. Thus, 2,4,6-trichloropyrimidine was stirred with MeNH2.HCl and (Me2CH)2NEt in THF to give 2,6-dichloro-4-methylaminopyrimidine. This was refluxed with pyrrolidine to give 4-methylamino-2,6-di-(1-pyrrolidinyl)pyrimidine. The latter was stirred with .alpha.-bromoacetophenone and (Me2CH)2NEt in MeCN to give 6-phenyl-2,4-di-(1-pyrrolidinyl)-7-methyl-7H-pyrrolo[2,3-d]pyrimidine.

IT **157013-07-5P**

RL: **BAC (Biological activity or effector, except adverse)**; SPN

(Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)
RN 157013-07-5 CAPLUS
CN Sulfamic acid, dimethyl-, 4-(7-methyl-2,4-di-1-pyrrolidinyl-7H-pyrrolo[2,3-d]pyrimidin-6-yl)phenyl ester (9CI) (CA INDEX NAME)



L54 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:449735 CAPLUS
DOCUMENT NUMBER: 119:49735
TITLE: Preparation of polycyclic alcohol sulfamate esters as **steroid sulphatase inhibitors**
INVENTOR(S): Reed, Michael John; Potter, Barry Victor Lloyd
PATENT ASSIGNEE(S): Imperial College of Science, Technology and Medicine, UK
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9305064	A1	19930318	WO 1992-GB1587	19920828
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9224905	A1	19930405	AU 1992-24905	19920828
AU 668882	B2	19960523		
BR 9206434	A	19940927	BR 1992-6434	19920828
HU 66097	A2	19940928	HU 1994-583	19920828
EP 641355	A1	19950308	EP 1992-918285	19920828
EP 641355	B1	20000719		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
EP 921130	A2	19990609	EP 1998-204340	19920828
EP 921130	A3	20010905		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE				
EP 928609	A2	19990714	EP 1998-204337	19920828
EP 928609	A3	20011107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE				
JP 2000038341	A2	20000208	JP 1999-211413	19920828
EP 982032	A2	20000301	EP 1999-203449	19920828
EP 982032	A3	20020320		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE				
AT 194843	E	20000815	AT 1992-918285	19920828
ES 2148178	T3	20001016	ES 1992-918285	19920828

JP 2000355542	A2	20001226	JP 2000-163410	19920828
JP 2000355598	A2	20001226	JP 2000-163411	19920828
EP 1099706	A2	20010516	EP 2000-204525	19920828
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE				
JP 3219408	B2	20011015	JP 1993-505032	19920828
NO 9400635	A	19940429	NO 1994-635	19940224
FI 9400903	A	19940420	FI 1994-903	19940225
NO 2000002595	A	20000519	NO 2000-2595	19940429
US 5616574	A	19970401	US 1994-196192	19941227
AU 9658373	A1	19960905	AU 1996-58373	19960705
AU 689043	B2	19980319		
AU 9871952	A1	19980813	AU 1998-71952	19980618
AU 723707	B2	20000907		
AU 9910077	A1	19990304	AU 1999-10077	19990111
AU 717116	B2	20000316		
NO 9905075	A	19940429	NO 1999-5075	19991018
NO 9905076	A	19940429	NO 1999-5076	19991018
AU 726811	B2	20001123	AU 2000-10130	20000106
LV 12618	B	20010720	LV 2000-131	20001003
FI 2000002259	A	20001013	FI 2000-2259	20001013
FI 2000002260	A	20001013	FI 2000-2260	20001013
FI 2000002261	A	20001013	FI 2000-2261	20001013
US 2001018435	A1	20010830	US 2001-794853	20010227

PRIORITY APPLN. INFO.:	GB 1991-18478	A	19910829
	EP 1992-918285	A3	19920828
	EP 1998-204340	A3	19920828
	JP 1993-505032	A3	19920828
	WO 1992-GB1587	A	19920828
	US 1994-196192	A3	19941227
	US 1995-458352	A2	19950602
	WO 1997-GB444	A2	19970217
	WO 1997-GB3352	A2	19971204
	AU 1998-71952	A3	19980618
	US 1998-111927	A3	19980708
	AU 1999-10077	A	19990111
	US 1999-238345	A3	19990127
	US 2000-579163	A3	20000525

OTHER SOURCE(S): MARPAT 119:49735

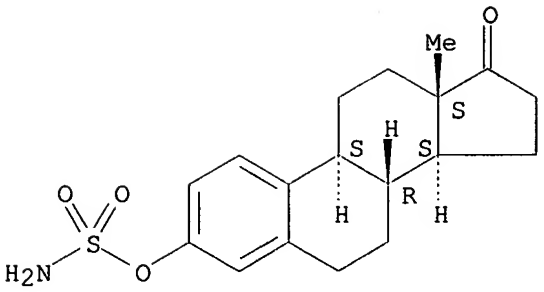
AB Sulfamic acid esters of polycyclic alcs., e.g., R1R2NSO2OR3 [R1, R2 = H, alkyl, alkenyl, cycloalkyl, aryl; R1R2 = (heteroatom-interrupted) alkylene; R3 = polycyclyl], were prepd. Thus, estrone was stirred with sulfamoyl chloride and NaH in DMF at 0.degree. to room temp. to give estrone-3-sulfamate. The latter at 10 mg/kg/day s.c. for 7 days in rats gave 98.4% redn. of liver microsome steroid sulfatase.

IT **148672-09-7P**, Estrone 3-sulfamate **148672-10-0P**, Estrone 3-N-methylsulfamate **148672-11-1P**, Estrone 3-N,N-dimethylsulfamate
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as **steroid sulfatase inhibitor**
)

RN 148672-09-7 CAPLUS

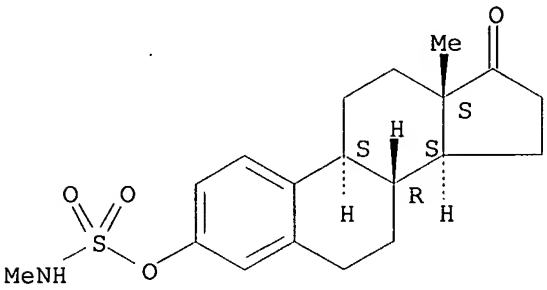
CN Estra-1,3,5(10)-trien-17-one, 3-[(aminosulfonyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



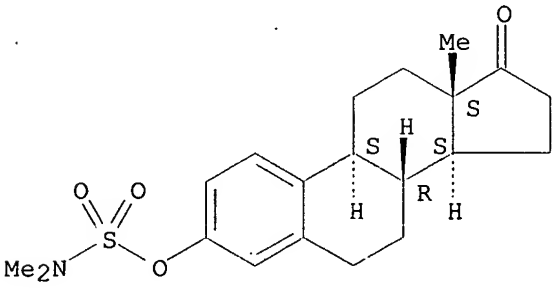
RN 148672-10-0 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[[(methylamino)sulfonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 148672-11-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-[[(dimethylamino)sulfonyl]oxy]- (9CI) (CA INDEX NAME)

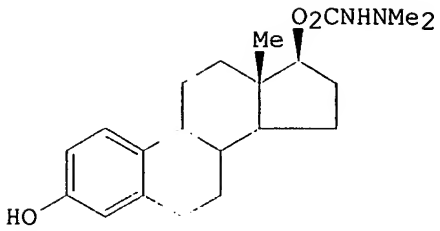
Absolute stereochemistry.



L54 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:191780 CAPLUS
DOCUMENT NUMBER: 92:191780
TITLE: **Antifertility** activities of newly synthesized steroids in rats administered postcoitally before and after implantation and their interceptive effects in the baboon
AUTHOR(S): Strecke, J.; Oettel, M.; Komor, A.
CORPORATE SOURCE: Cent. Inst. Microbiol. Exp. Ther., Ger. Acad. Sci., Jena, Ger. Dem. Rep.
SOURCE: Pharmazie (1980), 35(1), 45-7
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal

Searched by Barb O'Bryen, STIC 308-4291

LANGUAGE: English
GI



I

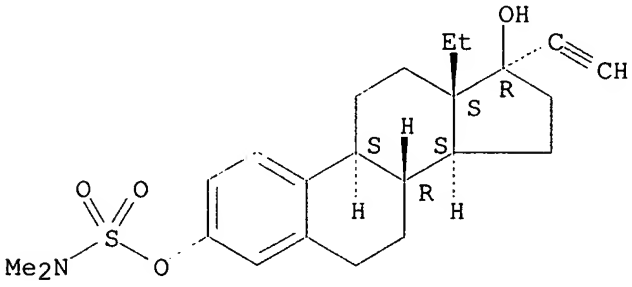
AB Postcoital oral administration of the newly synthesized estrogenic steroids STS 456 (I) [55081-70-4], STS 153 [43085-16-1], STS 287 [30033-03-5], STS 593 [68247-73-4], or J 628 [65323-80-0] had a **fertility**-inhibiting effect in rats and baboons, with I being the most active inhibitor of nidation in rats. In addn. to accelerating tubal egg transport and inhibiting implantation, the steroids also caused placental sepn., fetal death, and necrosis of implantation sites when administered after implantation.

IT **65323-80-0**
RL: **BAC (Biological activity or effector, except adverse)**; BIOL (Biological study)
(**antifertility** activity of)

RN 65323-80-0 CAPLUS

CN 18,19-Dinorpregna-1,3,5(10)-trien-20-yne-3,17-diol, 13-ethyl-, 3-(dimethylsulfamate), (17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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FILE 'REGISTRY' ENTERED AT 13:29:51 ON 07 MAY 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES:    5 MAY 2002  HIGHEST RN 411206-65-0
DICTIONARY FILE UPDATES:   5 MAY 2002  HIGHEST RN 411206-65-0

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Calculated physical property data is now available.  See HELP PROPERTIES
for more information.  See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf


L1                STR
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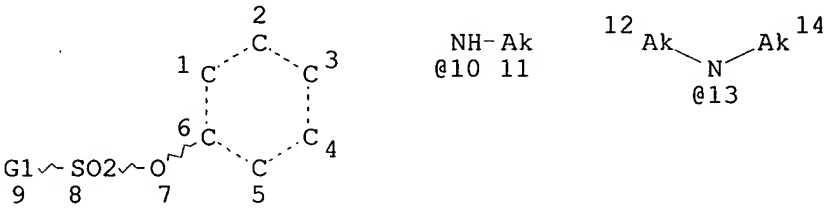

same full file search as before

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CONNECT IS E1  RC AT  11
CONNECT IS E1  RC AT  12
CONNECT IS E1  RC AT  14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  14

STEREO ATTRIBUTES: NONE
L3          1336 SEA FILE=REGISTRY SSS FUL L1
L40               STR
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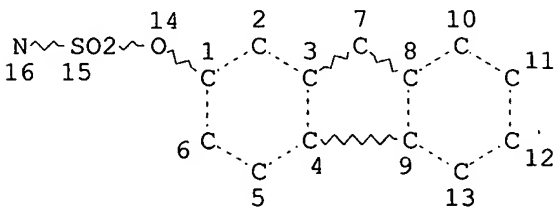

subset search done looking for any of the following 3 structures

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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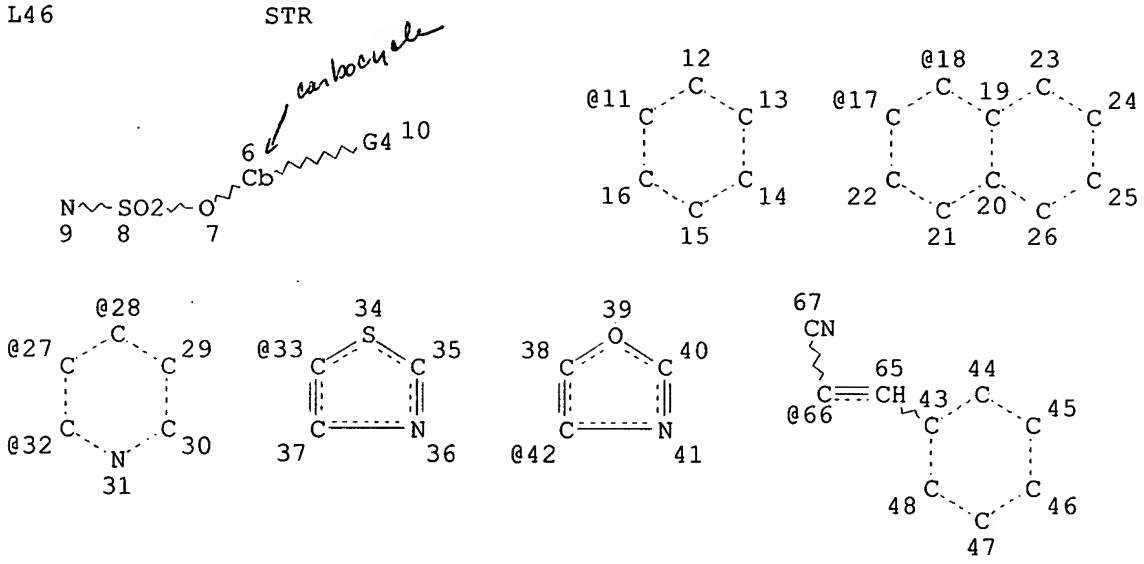
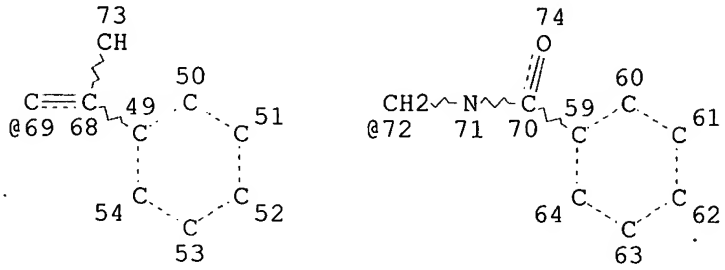
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L46 STR



Page 1-A

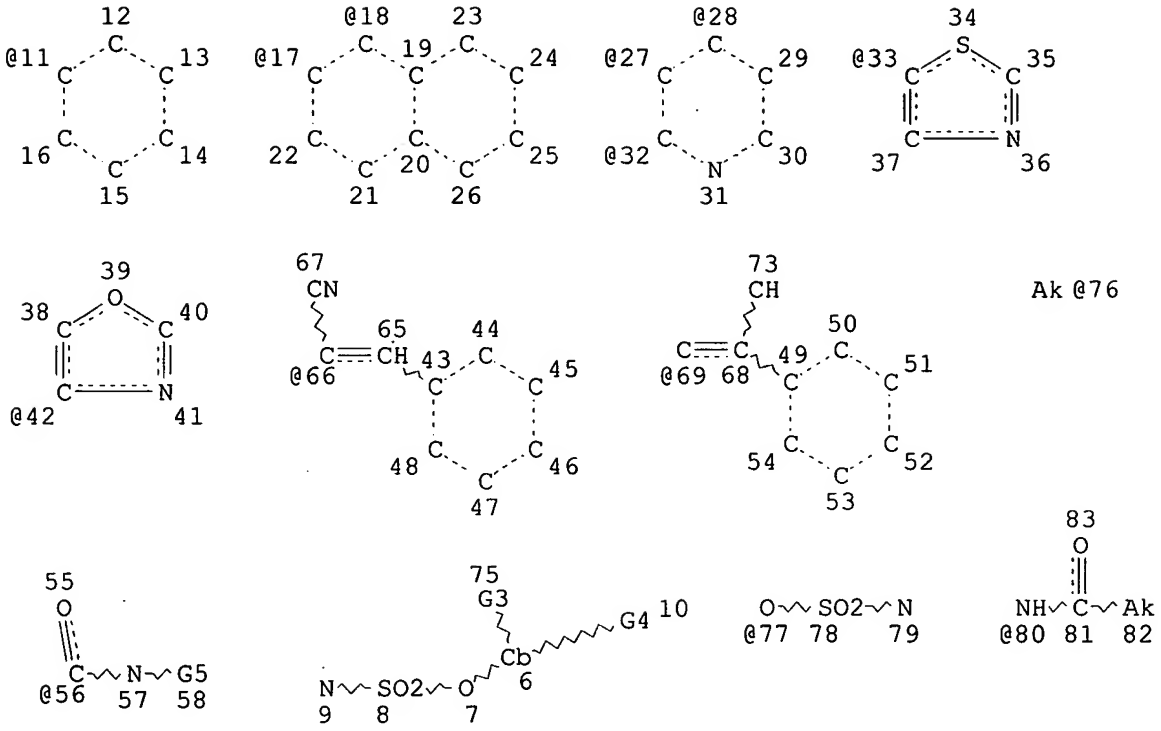


Page 2-A
VAR G4=11/17/18/32/27/28/33/42/66/69/56/72
VAR G5=H/11
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 6
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY LOC UNS AT 6
DEFAULT ECLEVEL IS LIMITED

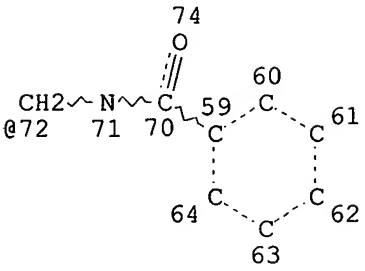
6 - carbocycle at node 6 is monocyclic, unsaturated, with ≤ 6 carbons

GRAPH ATTRIBUTES:
RSPEC 11 43 49 59
NUMBER OF NODES IS 69

STEREO ATTRIBUTES: NONE
L47 STR



Page 1-A



Page 2-A
VAR G3=X/76/NO2/CN/77/80
VAR G4=11/17/18/32/27/28/33/42/66/69/56/72
VAR G5=H/11
NODE ATTRIBUTES:
CONNECT IS E3 RC AT 6
CONNECT IS E1 RC AT 76
CONNECT IS E1 RC AT 82
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY LOC UNS AT 6
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 11 43 49 59
NUMBER OF NODES IS 78

STEREO ATTRIBUTES: NONE
L50 152 SEA FILE=REGISTRY SUB=L3 SSS FUL (L40 OR L46 OR L47)

100.0% PROCESSED 1336 ITERATIONS 152 ANSWERS
SEARCH TIME: 00.00.05

FILE 'CAPLUS' ENTERED AT 13:29:51 ON 07 MAY 2002
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FILE COVERS 1907 - 7 May 2002 VOL 136 ISS 19
FILE LAST UPDATED: 6 May 2002 (20020506/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

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L1              STR
L3         1336 SEA FILE=REGISTRY SSS FUL L1
L40             STR
L46             STR
L47             STR
L50         152 SEA FILE=REGISTRY SUB=L3 SSS FUL (L40 OR L46 OR L47)
L51          19 SEA FILE=CAPLUS ABB=ON  L50

=> s l51 not l54
L55          16 L51 NOT L54
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previously printed

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=> fil uspatf; d que nos 152
FILE 'USPATFULL' ENTERED AT 13:30:19 ON 07 MAY 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 2 May 2002 (20020502/PD)
FILE LAST UPDATED: 2 May 2002 (20020502/ED)
HIGHEST GRANTED PATENT NUMBER: US6381748
HIGHEST APPLICATION PUBLICATION NUMBER: US2002053100
CA INDEXING IS CURRENT THROUGH 2 May 2002 (20020502/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 2 May 2002 (20020502/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2002
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2002

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
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>>> publications.  The publication number, patent kind code, and      <<<
>>> publication date for all the US publications for an invention     <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL   <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc.                                                        <<<

>>> USPATFULL and USPAT2 can be accessed and searched together        <<<
>>> through the new cluster USPATALL.  Type FILE USPATALL to          <<<
>>> enter this cluster.                                              <<<
>>>                                                                  <<<
>>> Use USPATALL when searching terms such as patent assignees,      <<<
>>> classifications, or claims, that may potentially change from     <<<
>>> the earliest to the latest publication.                          <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.


L1              STR
L3         1336 SEA FILE=REGISTRY SSS FUL L1
L40             STR
L46             STR
L47             STR
L50         152 SEA FILE=REGISTRY SUB=L3 SSS FUL (L40 OR L46 OR L47)
L52           7 SEA FILE=USPATFULL ABB=ON  L50


=> dup rem l55,l52
FILE 'CAPLUS' ENTERED AT 13:30:24 ON 07 MAY 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE 'USPATFULL' ENTERED AT 13:30:24 ON 07 MAY 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L55
PROCESSING COMPLETED FOR L52
L56           21 DUP REM L55 L52 (2 DUPLICATES REMOVED)
                 ANSWERS '1-16' FROM FILE CAPLUS
                 ANSWERS '17-21' FROM FILE USPATFULL

=> d ibib abs hitstr l56 1-21; fil cao; d que nos l53

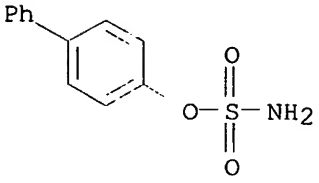
L56 ANSWER 1 OF 21  CAPLUS  COPYRIGHT 2002 ACS        DUPLICATE 1
ACCESSION NUMBER:         1993:649709  CAPLUS
DOCUMENT NUMBER:          119:249709
TITLE:                    Sulfamates as antiglaucoma agents
INVENTOR(S):              Lo, Young S.; Nolan, Joseph C.; Shamblee, Dwight A.
PATENT ASSIGNEE(S):       Robins, A. H., Co., Inc., USA
SOURCE:                   U.S., 35 pp. Cont-in-part of U.S. Ser. No. 406,736,
                          abandoned.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     US 5192785         A    19930309       US 1991-712855   19910610
PRIORITY APPLN. INFO.:                  US 1989-406736      19890903
OTHER SOURCE(S):          MARPAT 119:249709
AB   Sulfamates (HO)pA[OS(O)2NR1R2]z (A = aryloxyalkyl, p = no. of OH groups
```

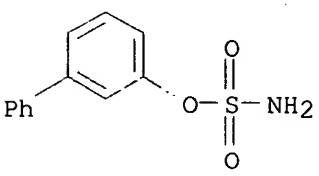
present on the alkyl moiety of A which have not been converted to OS(O)2NR1R2 groups, including 0; z = no. of OS(O)2NR1R2 groups attached to carbons of the alkyl moiety and is .gtoreq. 1; R1 and R2 are selected from H, lower alkyl, carboxy, etc.] are prepd. by three methods: (1) condensation of an alc. with a chlorosulfamate at low temp., (2) reaction of an aryl sulfamate and alc. at higher temps., or (3) by condensation of an aryl alc. with chlorosulfonyl isocyanate at 80-150.degree. in a non-reactive aprotic solvent with subsequent hydrolysis and CO2 elimination. The sulfamates and their pharmaceutically acceptable salts and formulations are useful for reducing intraocular pressure in mammals. Examples include 137 syntheses, 42 precursor prepns., and intraocular pressure results for 5 compds. in rabbits.

IT **25999-01-3P 136167-17-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatment of glaucoma)

RN 25999-01-3 CAPLUS
CN Sulfamic acid, [1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



RN 136167-17-4 CAPLUS
CN Sulfamic acid, 1,1'-biphenyl-3-yl ester (9CI) (CA INDEX NAME)



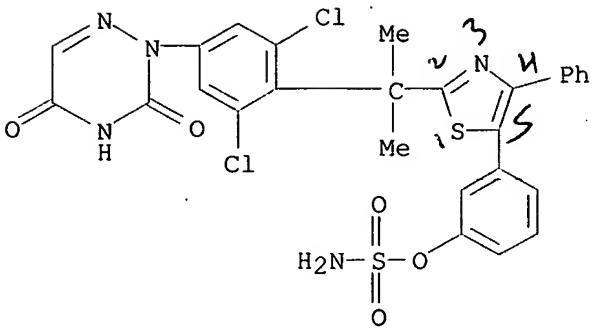
L56 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2002 ACS DUPLICATE 2
ACCESSION NUMBER: 1991:655820 CAPLUS
DOCUMENT NUMBER: 115:255820
TITLE: Preparation of phenyl and phenoxyethyl sulfamates and analogs as anticonvulsants
INVENTOR(S): Lo, Young S.; Walsh, David A.; Uwaydah, Ibrahim M.
PATENT ASSIGNEE(S): Robins, A. H., Co., Inc., USA
SOURCE: U.S., 38 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5025031	A	19910618	US 1989-443146	19891130

OTHER SOURCE(S): MARPAT 115:255820

AB (HO)pA(OSO2NR1R2)z (A = aryl, arylalkyl, aryloxyalkyl; R1, R2 = H, alkyl; p = 0.1; z = 1, 2) were prepd. Thus, PhOH was condensed with ClCH(CO2Et)2 and the product reduced to give PhOCH(CH2OH)2 which was condensed with ClSO2NH2 to give PhOCH(CH2OSO2NH2)2. The latter had ED50 of .ltoreq.25

ester (9CI) (CA INDEX NAME)



L56 ANSWER 18 OF 21 USPATFULL

ACCESSION NUMBER:	2001:153003 USPATFULL
TITLE:	Compounds for the treatment of estrogen-dependent illnesses and methods for making and using the same
INVENTOR(S):	Li, Pui-Kai, Galloway, OH, United States Selcer, Kyle W., Murrysville, PA, United States
PATENT ASSIGNEE(S):	Duquesne University of the Holy Ghost, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6288107	B1	20010911
APPLICATION INFO.:	US 2000-536331		20000324 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1998-164889, filed on 1 Oct 1998		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Higel, Floyd D.		
ASSISTANT EXAMINER:	Sackey, Ebenezer		
LEGAL REPRESENTATIVE:	Meyers, Diane R.Eckert Seamans Cherin & Mellott, LLC		
NUMBER OF CLAIMS:	9		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	7 Drawing Figure(s); 5 Drawing Page(s)		
LINE COUNT:	843		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel sulfatase inhibitor/estrogen receptor blocker compounds useful in the treatment of estrogen dependent illnesses are disclosed. The compounds generally comprise a sulfamate moiety and an aromatic, estrogen receptor blocker moiety. Methods for synthesizing these compounds and using them in the therapeutic and/or prophylactic treatment of an estrogen-dependent disease are also disclosed.

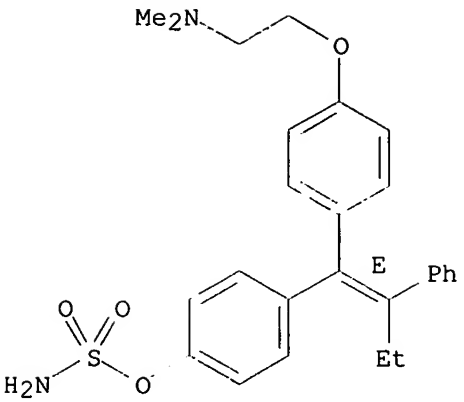
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **221214-41-1P**
(prepn. of aryl sulfamates for treatment of estrogen-dependent illnesses)

RN 221214-41-1 USPATFULL

CN Sulfamic acid, 4-[(1E)-1-[4-[2-(dimethylamino)ethoxy]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L56 ANSWER 19 OF 21 USPATFULL

ACCESSION NUMBER:	2001:93546 USPATFULL
TITLE:	Compounds for the treatment of estrogen-dependent illnesses and methods for making and using the same
INVENTOR(S):	Li, Pui-Kai, Library, PA, United States Selcer, Kyle W., Export, PA, United States
PATENT ASSIGNEE(S):	Duquesne University of the Holy Ghost, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6248780	B1	20010619
APPLICATION INFO.:	US 1998-164889		19981001 (9)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Barts, Samuel
LEGAL REPRESENTATIVE:	Meyers, Diane R.Eckert Seamans Cherin & Mellot, LLC
NUMBER OF CLAIMS:	16
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	5 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT:	633

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel sulfatase inhibitor/estrogen receptor blocker compounds useful in the treatment of estrogen dependent illnesses are disclosed. The compounds generally comprise a sulfamate moiety and an aromatic, estrogen receptor blocker moiety. Methods for synthesizing these compounds and using them in the therapeutic and/or prophylactic treatment of an estrogen-dependent disease are also disclosed.

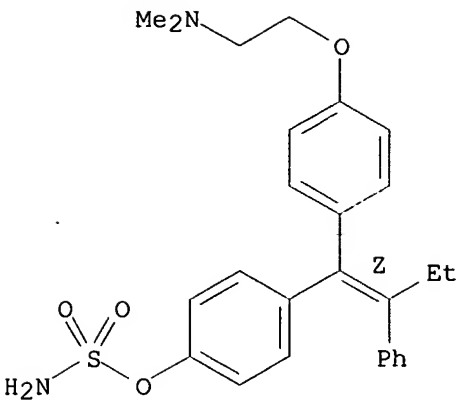
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **221214-42-2P**
(arom. sulfamate deriv. sulfatase inhibitor/estrogen receptor blocker compds. for the treatment of estrogen-dependent illnesses, and methods for prepn. and use)

RN 221214-42-2 USPATFULL

CN Sulfamic acid, 4-[(1Z)-1-[4-[2-(dimethylamino)ethoxy]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L56 ANSWER 20 OF 21 USPATFULL
ACCESSION NUMBER: 93:109091 USPATFULL
TITLE: Compounds having one or more aminosulfonyloxy radicals useful as pharmaceuticals
INVENTOR(S): Lo, Young S., Hockessin, DE, United States
Nolan, Joseph C., Midlothian, VA, United States
Welstead, Jr., William J., Richmond, VA, United States
Walsh, David A., Augusta, GA, United States
Shamblee, Dwight A., Richmond, VA, United States
Uwaydah, Ibrahim M., Richmond, VA, United States
PATENT ASSIGNEE(S): A. H. Robins Company, Incorporated, Richmond, VA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5273993		19931228	
APPLICATION INFO.:	US 1992-965140		19921119	(7)

DISCLAIMER DATE: 20100309
RELATED APPLN. INFO.: Division of Ser. No. US 1991-734846, filed on 24 Jul 1991, now patented, Pat. No. US 5194446, issued on 16 Mar 1993 which is a continuation-in-part of Ser. No. US 1989-365212, filed on 12 Jun 1989, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Higel, Floyd D.
LEGAL REPRESENTATIVE: Boswell, Jr., R. F.
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1,8,9
LINE COUNT: 4481
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

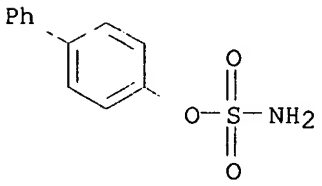
AB Methods of treating chronic arthritis and osteoporosis which utilize both known and novel compounds which would fall under the general formula:

(HO)p--A--[--OS(O).sub.2 NR.sup.1 R.sup.2].sub.z

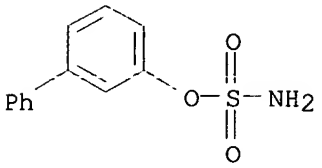
wherein A encompasses a wide range of values including but not limited to aryl, loweralkyl, cycloalkyl, and carbohydrates including sucrose and fructose; p is equal to the number of unreacted hydroxy groups contained on the molecule and may be zero; z is the number of --OS(O).sub.2 NR.sup.1 R.sup.2 groups and is always at least one; R.sup.1 and R.sup.2 are selected from hydrogen, loweralkyl, carboxy and the like; a novel process for preparing the compounds is provided wherein an appropriate sulfamic acid aryl ester is reacted with a hydroxy substituted A radical which may or may not contain thereon protected carboxyl, amino or

hydroxy substituents, in an aprotic solvent containing a tertiary amine base. Pharmaceutical compositions for the treatment of chronic arthritis and osteoporosis are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **25999-01-3P 136167-17-4P**
(prepn. of, for treatments of arthritis and osteoporosis)
RN 25999-01-3 USPATFULL
CN Sulfamic acid, [1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



RN 136167-17-4 USPATFULL
CN Sulfamic acid, 1,1'-biphenyl-3-yl ester (9CI) (CA INDEX NAME)



L56 ANSWER 21 OF 21 USPATFULL

ACCESSION NUMBER:	93:20542 USPATFULL
TITLE:	Compounds having one or more aminosulfaonyloxy radicals useful as pharmaceuticals
INVENTOR(S):	Lo, Young S., Hockessin, DE, United States Nolan, Joseph C., Midlothian, VA, United States Welstead, Jr., William J., Richmond, VA, United States Walsh, David A., Augusta, GA, United States Shamblee, Dwight A., Richmond, VA, United States Uwaydah, Ibrahim M., Richmond, VA, United States
PATENT ASSIGNEE(S):	A. H. Robins Company, Incorporated, Richmond, VA, United States (U.S. corporation)

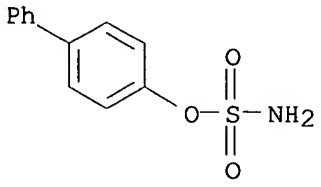
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5194446		19930316
APPLICATION INFO.:	US 1991-734846		19910724 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1989-365212, filed on 12 Jun 1989, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Bond, Robert T.		
ASSISTANT EXAMINER:	Ward, E. C.		
LEGAL REPRESENTATIVE:	Boswell, Jr., R. F.		
NUMBER OF CLAIMS:	14		
EXEMPLARY CLAIM:	1		
LINE COUNT:	4531		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Methods of treating chronic arthritis and osteoporosis which utilize both known and novel compounds which would fall under the general

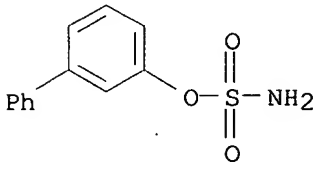
mg/kg i.p. against corneal maximal electroshock-induced convulsions in mice.

IT **25999-01-3P 136167-17-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as anticonvulsant)

RN 25999-01-3 CAPLUS
CN Sulfamic acid, [1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



RN 136167-17-4 CAPLUS
CN Sulfamic acid, 1,1'-biphenyl-3-yl ester (9CI) (CA INDEX NAME)

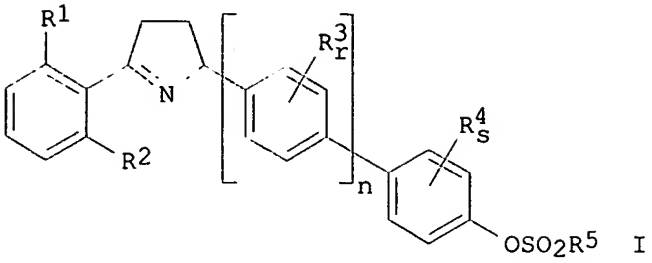


L56 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:240724 CAPLUS
DOCUMENT NUMBER: 136:263092
TITLE: Preparation of 3,4-dihydropyrroles as pesticides
INVENTOR(S): Plant, Andrew; Marhold, Albrecht; Grosser, Rolf; Erdelen, Christoph; Turberg, Andreas; Hansen, Olaf
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024644	A1	20020328	WO 2001-EP10430	20010910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10051395	A1	20020411	DE 2000-10051395	20001017

PRIORITY APPLN. INFO.: DE 2000-10047119 A 20000922
DE 2000-10051395 A 20001017

OTHER SOURCE(S): MARPAT 136:263092
GI



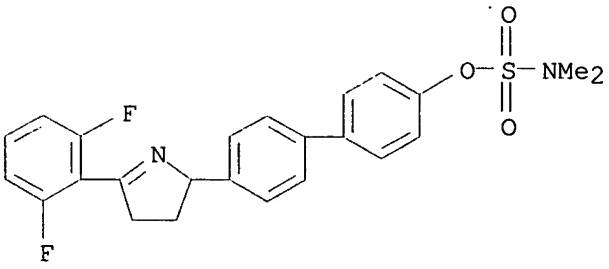
I

AB Title compds. [I; n = 0, 1; r, s = 0-2; R1 = halo, Me; R2 = H, halo; R3, R4 = halo, (halo)alkyl, (halo)alkoxy; R5 = (halo)alkyl, (substituted) Ph, NR6R7; R6 = (halo)alkyl; R7 = H, (halo)alkyl, R6R7 = (alkoxy)alkylene] were prepd. Thus, 4-[5-(2,6-difluorophenyl)-3,4-dihydro-2H-pyrrol-2-yl]phenol in PhMe was treated with 45% NaOH and 4-(trifluoromethoxy)benzenesulfonyl chloride, followed by stirring for 12 h at 45.degree., to give 70% 5-(2,6-difluorophenyl)-2-(4-[4-(trifluoromethoxy)phenyl]sulfonyloxyphenyl)-3,4-dihydro-2H-pyrrole. Several I at 100-200 ppm gave 90-95% kill of Aphis gossypii on Gossypium hirsutum after 6 days.

IT **405201-75-4P**
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dihydropyrroles as pesticides)

RN 405201-75-4 CAPLUS

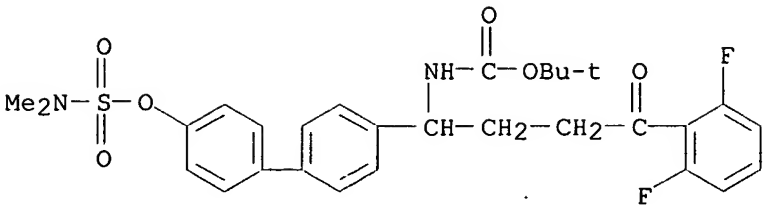
CN Sulfamic acid, dimethyl-, 4'-[5-(2,6-difluorophenyl)-3,4-dihydro-2H-pyrrol-2-yl][1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



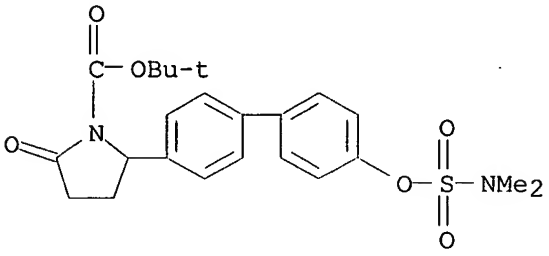
IT **405201-79-8P 405201-83-4P 405201-84-5P 405201-85-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of dihydropyrroles as pesticides)

RN 405201-79-8 CAPLUS

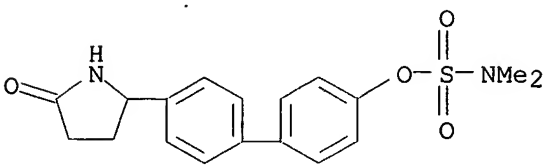
CN Carbamic acid, [4-(2,6-difluorophenyl)-1-[4'-[[(dimethylamino)sulfonyl]oxy][1,1'-biphenyl]-4-yl]-4-oxobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



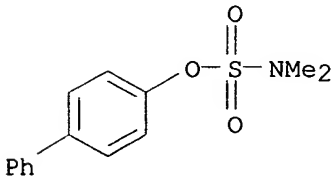
RN 405201-83-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[4'-[[(dimethylamino)sulfonyl]oxy][1,1'-biphenyl]-4-yl]-5-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 405201-84-5 CAPLUS
CN Sulfamic acid, dimethyl-, 4'-(5-oxo-2-pyrrolidinyl)[1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



RN 405201-85-6 CAPLUS
CN Sulfamic acid, dimethyl-, [1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:227501 CAPLUS
DOCUMENT NUMBER: 132:260691
TITLE: Aromatic sulfamate derivative sulfatase inhibitor/estrogen receptor blocker compounds for the treatment of estrogen-dependent illnesses, and methods for preparation and use

Searched by Barb O'Bryen, STIC 308-4291

INVENTOR(S): Li, Pui-Kai; Selcer, Kyle W.
PATENT ASSIGNEE(S): Duquesne University of the Holy Ghost, USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

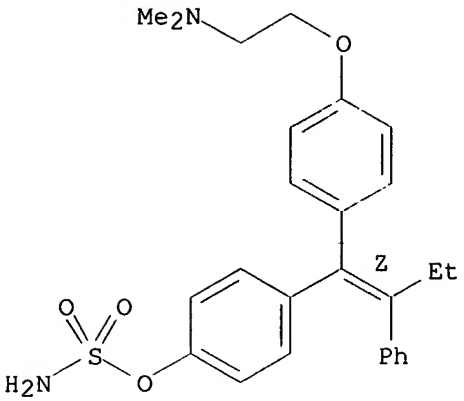
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018397	A1	20000406	WO 1999-US22823	19990930
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6248780	B1	20010619	US 1998-164889	19981001
AU 9964081	A1	20000417	AU 1999-64081	19990930
EP 1117395	A1	20010725	EP 1999-951694	19990930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-164889 A 19981001
WO 1999-US22823 W 19990930
OTHER SOURCE(S): MARPAT 132:260691

AB Sulfatase inhibitor/estrogen receptor blocker compds. useful in the treatment of estrogen dependent illnesses are disclosed. The compds. generally comprise a sulfamate moiety and an arom., estrogen receptor blocker moiety. Methods for synthesizing these compds. and using them in the therapeutic and/or prophylactic treatment of an estrogen-dependent disease are also disclosed. Prepn. and testing of (Z)-4-hydroxytamoxifen sulfamate is described.

IT **221214-42-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(arom. sulfamate deriv. sulfatase inhibitor/estrogen receptor blocker compds. for the treatment of estrogen-dependent illnesses, and methods for prepn. and use)
RN 221214-42-2 CAPLUS
CN Sulfamic acid, 4-[(1Z)-1-[4-[2-(dimethylamino)ethoxy]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



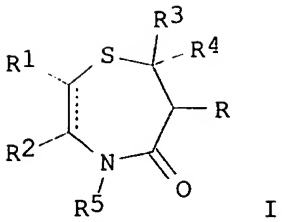
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:84826 CAPLUS
DOCUMENT NUMBER: 132:137416
TITLE: Preparation of 6-[[[[phosphono(oxy)]aryl]alkanoyl]amino]-1,4-thiazepin-5-ones and analogs as protein tyrosine kinase c-Src inhibitors
INVENTOR(S): Benard, Didier; Deprez, Pierre; Lesuisse, Dominique; Mandine, Eliane; Ugolini, Antonio
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005246	A1	20000203	WO 1999-FR1770	19990720
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2781483	A1	20000128	FR 1998-9258	19980721
AU 9949133	A1	20000214	AU 1999-49133	19990720

PRIORITY APPLN. INFO.: FR 1998-9258 A 19980721
WO 1999-FR1770 W 19990720

OTHER SOURCE(S): MARPAT 132:137416
GI



I

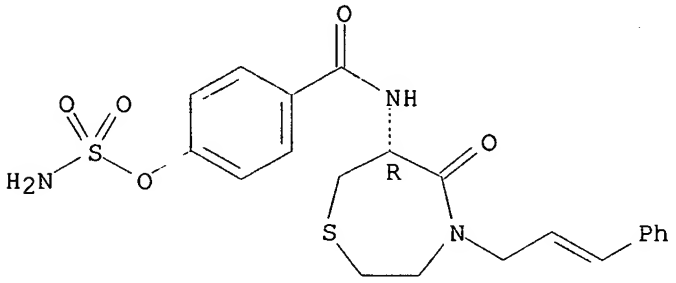
AB Title compds. [I; R = NHZZ1Z2R7; R1,R2 = H, OH, alkyl, alkoxy, etc.; R1R2 = atoms to complete a (hetero)arom. ring; R3,R4 = H, alkyl, aryl(alkyl), etc.; R5 = H, alk(en)yl, aryl(alkyl), etc.; R7 = P(O)(OH)2, OP(O)(OH)2, bis(alkoxy)phosphoryl(oxy), CH2CO2H, SO2NH2, etc.; Z = CO, SO2, alk(en)ylene, etc.; Z1 = CHR6(CH2)1-4, CR6:CHCH2, CHR6, etc.; R6 = H, (acyl)amino, tetrazolyl, etc.; Z2 = arylene; dashed line = optional addnl. bond] were prepd. Thus, (S)-HSCH2CH(NH2)CO2Me was cyclocondensed with CLCH2CH2NH2 and the product amidated by (S)-HO2CCH(NHBoc)CH2C6H4[OP(O)(OCH2Ph)2]-4 to give, in 2 addnl. steps, [S-[R*(6S*)]]-I [R = NHCOCH(NHBoc)CH2C6H4[OP(O)(OCH2Ph)2]-4, R1-R4 = H, R5 = 3-cyclohexylpropyl, dashed line = null]. Data for biol. activity of I were given.

IT **256655-91-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 6-[[[[phosphono(oxy)]aryl]alkanoyl]amino]-1,4-thiazepin-5-ones and analogs as protein tyrosine kinase c-Src inhibitors)

RN 256655-91-1 CAPLUS

CN Sulfamic acid, 4-[[[(6R)-hexahydro-5-oxo-4-(3-phenyl-2-propenyl)-1,4-thiazepin-6-yl]amino]carbonyl]phenyl ester- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:190770 CAPLUS
DOCUMENT NUMBER: 132:222555
TITLE: Preparation of interleukin-5 inhibiting 6-azauracil derivatives
INVENTOR(S): Freyne, Eddy Jean Edgard; Lacrampe, Jean Fernand Armand; Deroose, Frederik Dirk; Venet, Marc Gaston
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

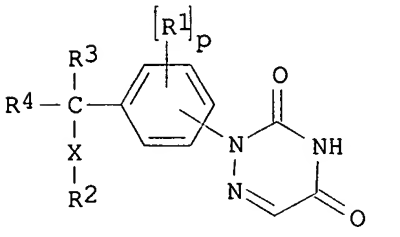
Searched by Barb O'Bryen, STIC 308-4291

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

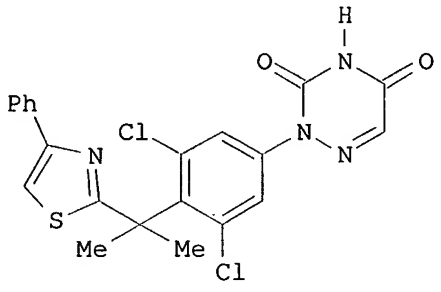
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 987265	A1	20000322	EP 1998-203148	19980918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2000017195	A1	20000330	WO 1999-EP6776	19990914
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9960825	A1	20000410	AU 1999-60825	19990914
EP 1114046	A1	20010711	EP 1999-947336	19990914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002010177	A1	20020124	US 2001-812731	20010319

PRIORITY APPLN. INFO.: EP 1998-203148 A 19980918
WO 1999-EP6776 W 19990914

OTHER SOURCE(S): MARPAT 132:222555
GI



I



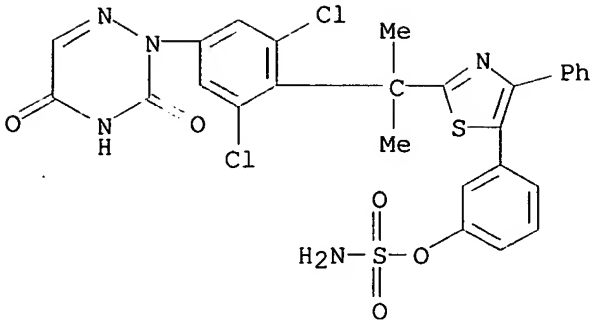
II

AB The title compds. [I; p = 0-4; X = O, S, NR5, a direct bond; Y = O, S, NR5, SO2; R1 = alkyl, halo, polyhaloalkyl, etc.; R2 = Het1, cycloalkyl, alkyl, and if X = O, S, NR5, then R2 may also represent aminocarbonyl, aminothiocarbonyl, alkylcarbonyl, etc.; R3, R4 = H, alkyl, cycloalkyl; R3R4 = alkanediyl; R5 = H, alkyl; Het1 = (un)substituted heterocycle], useful for treating eosinophil-dependent inflammatory diseases, and marking a receptor, were prepd. and formulated. E.g., a multi-step synthesis of 1,2,4-triazine-3,5(2H,4H)-dione II which showed 90.5% inhibition of IL-5 prodn., was given.

IT **261512-01-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of interleukin-5 inhibiting 6-azauracil derivs.)

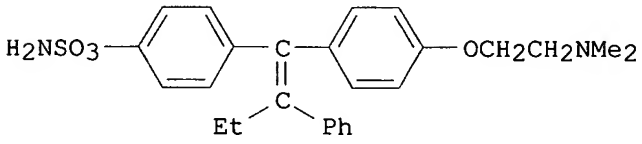
RN 261512-01-0 CAPLUS

CN Sulfamic acid, 3-[2-[1-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenyl]-1-methylethyl]-4-phenyl-5-thiazolyl]phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:118506 CAPLUS
DOCUMENT NUMBER: 130:237337
TITLE: Synthesis and sulfatase inhibitory activities of (E)- and (Z)-4-hydroxytamoxifen sulfamates
AUTHOR(S): Chu, Guo-Hua; Peters, Amy; Selcer, Kyle W.; Li, Pui-Kai
CORPORATE SOURCE: Department of Medicinal Chemistry and Pharmaceutics, Mylan School of Pharmacy, Duquesne University, Pittsburgh, PA, 15282, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(2), 141-144
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB We report the development of (E)- (I) and (Z)-4-hydroxytamoxifen sulfamates as estrone sulfatase inhibitors, potential therapeutic agents for the treatment of breast cancer. Both compds. competitively inhibit estrone sulfatase isolated from rat liver with an apparent Ki of 35.9 .mu.M for I and an apparent Ki of >500 .mu.M for the Z isomer.

IT **221214-41-1P 221214-42-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and sulfatase inhibitory activity of)

RN 221214-41-1 CAPLUS
CN Sulfamic acid, 4-[(1E)-1-[4-[2-(dimethylamino)ethoxy]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

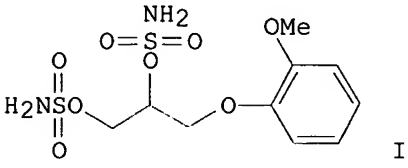
Double bond geometry as shown.

JP 03047162	A2	19910228	JP 1990-152509	19900611
AU 9057000	A1	19901213	AU 1990-57000	19900612
AU 645975	B2	19940203		
US 5194446	A	19930316	US 1991-734846	19910724
US 5273993	A	19931228	US 1992-965140	19921119

PRIORITY APPLN. INFO.: US 1989-365212 19890612
US 1991-734846 19910724

OTHER SOURCE(S): MARPAT 116:20788

GI

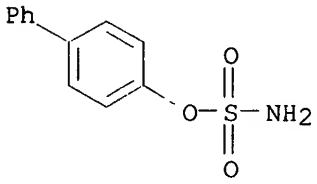


I

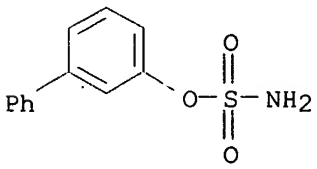
AB (HO)pA(OSO2NR1R2)z (A = alkyl, aryl, cycloalkyl, arylalkyl, thienyl, pyridyl, furyl, thiazolyl, pyrrolyl, benzothiazolyl, thiadiazolyl, carbohydrate residue, benzodioxanyl, indenyl, benzofuryl indolyl alkyl, etc.; p .gtoreq. 0; Z > 0; R1 = H, alkyl; R2 = H, alkyl, CO2H, alkoxycarbonyl, CO2M; M = pharmaceutically acceptable cation), were prepd. Thus, ClSO2NCO in MeCN was treated with H2O to give a ClSO2NH2 soln.; the latter was treated with HOCH2CH(OH)CH2OC6H4OMe-4 and pyridine in MeCN at -3 to 15.degree. followed by 2 h stirring to give 74.5% title compd. I. I at 10-6M gave 100% inhibition of chick embryo bone resorption induced by 10-9M parathyroid hormone. Pharmaceutical formulations comprising the title compds. are given.

IT **25999-01-3P 136167-17-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatments of arthritis and osteoporosis)

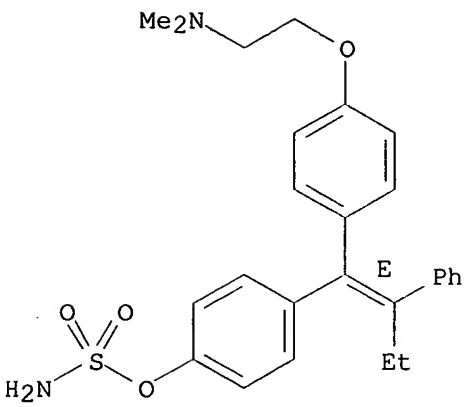
RN 25999-01-3 CAPLUS
CN Sulfamic acid, [1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



RN 136167-17-4 CAPLUS
CN Sulfamic acid, 1,1'-biphenyl-3-yl ester (9CI) (CA INDEX NAME)

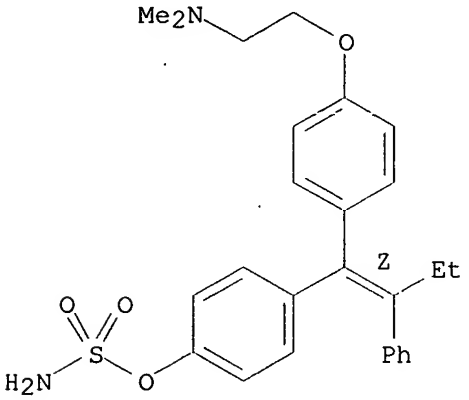


L56 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1985:453763 CAPLUS
DOCUMENT NUMBER: 103:53763



RN 221214-42-2 CAPLUS
CN Sulfamic acid, 4-[(1Z)-1-[4-[2-(dimethylamino)ethoxy]phenyl]-2-phenyl-1-butenyl]phenyl ester (9CI) (CA INDEX NAME)

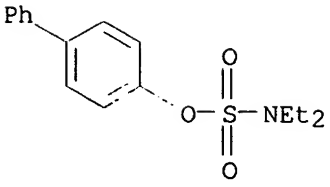
Double bond geometry as shown.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:20788 CAPLUS
DOCUMENT NUMBER: 116:20788
TITLE: Preparation of sulfamate esters for use against arthritis and osteoporosis
INVENTOR(S): Lo, Young Sek; Nolan, Joseph Clarence; Walsh, David Allan; Welstead, William John, Jr.
PATENT ASSIGNEE(S): Robins, A. H., Co., Inc., USA
SOURCE: Eur. Pat. Appl., 88 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

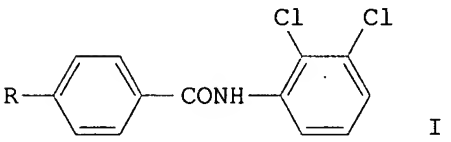
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 403185	A2	19901219	EP 1990-306289	19900608
EP 403185	A3	19921216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2018700	AA	19901212	CA 1990-2018700	19900611



L56 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:586905 CAPLUS
DOCUMENT NUMBER: 95:186905
TITLE: Herbicidal benzamides
PATENT ASSIGNEE(S): Hodogaya Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56083467	A2	19810708	JP 1979-159270	19791210
JP 62023748	B4	19870525		

GI



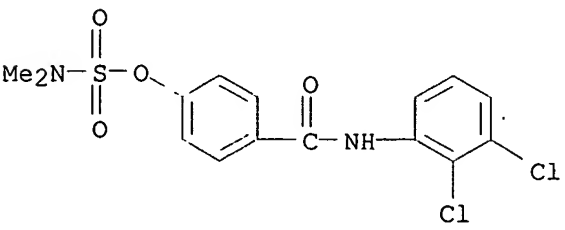
I

AB Herbicidal benzamides I (R = halo- or alkyl-substituted alkylsulfonyloxy, alkylsulfamoyloxy) were prepd. Thus, stirring the K salt of I (R = OH) with MeSO2Cl in acetone 6 h gave 86.3% I (R = MeSO3).

IT **79603-69-3P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and herbicidal activity of)

RN 79603-69-3 CAPLUS

CN Sulfamic acid, dimethyl-, 4-[[(2,3-dichlorophenyl)amino]carbonyl]phenyl ester (9CI) (CA INDEX NAME)



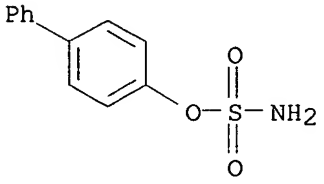
L56 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2002 ACS

TITLE: Biphase systems. 7. Synthesis of simple and N-substituted sulfamates under conditions of liquid-liquid phase transfer
AUTHOR(S): Hedayatullah, Mir; Hugueny, Jean Claude
CORPORATE SOURCE: Inst. Topol. Dyn. Syst., Univ. Paris VII, Paris, 75005, Fr.
SOURCE: Phosphorus Sulfur (1984), 20(3), 371-5
CODEN: PREEDF; ISSN: 0308-664X
DOCUMENT TYPE: Journal
LANGUAGE: French

AB Sulfamates p-R1C6H4OSO2NR2 (R2N = H2N, piperidino, morpholino, 1-pyrrolidinyl; R1 = H, Me, Cl, Ph) were prepd. by redn. of azides p-R1C6H4SO2N3 or by esterification of phenols p-R1C6H4OH with R2NSO2Cl under phase-transfer catalysis conditions.

IT **25999-01-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 25999-01-3 CAPLUS
CN Sulfamic acid, [1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



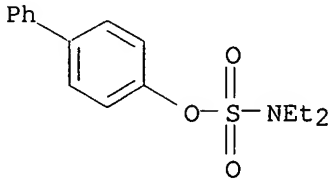
L56 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:217218 CAPLUS
DOCUMENT NUMBER: 96:217218
TITLE: Versatile synthesis of sulfamate esters by phase-transfer methods
AUTHOR(S): Spillane, William J.; Taheny, Anne P.; Kearns, M. Mary
CORPORATE SOURCE: Chem. Dep., Univ. Coll. Galway, Galway, Ire.
SOURCE: J. Chem. Soc., Perkin Trans. 1 (1982), (3), 677-9
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Sulfamate esters, R2NSO3R1 (R = Me, Et), RNHSO3R1 (R = cyclohexyl), and H2NSO3R1 (R1 = alkyl, aryl) were prepd. by condensation of the appropriate sulfamoyl chloride with alcs. and phenols under mild phase-transfer conditions. E.g., reaction of Me2NSO2Cl with MeOH in C6H6 contg. PhCH2N+Et3Cl- and aq. NaOH at 50.degree. for 2 h gave 90% Me2NSO3Me. Me2NSO3R (R = Me, Et, Pr, CMe3) rearranged to the corresponding betaines Me2N+RSO3- in 95-98% yield at 130.degree..

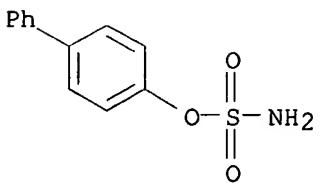
IT **72119-30-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by condensation of sulfamoyl chloride with phenol)

RN 72119-30-3 CAPLUS
CN Sulfamic acid, diethyl-, [1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)

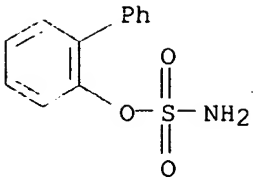
ACCESSION NUMBER: 1980:6189 CAPLUS
DOCUMENT NUMBER: 92:6189
TITLE: Study of the reactivity of aryl fluorosulfates with respect to secondary aliphatic amines
AUTHOR(S): Hedayatullah, Mir; Guy, Alain
CORPORATE SOURCE: Lab. Chim. Org., Conservatoire Natl. Arts Metiers, Paris, 75141/03, Fr.
SOURCE: Phosphorus Sulfur (1979), 7(1), 95-100
CODEN: PREEDF; ISSN: 0308-664X
DOCUMENT TYPE: Journal
LANGUAGE: French
AB Aryl sulfamates are obtained from aryl fluorosulfates and secondary aliph. amines. The use of the HSAB concept (Hard and Soft Acids and Bases) is used to explain the difference of the reactivity between aryl fluorosulfates and aryl chlorosulfates.
IT **72119-30-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 72119-30-3 CAPLUS
CN Sulfamic acid, diethyl-, [1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



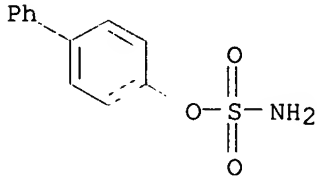
L56 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1978:442661 CAPLUS
DOCUMENT NUMBER: 89:42661
TITLE: A convenient synthesis of aryl sulfamates
AUTHOR(S): Hedayatullah, Mir; Guy, Alain
CORPORATE SOURCE: Lab. Chim. Org., Conservatoire Natl. Arts Metiers, Paris, Fr.
SOURCE: Synthesis (1978), (5), 357
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
AB RnC6H5-nO3SNH2 (Rn = H, 2-, 4-Me, 2,6-Me2, 2-, 4-Ph, 4-Cl) were prepd. in 50-75% yield by NaBH4 redn. of RnC6H5-nO3SN3.
IT **25999-01-3P 67073-77-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 25999-01-3 CAPLUS
CN Sulfamic acid, [1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



RN 67073-77-2 CAPLUS
CN Sulfamic acid, [1,1'-biphenyl]-2-yl ester (9CI) (CA INDEX NAME)

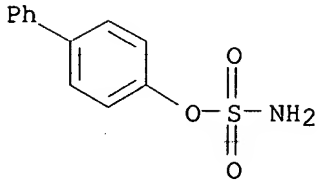


L56 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1975:547252 CAPLUS
DOCUMENT NUMBER: 83:147252
TITLE: Synthesis and reduction of aryl azidosulfates. VI
AUTHOR(S): Hedayatullah, Mir; Guy, Alain
CORPORATE SOURCE: Lab. Chim. Org. Appl., Conservatoire Natl. Arts Metiers, Paris, Fr.
SOURCE: Tetrahedron Lett. (1975), (29), 2455-8
CODEN: TELEAY
DOCUMENT TYPE: Journal
LANGUAGE: French
AB Reaction of p-RC6H4OSO2Cl (R = H, Me, Cl, Ph) with NaN3 in MeCN gave 90-8% p-RC6H4OSO2N3 (I) which in MeOH with powd. Cu gave 47-86% p-RC6H4OSO2NH2. LiAlH4 redn. of I gave the corresponding phenols by cleavage of the O-S bond.
IT **25999-01-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 25999-01-3 CAPLUS
CN Sulfamic acid, [1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



L56 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:539511 CAPLUS
DOCUMENT NUMBER: 77:139511
TITLE: Preparation and reactions of aryloxysulfonyl isocyanates
AUTHOR(S): Lohaus, Gerhard
CORPORATE SOURCE: Farbwerke Hoechst A.-G., Frankfurt/M., Ger.
SOURCE: Chem. Ber. (1972), 105(9), 2791-9
CODEN: CHBEAM
DOCUMENT TYPE: Journal
LANGUAGE: German
AB Re-action of phenols ROH (e.g. R = Ph, p-MeC6H4, m-ClC6H4, 2,4,6-Cl3C6H2, p-NCC6H4) with ClSO2NCO gave 40-79% ROSO2NCO (I). Hydrolysis of I yielded nearly quant. ROSO2NH2 (II). I are highly active compds. and the reactivity corresponded to the acidity of the starting phenols. II was useful for the transfer of SO2NH2 groups, e.g. to amines.
IT **25999-01-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 25999-01-3 CAPLUS
CN Sulfamic acid, [1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



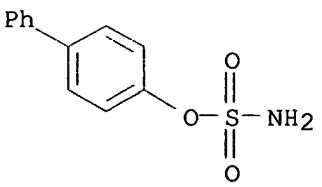
L56 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1970:55051 CAPLUS
DOCUMENT NUMBER: 72:55051
TITLE: Sulfamic acid aryl esters
PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G
SOURCE: Fr., 3 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1554976		19690124		

PRIORITY APPLN. INFO.: DE 19670128

AB Isocyanates Ar(OSO2NCO)n (where Ar = aryl, n = 1 or 2) (Ger. 1,230,017) react with H2O to yield aryl sulfamate N-carboxylic acids which lose CO2 spontaneously to form Ar(OSO2NH2)n (I). Thus, 15 g H2O is added dropwise to 64 g 4-NCC6H4OSO2NCO in 500 ml CCl4 to ppt. 55 g 4-NCC6H4-OSO2NH2, m. 155.degree.. Other I (n = 1) prepd. are the following (Ar and m.p. given): 4-ClC6H4, 105.degree.; 3-ClC6H4, 80.degree.; Ph, 86.degree.; 4-MeC6H4, 80.degree.; 3-MeC6H4, 88.degree.; 2,6-Me2C6H3, 110.degree.; 2,3-Me2-C6H3, 78.degree.; 2,5-Me2C6H3, 104.degree.; 2,4,5-Cl3C6H2 (II), 158.degree.; 2,4,6-Cl3C6H2, 144.degree.; 2,4,6-Br3C6H2, 164.degree.; C6Cl5, 215.degree.; 4-MeO-C6H4, 165.degree.; 4-PhN2C6H4, 160.degree.; the sulfonate of 3-hydroxydibenzofuran, 156.degree.; and hydroquinone bis(sulfamate), 200.degree.. The compds. are useful for transferring the sulfonamide group. Thus, by shaking 1.35 g II with 0.9 g morpholine in 5 ml CH2Cl2, the ester dissolves to yield 0.71 g morpholine-N-sulfonamide, m. 160.degree..

IT **25999-01-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 25999-01-3 CAPLUS
CN Sulfamic acid, [1,1'-biphenyl]-4-yl ester (9CI) (CA INDEX NAME)



L56 ANSWER 17 OF 21 USPATFULL

ACCESSION NUMBER: 2002:17297 USPATFULL
TITLE: IL-5 inhibiting 6-azauracil derivatives
INVENTOR(S): Freyne, Eddy Jean Edgard, Rumst, BELGIUM
Lacrampe, Jean Fernand Armand, Le Mesnil-Esnard, FRANCE
Deroose, Frederik Dirk, Drongen, BELGIUM
Venet, Marc Gaston, Le Mesnil-Esnard, FRANCE

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002010177	A1	20020124
APPLICATION INFO.:	US 2001-812731	A1	20010319 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1998-203148	19980918

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: AUDLEY A. CIAMPORCERO JR., JOHNSON & JOHNSON, ONE JOHNSON & JOHNSON PLAZA, NEW BRUNSWICK, NJ, 08933-7003
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
LINE COUNT: 2441
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is concerned with the compounds of formula ##STR1##

the N-oxides, the pharmaceutically acceptable addition salts and the stereochemically isomeric forms thereof, wherein p is 0 to 4; X is O, S, NR.sup.5 or a direct bond; Y is O, S, NR.sup.5 or S(O).sub.2; R.sup.1 independently is C.sub.1-6alkyl, halo, polyhaloC.sub.1-6alkyl, hydroxy, mercapto, C.sub.1-6alkyloxy, C.sub.1-6alkylthio, C.sub.1-6alkylcarbonyloxy, aryl, cyano, nitro, Het.sup.3, R.sup.6, NR.sup.7R.sup.8 or substituted C.sub.1-4alkyl; R.sup.2 is Het.sup.1, C.sub.3-7cycloalkyl or optionally substituted C.sub.1-6alkyl and if X is O, S or NR.sub.5, then R.sup.2 may also represent aminocarbonyl, aminothiocarbonyl, C.sub.1-4alkylcarbonyl, C.sub.1-4alkylthiocarbonyl, arylcarbonyl, arylthiocarbonyl, Het.sup.1carbonyl or Het.sup.1thiocarbonyl; R.sup.3 and R.sup.4 independently are hydrogen, C.sub.1-6alkyl or C.sub.3-7cycloalkyl; R.sup.3 and R.sup.4 form a C.sub.2-6alkanediyl; R.sup.5 is hydrogen or C.sub.1-4alkyl; R.sup.6 is a sulfonyl or sulfinyl derivative; R.sup.7 and R.sup.8 are independently hydrogen, optionally substituted C.sub.1-4alkyl, aryl, a carbonyl containing moiety, C.sub.3-7cycloalkyl, --Y--C.sub.1-4alkanediyl-C(=O)--O--R.sup.14, Het.sup.3, Het.sup.4 and R.sup.6; R.sup.11 is hydroxy, mercapto, cyano, nitro, halo, trihalomethyl, C.sub.1-4alkyloxy, formyl, trihaloC.sub.1-4alkylsulfonyloxy, R.sup.6, RNR.sup.7R.sup.8, C(=O)NR.sup.7R.sup.8, C.sub.1-4alkanediyl-C(=O)--O--R.sup.14, --C(=O)--O--R.sup.14, --Y--C.sub.1-4alkanediyl-C(=O)--O--R.sup.14, aryl, aryloxy, arylcarbonyl, C.sub.3-7cycloalkyl, C.sub.3-7cycloalkyloxy, phthalimide-2-yl, Het.sup.3 and C(=O)Het.sup.3; R.sup.14 is hydrogen, C.sub.1-4alkyl, C.sub.3-7cycloalkyl, aminocarbonylmethylene or mono-or di(C.sub.1-4alkyl)aminocarbonylmethylene; aryl is optionally substituted phenyl; Het.sup.1, Het.sup.2, Het.sup.3 and Het.sup.4 are optionally substituted heterocycles; to processes for their preparation and compositions comprising them. It further relates to their use as a medicine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **261512-01-0P**
(prepn. of interleukin-5 inhibiting 6-azauracil derivs.)
RN 261512-01-0 USPATFULL
CN Sulfamic acid, 3-[2-[1-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenyl]-1-methylethyl]-4-phenyl-5-thiazolyl]phenyl